

```

chain nodes :
  42  43  45  49  50  52  53  54  55  56  57  67  68
ring nodes :
  1  2  3  4  5  6  7  8  9  10  11  12  13  14  15  16  17  18  19  20  21
  22 23 24 25 28 31 34
ring/chain nodes :
  59 60 61 62 63 64 69 70 71 72
chain bonds :
  2-49  4-59  4-69  5-50  9-52  10-60  10-70  12-53  14-54  16-61  16-71
  18-55  21-56  22-62  22-72  23-57  42-43  43-63  43-67  43-68
ring bonds :
  1-2  1-6  2-3  3-4  3-25  4-5  5-6  6-25  7-8  7-12  8-9  8-28  9-10  10-11
  11-12  11-28  13-14  13-18  14-15  15-16  15-31  16-17  17-18  17-31  19-20
  19-24  20-21  20-34  21-22  22-23  23-24  24-34
exact/norm bonds :
  2-49  4-5  4-69  5-50  9-52  10-11  10-70  12-53  14-54  15-31  16-17
  16-71  17-31  18-55  20-34  21-56  22-23  22-72  23-57  24-34  42-43  43-67
  43-68
exact bonds :
  1-2  1-6  2-3  3-4  3-25  4-59  5-6  6-25  7-8  7-12  8-9  8-28  9-10
  10-60  11-12  11-28  13-14  13-18  14-15  15-16  16-61  17-18  19-20  19-24
  20-21  21-22  22-62  23-24  43-63
isolated ring systems :
  containing 1 : 7 : 13 : 19 :

```

G1:[\*1],[\*2],[\*3],[\*4]

G2:H,[\*5]

G3:H,[\*6]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom  
10:Atom

Best Available Copy

11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom  
18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom  
28:Atom 31:Atom 34:Atom 42:CLASS 43:CLASS 45:CLASS 49:CLASS 50:CLASS  
52:CLASS 53:CLASS 54:CLASS 55:CLASS 56:CLASS 57:CLASS 59:CLASS  
60:CLASS 61:CLASS 62:CLASS 63:CLASS 64:CLASS 67:CLASS 68:CLASS  
69:CLASS 70:CLASS 71:CLASS 72:CLASS

Generic attributes :

45:

Saturation : Saturated

Number of Carbon Atoms : less than 7

Element Count :

Node 45: Limited

C,C1-6

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

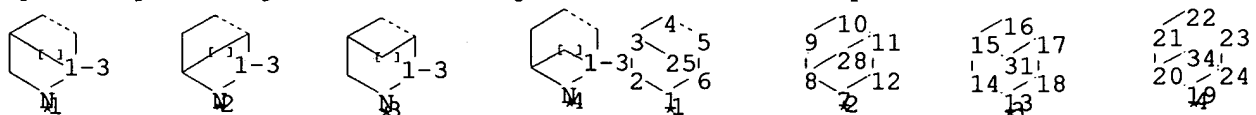
L3 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L4 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10761977 (species).str



chain nodes :

42 43

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23  
24 25 28 31 34

ring/chain nodes :

44

chain bonds :

42-43 43-44

ring bonds :

1-2 1-6 2-3 3-4 3-25 4-5 5-6 6-25 7-8 7-12 8-9 8-28 9-10 10-11 11-12  
11-28 13-14 13-18 14-15 15-16 15-31 16-17 17-18 17-31 19-20 19-24 20-21  
20-34 21-22 22-23 23-24 24-34

exact/norm bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18  
 14-15 15-16 15-31 16-17 17-18 17-31 19-20 19-24 20-21 20-34 21-22 22-23  
 23-24 24-34 42-43  
 exact bonds :  
 3-25 6-25 8-28 11-28 43-44  
 isolated ring systems :  
 containing 1 : 7 : 13 : 19 :

G1:[\*1],[\*2],[\*3],[\*4]

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 28:Atom 31:Atom 34:Atom  
 42:CLASS 43:CLASS 44:CLASS

L5 STRUCTURE UPLOADED

=> que L5 AND L3 NOT L4

L6 QUE L5 AND L3 NOT L4

=> d 16

L6 HAS NO ANSWERS

L3 SCR 1839

L4 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L5 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

L6 QUE L5 AND L3 NOT L4

=> s 16 sss sam

SAMPLE SEARCH INITIATED 17:19:06 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 81051 TO ITERATE

1.2% PROCESSED 1000 ITERATIONS  
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
 SEARCH TIME: 00.00.01

6 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
 BATCH \*\*INCOMPLETE\*\*

PROJECTED ITERATIONS: EXCEEDS 1000000

PROJECTED ANSWERS: EXCEEDS 8403

L7 6 SEA SSS SAM L5 AND L3 NOT L4

=> => ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=&gt; screen 1839

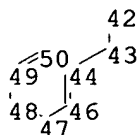
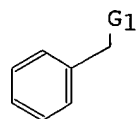
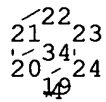
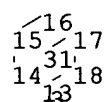
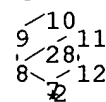
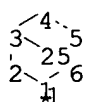
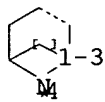
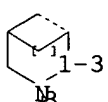
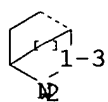
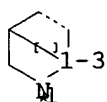
L8 SCREEN CREATED

=&gt; screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L9 SCREEN CREATED

=&gt;

Uploading C:\Program Files\Stnexp\Queries\10761977 (sp 1).str



chain nodes :

42 43

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23  
24 25 28 31 34 44 46 47 48 49 50

chain bonds :

42-43 43-44

ring bonds :

1-2 1-6 2-3 3-4 3-25 4-5 5-6 6-25 7-8 7-12 8-9 8-28 9-10 10-11 11-12  
11-28 13-14 13-18 14-15 15-16 15-31 16-17 17-18 17-31 19-20 19-24 20-21  
20-34 21-22 22-23 23-24 24-34 44-46 44-50 46-47 47-48 48-49 49-50

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18  
14-15 15-16 15-31 16-17 17-18 17-31 19-20 19-24 20-21 20-34 21-22 22-23  
23-24 24-34 42-43

exact bonds :  
 3-25 6-25 8-28 11-28 43-44  
 normalized bonds :  
 44-46 44-50 46-47 47-48 48-49 49-50  
 isolated ring systems :  
 containing 1 : 7 : 13 : 19 :

G1:[\*1],[\*2],[\*3],[\*4]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 28:Atom 31:Atom 34:Atom  
 42:CLASS 43:CLASS 44:CLASS 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom

L10 STRUCTURE UPLOADED

=> que L10 AND L8 NOT L9

L11 QUE L10 AND L8 NOT L9

=> d l11

L11 HAS NO ANSWERS

L8 SCR 1839

L9 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L10 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

L11 QUE L10 AND L8 NOT L9

=> s l11 sss sam

SAMPLE SEARCH INITIATED 17:21:14 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 50419 TO ITERATE

2.0% PROCESSED 1000 ITERATIONS  
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
 SEARCH TIME: 00.00.01

6 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
 BATCH \*\*INCOMPLETE\*\*

PROJECTED ITERATIONS: 994990 TO 1021770

PROJECTED ANSWERS: 5007 TO 7093

L12 6 SEA SSS SAM L10 AND L8 NOT L9

=> => ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

L13 SCREEN CREATED

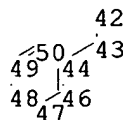
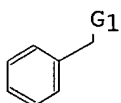
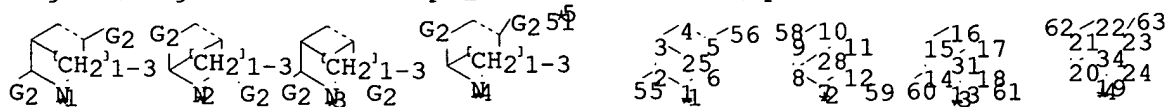
=&gt; screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L14 SCREEN CREATED

=&gt;

Uploading C:\Program Files\Stnexp\Queries\10761977 (sp 2).str

AR



chain nodes :

42 43 51 55 56 58 59 60 61 62 63

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23  
24 25 28 31 34 44 46 47 48 49 50

chain bonds :

2-55 5-56 9-58 12-59 14-60 18-61 21-62 23-63 42-43 43-44

ring bonds :

1-2 1-6 2-3 3-4 3-25 4-5 5-6 6-25 7-8 7-12 8-9 8-28 9-10 10-11 11-12  
11-28 13-14 13-18 14-15 15-16 15-31 16-17 17-18 17-31 19-20 19-24 20-21  
20-34 21-22 22-23 23-24 24-34 44-46 44-50 46-47 47-48 48-49 49-50

exact/norm bonds :

1-2 1-6 2-3 2-55 3-4 4-5 5-6 5-56 7-8 7-12 8-9 9-10 9-58 10-11 11-12  
12-59 13-14 13-18 14-15 14-60 15-16 15-31 16-17 17-18 17-31 18-61 19-20  
19-24 20-21 20-34 21-22 21-62 22-23 23-24 23-63 24-34 42-43

exact bonds :

3-25 6-25 8-28 11-28 43-44

normalized bonds :

44-46 44-50 46-47 47-48 48-49 49-50

isolated ring systems :

containing 1 : 7 : 13 : 19 :

G1:[\*1],[\*2],[\*3],[\*4]

G2:H,[\*5]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 28:Atom 31:Atom 34:Atom  
42:CLASS 43:CLASS 44:CLASS 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:CLASS  
55:CLASS 56:CLASS 58:CLASS 59:CLASS 60:CLASS 61:CLASS 62:CLASS 63:CLASS

Generic attributes :

51:

Saturation : Saturated

Number of Carbon Atoms : less than 7

Element Count :

Node 51: Limited

C,C1-6

L15 STRUCTURE UPLOADED

=> que L15 AND L13 NOT L14

L16 QUE L15 AND L13 NOT L14

=> d l16

L16 HAS NO ANSWERS

L13 SCR 1839

L14 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L15 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

L16 QUE L15 AND L13 NOT L14

=> s l16 sss sam

SAMPLE SEARCH INITIATED 17:26:39 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 50419 TO ITERATE

2.0% PROCESSED 1000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

3 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
BATCH \*\*INCOMPLETE\*\*

PROJECTED ITERATIONS: 994990 TO 1021770

PROJECTED ANSWERS: 2288 TO 3762



L17 3 SEA SSS SAM L15 AND L13 NOT L14

=> => ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

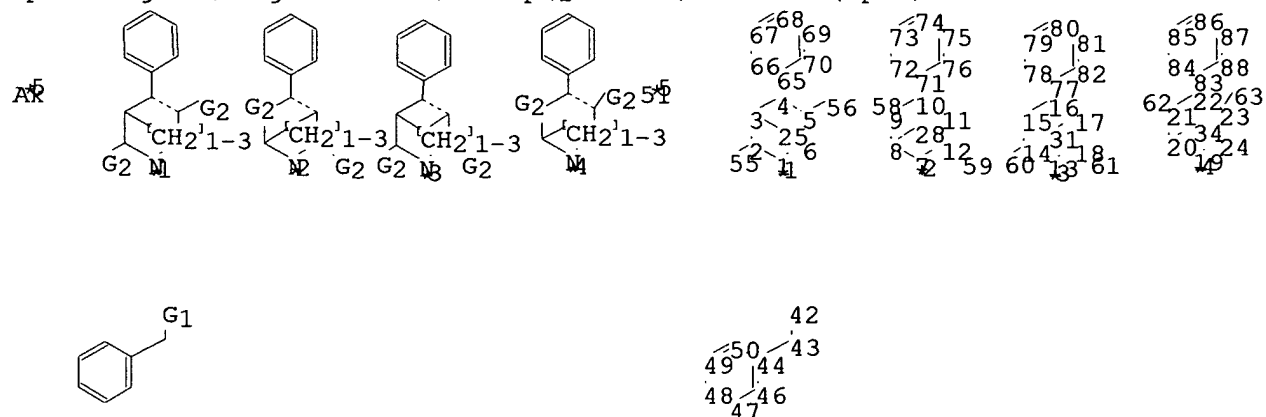
L18 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L19 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10761977 (sp 3).str



chain nodes :

42 43 51 55 56 58 59 60 61 62 63

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23  
24 25 28 31 34 44 46 47 48 49 50 65 66 67 68 69 70 71 72 73 74  
75 76 77 78 79 80 81 82 83 84 85 86 87 88

```

chain bonds :
2-55 4-65 5-56 9-58 10-71 12-59 14-60 16-77 18-61 21-62 22-83 23-63
42-43 43-44
ring bonds :
1-2 1-6 2-3 3-4 3-25 4-5 5-6 6-25 7-8 7-12 8-9 8-28 9-10 10-11 11-12
11-28 13-14 13-18 14-15 15-16 15-31 16-17 17-18 17-31 19-20 19-24 20-21
20-34 21-22 22-23 23-24 24-34 44-46 44-50 46-47 47-48 48-49 49-50 65-66
65-70 66-67 67-68 68-69 69-70 71-72 71-76 72-73 73-74 74-75 75-76 77-78
77-82 78-79 79-80 80-81 81-82 83-84 83-88 84-85 85-86 86-87 87-88
exact/norm bonds :
1-2 1-6 2-3 2-55 3-4 4-5 5-6 5-56 7-8 7-12 8-9 9-10 9-58 10-11 11-12
12-59 13-14 13-18 14-15 14-60 15-16 15-31 16-17 17-18 17-31 18-61 19-20
19-24 20-21 20-34 21-22 21-62 22-23 23-24 23-63 24-34 42-43
exact bonds :
3-25 4-65 6-25 8-28 10-71 11-28 16-77 22-83 43-44
normalized bonds :
44-46 44-50 46-47 47-48 48-49 49-50 65-66 65-70 66-67 67-68 68-69 69-70
71-72 71-76 72-73 73-74 74-75 75-76 77-78 77-82 78-79 79-80 80-81 81-82
83-84 83-88 84-85 85-86 86-87 87-88
isolated ring systems :
containing 1 : 7 : 13 : 19 :

```

G1:[\*1],[\*2],[\*3],[\*4]

G2:H,[\*5]

Match level :

```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 28:Atom 31:Atom 34:Atom
42:CLASS 43:CLASS 44:CLASS 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:CLASS
55:CLASS 56:CLASS 58:CLASS 59:CLASS 60:CLASS 61:CLASS 62:CLASS 63:CLASS
65:Atom 66:Atom 67:Atom 68:Atom 69:Atom 70:Atom 71:Atom 72:Atom 73:Atom
74:Atom 75:Atom 76:Atom 77:Atom 78:Atom 79:Atom 80:Atom 81:Atom 82:Atom
83:Atom 84:Atom 85:Atom 86:Atom 87:Atom 88:Atom

```

Generic attributes :

51:

Saturation : Saturated

Number of Carbon Atoms : less than 7

Element Count :

Node 51: Limited

C,C1-6

L20 STRUCTURE UPLOADED

=> que L20 AND L18 NOT L19

L21 QUE L20 AND L18 NOT L19

=> d l21

L21 HAS NO ANSWERS

L18 SCR 1839  
 L19 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047  
 L20 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

L21 QUE L20 AND L18 NOT L19

=> s l21 sss sam

SAMPLE SEARCH INITIATED 17:31:42 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 3336 TO ITERATE

30.0% PROCESSED 1000 ITERATIONS

3 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 63256 TO 70184

PROJECTED ANSWERS: 11 TO 389

L22 3 SEA SSS SAM L20 AND L18 NOT L19

=> => ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

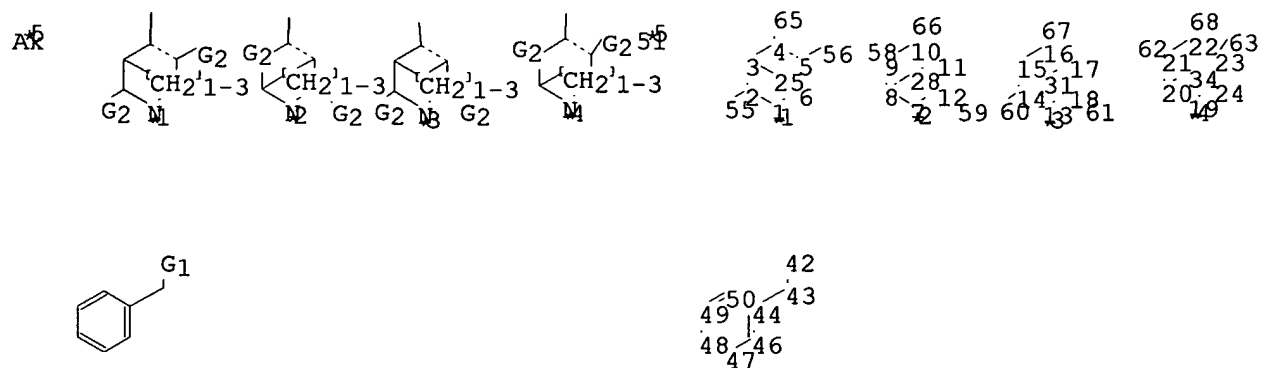
L23 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L24 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10761977 (sp 4).str



chain nodes :  
 42 43 51 55 56 58 59 60 61 62 63  
 ring nodes :  
 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23  
 24 25 28 31 34 44 46 47 48 49 50  
 ring/chain nodes :  
 65 66 67 68  
 chain bonds :  
 2-55 4-65 5-56 9-58 10-66 12-59 14-60 16-67 18-61 21-62 22-68 23-63  
 42-43 43-44  
 ring bonds :  
 1-2 1-6 2-3 3-4 3-25 4-5 5-6 6-25 7-8 7-12 8-9 8-28 9-10 10-11 11-12  
 11-28 13-14 13-18 14-15 15-16 15-31 16-17 17-18 17-31 19-20 19-24 20-21  
 20-34 21-22 22-23 23-24 24-34 44-46 44-50 46-47 47-48 48-49 49-50  
 exact/norm bonds :  
 1-2 1-6 2-3 2-55 3-4 4-5 5-6 5-56 7-8 7-12 8-9 9-10 9-58 10-11 11-12  
 12-59 13-14 13-18 14-15 14-60 15-16 15-31 16-17 17-18 17-31 18-61 19-20  
 19-24 20-21 20-34 21-22 21-62 22-23 23-24 23-63 24-34 42-43  
 exact bonds :  
 3-25 4-65 6-25 8-28 10-66 11-28 16-67 22-68 43-44  
 normalized bonds :  
 44-46 44-50 46-47 47-48 48-49 49-50  
 isolated ring systems :  
 containing 1 : 7 : 13 : 19 :

G1:[\*1],[\*2],[\*3],[\*4]

G2:H, [\*5]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 28:Atom 31:Atom 34:Atom  
 42:CLASS 43:CLASS 44:CLASS 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:CLASS  
 55:CLASS 56:CLASS 58:CLASS 59:CLASS 60:CLASS 61:CLASS 62:CLASS 63:CLASS  
 65:CLASS 66:CLASS 67:CLASS 68:CLASS

Generic attributes :

51:

Saturation : Saturated

Number of Carbon Atoms : less than 7

Element Count :

Node 51: Limited

C, C1-6

L25 STRUCTURE UPLOADED

=> que L25 AND L23 NOT L24

L26 QUE L25 AND L23 NOT L24

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

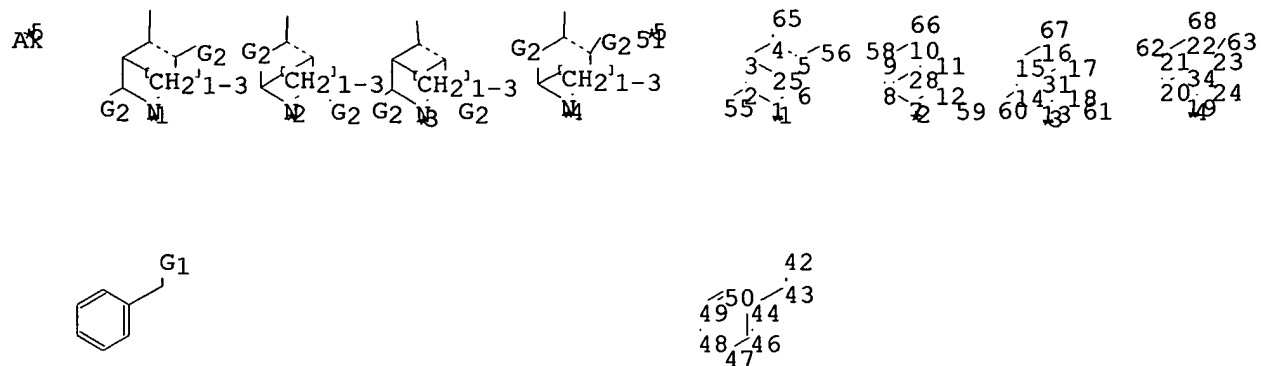
L27 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L28 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10761977 (sp 4).str



```

chain nodes :
42 43 51 55 56 58 59 60 61 62 63
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24 25 28 31 34 44 46 47 48 49 50
ring/chain nodes :
65 66 67 68
chain bonds :
2-55 4-65 5-56 9-58 10-66 12-59 14-60 16-67 18-61 21-62 22-68 23-63
42-43 43-44
ring bonds :
1-2 1-6 2-3 3-4 3-25 4-5 5-6 6-25 7-8 7-12 8-9 8-28 9-10 10-11 11-12
11-28 13-14 13-18 14-15 15-16 15-31 16-17 17-18 17-31 19-20 19-24 20-21
20-34 21-22 22-23 23-24 24-34 44-46 44-50 46-47 47-48 48-49 49-50
exact/norm bonds :
1-2 1-6 2-3 2-55 3-4 4-5 5-6 5-56 7-8 7-12 8-9 9-10 9-58 10-11 11-12
12-59 13-14 13-18 14-15 14-60 15-16 15-31 16-17 17-18 17-31 18-61 19-20
19-24 20-21 20-34 21-22 21-62 22-23 23-24 23-63 24-34 42-43
exact bonds :
3-25 4-65 6-25 8-28 10-66 11-28 16-67 22-68 43-44
normalized bonds :
44-46 44-50 46-47 47-48 48-49 49-50
isolated ring systems :
containing 1 : 7 : 13 : 19 :

```

$$G1: [*1], [*2], [*3], [*4]$$

G2:H, [\*5]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 28:Atom 31:Atom 34:Atom  
 42:CLASS 43:CLASS 44:CLASS 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:CLASS  
 55:CLASS 56:CLASS 58:CLASS 59:CLASS 60:CLASS 61:CLASS 62:CLASS 63:CLASS  
 65:CLASS 66:CLASS 67:CLASS 68:CLASS

Generic attributes :

51:

Saturation : Saturated

Number of Carbon Atoms : less than 7

Element Count :

Node 51: Limited

C, C1-6

L29 STRUCTURE UPLOADED

=> que L29 AND L27 NOT L28

L30 QUE L29 AND L27 NOT L28

=> d l30

L30 HAS NO ANSWERS

L27 SCR 1839

L28 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L29 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

L30 QUE L29 AND L27 NOT L28

=> s l30 sss sam

SAMPLE SEARCH INITIATED 17:34:39 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 11494 TO ITERATE

8.7% PROCESSED 1000 ITERATIONS

3 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 223457 TO 236303

PROJECTED ANSWERS: 337 TO 1041

L31 3 SEA SSS SAM L29 AND L27 NOT L28

=> => ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

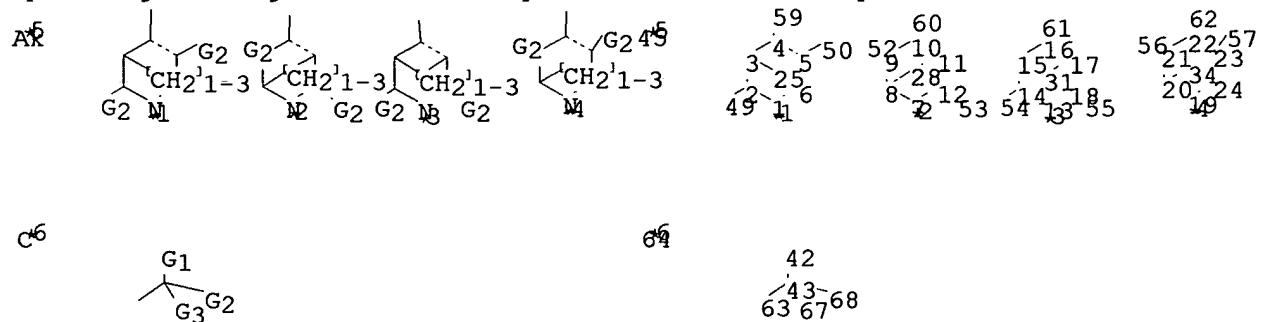
L32 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L33 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10761977 (sp 5).str



chain nodes :

42 43 45 49 50 52 53 54 55 56 57 67 68

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23  
24 25 28 31 34

ring/chain nodes :

59 60 61 62 63 64

chain bonds :

2-49 4-59 5-50 9-52 10-60 12-53 14-54 16-61 18-55 21-56 22-62 23-57  
42-43 43-63 43-67 43-68

ring bonds :

1-2 1-6 2-3 3-4 3-25 4-5 5-6 6-25 7-8 7-12 8-9 8-28 9-10 10-11 11-12  
11-28 13-14 13-18 14-15 15-16 15-31 16-17 17-18 17-31 19-20 19-24 20-21  
20-34 21-22 22-23 23-24 24-34

exact/norm bonds :

1-2 1-6 2-3 2-49 3-4 4-5 5-6 5-50 7-8 7-12 8-9 9-10 9-52 10-11 11-12  
12-53 13-14 13-18 14-15 14-54 15-16 15-31 16-17 17-18 17-31 18-55 19-20  
19-24 20-21 20-34 21-22 21-56 22-23 23-24 23-57 24-34 42-43 43-67 43-68



exact bonds :  
 3-25 4-59 6-25 8-28 10-60 11-28 16-61 22-62 43-63  
 isolated ring systems :  
 containing 1 : 7 : 13 : 19 :

G1:[\*1],[\*2],[\*3],[\*4]

G2:H,[\*5]

G3:H,[\*6]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 28:Atom 31:Atom 34:Atom  
 42:CLASS 43:CLASS 45:CLASS 49:CLASS 50:CLASS 52:CLASS 53:CLASS 54:CLASS  
 55:CLASS 56:CLASS 57:CLASS 59:CLASS 60:CLASS 61:CLASS 62:CLASS 63:CLASS  
 64:CLASS 67:CLASS 68:CLASS

Generic attributes :

45:

Saturation : Saturated

Number of Carbon Atoms : less than 7

Element Count :

Node 45: Limited

C,C1-6

L34 STRUCTURE UPLOADED

=> que L34 AND L32 NOT L33

L35 QUE L34 AND L32 NOT L33

=> d 135

L35 HAS NO ANSWERS

L32 SCR 1839

L33 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L34 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

L35 QUE L34 AND L32 NOT L33

=> s 135 sss sam

SAMPLE SEARCH INITIATED 17:39:36 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 22588 TO ITERATE

4.4% PROCESSED 1000 ITERATIONS

3 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS: 442768 TO 460752  
 PROJECTED ANSWERS: 862 TO 1848

L36 3 SEA SSS SAM L34 AND L32 NOT L33

=> => ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

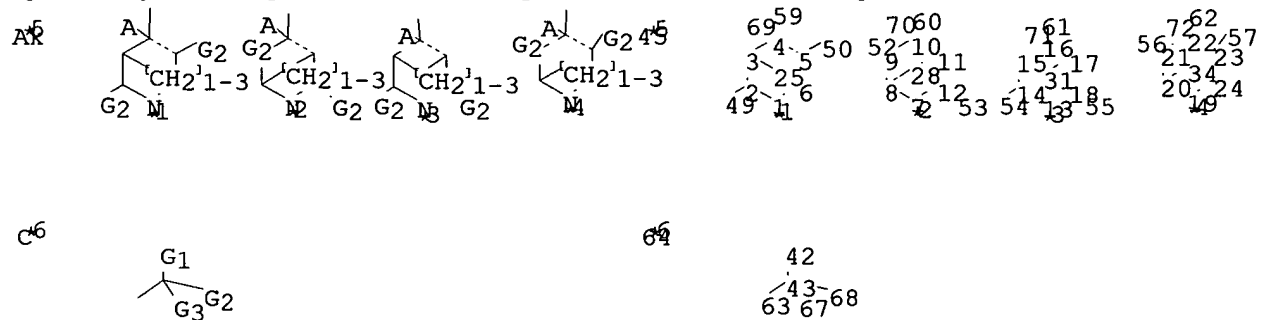
L37 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L38 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10761977 (sp 6).str



chain nodes :

42 43 45 49 50 52 53 54 55 56 57 67 68

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23  
 24 25 28 31 34

ring/chain nodes :

59 60 61 62 63 64 69 70 71 72

chain bonds :

2-49 4-59 4-69 5-50 9-52 10-60 10-70 12-53 14-54 16-61 16-71 18-55  
 21-56 22-62 22-72 23-57 42-43 43-63 43-67 43-68  
 ring bonds :  
 1-2 1-6 2-3 3-4 3-25 4-5 5-6 6-25 7-8 7-12 8-9 8-28 9-10 10-11 11-12  
 11-28 13-14 13-18 14-15 15-16 15-31 16-17 17-18 17-31 19-20 19-24 20-21  
 20-34 21-22 22-23 23-24 24-34  
 exact/norm bonds :  
 1-2 1-6 2-3 2-49 3-4 4-5 4-69 5-6 5-50 7-8 7-12 8-9 9-10 9-52 10-11  
 10-70 11-12 12-53 13-14 13-18 14-15 14-54 15-16 15-31 16-17 16-71 17-18  
 17-31 18-55 19-20 19-24 20-21 20-34 21-22 21-56 22-23 22-72 23-24 23-57  
 24-34 42-43 43-67 43-68  
 exact bonds :  
 3-25 4-59 6-25 8-28 10-60 11-28 16-61 22-62 43-63  
 isolated ring systems :  
 containing 1 : 7 : 13 : 19 :

G1:[\*1],[\*2],[\*3],[\*4]

G2:H,[\*5]

G3:H,[\*6]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 28:Atom 31:Atom 34:Atom  
 42:CLASS 43:CLASS 45:CLASS 49:CLASS 50:CLASS 52:CLASS 53:CLASS 54:CLASS  
 55:CLASS 56:CLASS 57:CLASS 59:CLASS 60:CLASS 61:CLASS 62:CLASS 63:CLASS  
 64:CLASS 67:CLASS 68:CLASS 69:CLASS 70:CLASS 71:CLASS 72:CLASS

Generic attributes :

45:

Saturation : Saturated

Number of Carbon Atoms : less than 7

Element Count :

Node 45: Limited

C,C1-6

L39 STRUCTURE UPLOADED

=> que L39 AND L37 NOT L38

L40 QUE L39 AND L37 NOT L38

=> d 140

L40 HAS NO ANSWERS

L37 SCR 1839

L38 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L39 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.  
L40                   QUE   L39 AND L37 NOT L38

=> s l40 sss sam

SAMPLE SEARCH INITIATED 17:43:11 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 22588 TO ITERATE

4.4% PROCESSED       1000 ITERATIONS                               1 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:   ONLINE   \*\*INCOMPLETE\*\*  
                              BATCH   \*\*COMPLETE\*\*

PROJECTED ITERATIONS:       442768 TO   460752

PROJECTED ANSWERS:           166 TO       736

L41                   1 SEA SSS SAM L39 AND L37 NOT L38

=> => ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

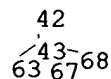
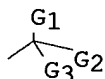
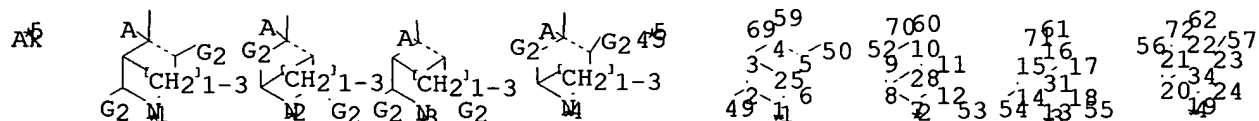
L42    SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L43    SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10761977 (sp 7).str



```
chain nodes :
```

42 43 45 49 50 52 53 54 55 56 57 67 68

```
ring nodes :
```

[illegible]

```

ring/chain nodes :

```

59 60 61 62 63 64 69 70 71 72

chain bonds :

2-49 4-59 4-69 5-50 9-52 10-60 10-70 12-53 14-54 16-61 16-71 18-55  
21-56 22-62 22-72 23-57 42-43 43-63 43-67 43-68

ring bonds :

[illegible]

```
exact/norm bonds :
```

2-49 4-5 4-69 5-50 9-52 10-11 10-70 12-53 14-54 15-31 16-17 16-71 17-31  
18-55 20-34 21-56 22-23 22-72 23-57 24-34 42-43 43-67 43-68

exact bonds :

[illegible]

isolated ring systems :

containing 1 : 7 : 13 : 19 :

$$G1: [*1], [*2], [*3], [*4]$$

G2:H, [\*5]

G3:H, [\*6]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 28:Atom 31:Atom 34:Atom  
 42:CLASS 43:CLASS 45:CLASS 49:CLASS 50:CLASS 52:CLASS 53:CLASS 54:CLASS  
 55:CLASS 56:CLASS 57:CLASS 59:CLASS 60:CLASS 61:CLASS 62:CLASS 63:CLASS  
 64:CLASS 67:CLASS 68:CLASS 69:CLASS 70:CLASS 71:CLASS 72:CLASS

Generic attributes :

45:

Saturation : Saturated

Number of Carbon Atoms : less than 7

Element Count :

Node 45: Limited

C,Cl-6

L44 STRUCTURE UPLOADED

=> que L44 AND L42 NOT L43

L45 QUE L44 AND L42 NOT L43

=> d 145

L45 HAS NO ANSWERS

L42 SCR 1839

L43 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L44 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

L45 QUE L44 AND L42 NOT L43

=> s 145 sss sam

SAMPLE SEARCH INITIATED 17:47:51 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 16584 TO ITERATE

6.0% PROCESSED 1000 ITERATIONS  
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
 SEARCH TIME: 00.00.01

2 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 323969 TO 339391

PROJECTED ANSWERS: 318 TO 1008

L46 2 SEA SSS SAM L44 AND L42 NOT L43

=> => s 145 sss ful

FULL SEARCH INITIATED 17:48:30 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 326669 TO ITERATE

100.0% PROCESSED 326669 ITERATIONS  
SEARCH TIME: 00.00.06

1439 ANSWERS

L47 1439 SEA SSS FUL L44 AND L42 NOT L43

=> => s 147

L48 187 L47

=> s cough?

L49 5176 COUGH?

=> s orl?

L50 4568 ORL?

=> s opioid?

L51 38671 OPIOID?

=> s tussiv? or antitussiv?

55 TUSSIV?

3131 ANTITUSSIV?

L52 3159 TUSSIV? OR ANTITUSSIV?

=> s nocicept?

L53 10087 NOCICEPT?

=> s 149 or 150 or 151 or 152 or 153

L54 56671 L49 OR L50 OR L51 OR L52 OR L53

=> s 148 and 154

L55 31 L48 AND L54

=> d 155 1-31 bib,ab,hitstr

L55 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:162035 CAPLUS

DN 142:233377

TI Pharmaceutical composition and method using a combination of an  
**opioid** receptor antagonist and an  $\alpha 2\delta$  ligand for the  
 prevention and treatment of addiction in a mammal

IN Coe, Jotham Wadsworth; Iredale, Philip A.; McHardy, Stanton Furst; McLean,  
 Stafford

PA Pfizer Inc., USA

SO U.S. Pat. Appl. Publ., 15 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005043345	A1	20050224	US 2004-870821	20040617
	WO 2005018670	A1	20050303	WO 2004-IB2602	20040809
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2003-497372P P 20030822

AB Pharmaceutical compns. are disclosed for the treatment of alc. or cocaine  
 dependence or addiction, tobacco dependence or addiction, reduction of alc.  
 withdrawal symptoms or aiding in the cessation or lessening of alc. use or  
 substance abuse or other behavioral dependencies including gambling. The  
 pharmaceutical compns. are comprised of a therapeutically effective  
 combination of an **opioid** receptor antagonist and an  
 $\alpha 2\delta$  ligand and a pharmaceutically acceptable carrier. The  
 method of using these compds. is also disclosed.

IT 778582-19-7 778582-23-3 778582-27-7

778582-32-4 778582-34-6 778582-60-8

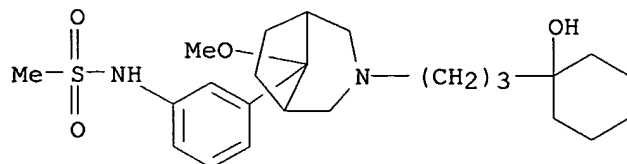
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)

(opioid receptor antagonist- $\alpha 2\delta$  ligand

combination for prevention and treatment of addiction)

RN 778582-19-7 CAPLUS

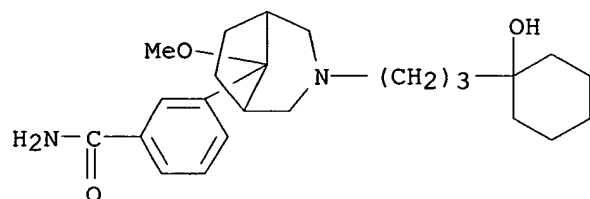
CN Methanesulfonamide, N-[3-[3-[3-(1-hydroxycyclohexyl)propyl]-8-methoxy-3-  
 azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 778582-23-3 CAPLUS

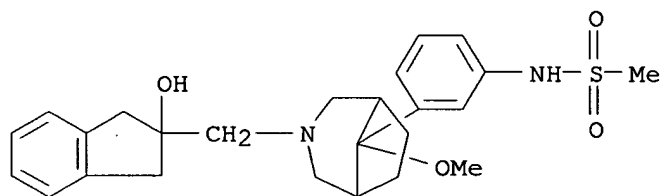


CN Benzamide, 3-[3-[3-(1-hydroxycyclohexyl)propyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



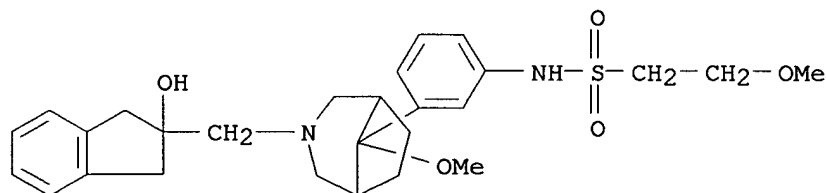
RN 778582-27-7 CAPLUS

CN Methanesulfonamide, N-[3-[3-[(2,3-dihydro-2-hydroxy-1H-inden-2-yl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



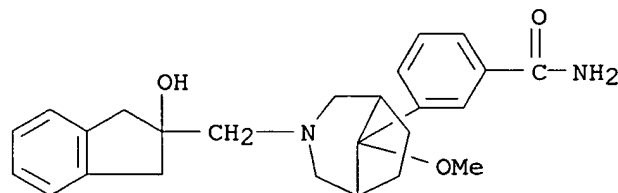
RN 778582-32-4 CAPLUS

CN Ethanesulfonamide, N-[3-[3-[(2,3-dihydro-2-hydroxy-1H-inden-2-yl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 778582-34-6 CAPLUS

CN Benzamide, 3-[3-[(2,3-dihydro-2-hydroxy-1H-inden-2-yl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)

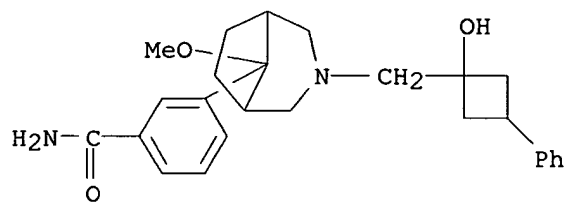


RN 778582-60-8 CAPLUS

CN Benzamide, 3-[3-[(1-hydroxy-3-phenylcyclobutyl)methyl]-8-methoxy-3-

10/761,977

azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



L55 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:160837 CAPLUS

DN 142:233372

TI Pharmaceutical composition using a combination of an **opioid** receptor antagonist and a CB-1 receptor antagonist for the prevention and treatment of addiction in a mammal

IN Coe, Jotham Wadsworth; Iredale, Philip A.; McHardy, Stanton Furst; McLean, Stafford

PA Pfizer Inc, USA

SO U.S. Pat. Appl. Publ., 25 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005043327	A1	20050224	US 2004-870209	20040617
	WO 2005018645	A1	20050303	WO 2004-IB2596	20040809
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2003-496803P P 20030821

AB Pharmaceutical compns. are disclosed for the treatment of alc. or cocaine dependence or addiction, tobacco dependence or addiction, reduction of alc. withdrawal symptoms or aiding in the cessation or lessening of alc. use or substance abuse or other behavioral dependencies including gambling. The pharmaceutical compns. are comprised of a therapeutically effective combination of an **opioid** receptor antagonist and a CB-1 receptor antagonist and a pharmaceutically acceptable carrier. The method of using these compds. is also disclosed.

IT 778582-19-7 778582-23-3 778582-27-7

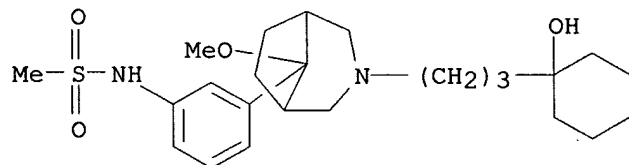
778582-32-4 778582-34-6 778582-60-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(**opioid** receptor antagonist-CB-1 receptor antagonist combination for prevention and treatment of addiction)

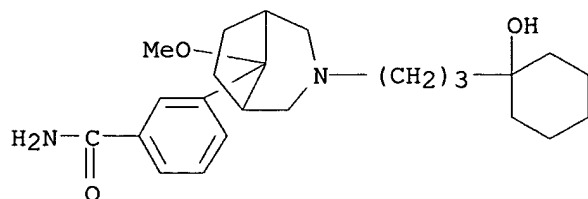
RN 778582-19-7 CAPLUS

CN Methanesulfonamide, N-[3-[3-[3-(1-hydroxycyclohexyl)propyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



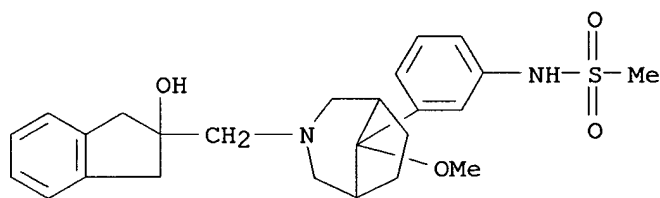
RN 778582-23-3 CAPLUS

CN Benzamide, 3-[3-[3-(1-hydroxycyclohexyl)propyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



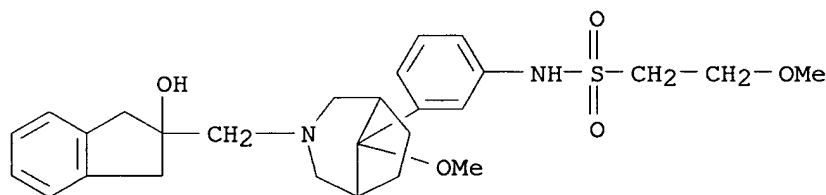
RN 778582-27-7 CAPLUS

CN Methanesulfonamide, N-[3-[3-[(2,3-dihydro-2-hydroxy-1H-inden-2-yl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



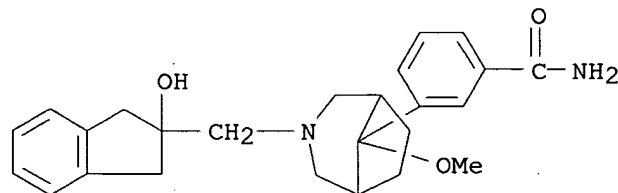
RN 778582-32-4 CAPLUS

CN Ethanesulfonamide, N-[3-[3-[(2,3-dihydro-2-hydroxy-1H-inden-2-yl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 778582-34-6 CAPLUS

CN Benzamide, 3-[3-[(2,3-dihydro-2-hydroxy-1H-inden-2-yl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)

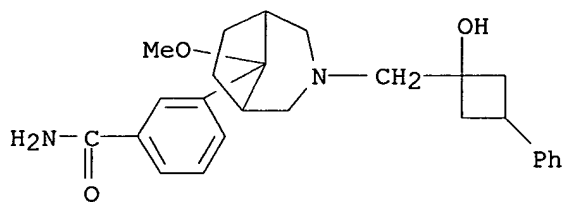


RN 778582-60-8 CAPLUS

CN Benzamide, 3-[3-[(1-hydroxy-3-phenylcyclobutyl)methyl]-8-methoxy-3-

10/761,977

azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



L55 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:872783 CAPLUS  
 DN 141:366141  
 TI Preparation of 3-azabicyclo[3.2.1]octane derivatives for use in  
 pharmaceutical compositions as **opioid** receptor modulators  
 IN Coe, Jotham Wadsworth; Mchardy, Stanton Furst; Bashore, Crystal Gayle  
 PA Pfizer Products Inc., USA  
 SO PCT Int. Appl., 95 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004089908	A2	20041021	WO 2004-IB1189	20040402
	WO 2004089908	A3	20041223		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	NL 1025932	A1	20041018	NL 2004-1025932	20040413
	US 2004259859	A1	20041223	US 2004-824037	20040414
PRAI	US 2003-462629P	P	20030414		
OS	MARPAT 141:366141				
AB	3-Azabicyclo[3.2.1]octanes, such as I [R = H, OH, CN, NH <sub>2</sub> , CONH <sub>2</sub> , alkyl, alkynyl, alkylsulfonylamino, etc.; R <sub>3</sub> = alkyl, arylalkyl, heteroarylalkyl, etc.; R <sub>8</sub> = H, OH, OMe] and specifically II, were prepared for therapeutic use in the treatment of disease states, disorders and conditions mediated by <b>opioid</b> receptors, such as irritable bowel syndrome, drug addiction, depression, anxiety, schizophrenia and eating disorders.				
IT	778582-19-7P 778582-20-0P 778582-21-1P 778582-22-2P 778582-23-3P 778582-24-4P 778582-25-5P 778582-27-7P 778582-29-9P 778582-30-2P 778582-31-3P 778582-32-4P 778582-33-5P 778582-34-6P 778582-35-7P 778582-36-8P 778582-37-9P 778582-38-0P 778582-39-1P 778582-40-4P 778582-41-5P 778582-42-6P 778582-43-7P 778582-44-8P 778582-45-9P 778582-46-0P 778582-47-1P 778582-48-2P 778582-49-3P 778582-50-6P 778582-51-7P 778582-52-8P 778582-53-9P 778582-54-0P 778582-55-1P 778582-56-2P 778582-57-3P 778582-58-4P 778582-59-5P 778582-60-8P 778582-61-9P 778582-62-0P 778582-63-1P 778582-64-2P 778582-65-3P 778582-66-4P 778582-67-5P 778582-68-6P 778582-69-7P 778582-70-0P 778582-71-1P 778582-72-2P 778582-73-3P 778582-74-4P 778582-75-5P 778582-76-6P 778582-77-7P 778582-78-8P 778582-79-9P 778582-80-2P 778582-81-3P 778582-82-4P 778582-83-5P				

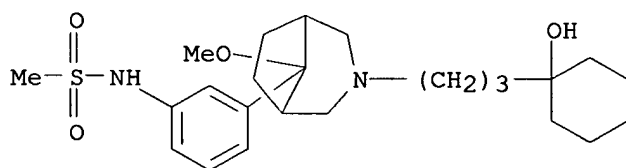
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 778583-43-0P 778583-44-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of 3-azabicyclo[3.2.1]octane derivs. for use in pharmaceutical  
 compns. as **opioid** receptor modulators)

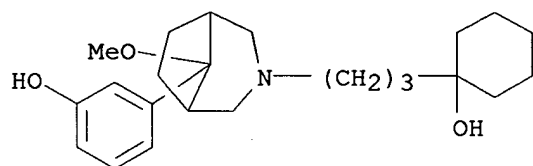
RN 778582-19-7 CAPLUS

CN Methanesulfonamide, N-[3-[3-[3-(1-hydroxycyclohexyl)propyl]-8-methoxy-3-  
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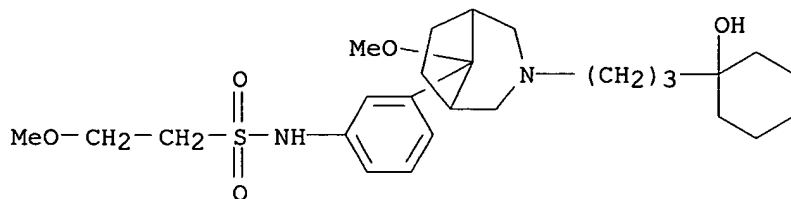
RN 778582-20-0 CAPLUS

CN Phenol, 3-[3-[3-(1-hydroxycyclohexyl)propyl]-8-methoxy-3-  
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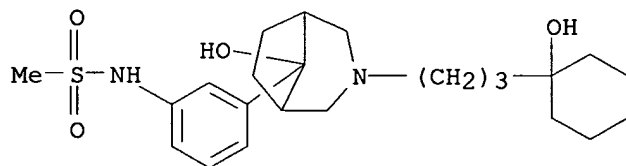
RN 778582-21-1 CAPLUS

CN Ethanesulfonamide, N-[3-[3-[3-(1-hydroxycyclohexyl)propyl]-8-methoxy-3-  
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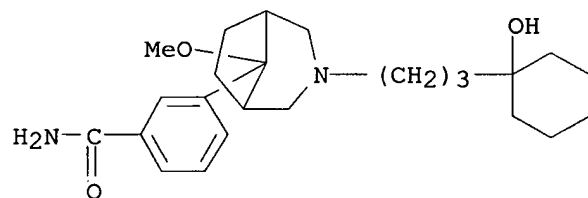
RN 778582-22-2 CAPLUS

CN Methanesulfonamide, N-[3-[8-hydroxy-3-[3-(1-hydroxycyclohexyl)propyl]-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



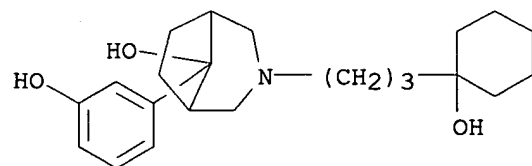
RN 778582-23-3 CAPLUS

CN Benzamide, 3-[3-[3-(1-hydroxycyclohexyl)propyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



RN 778582-24-4 CAPLUS

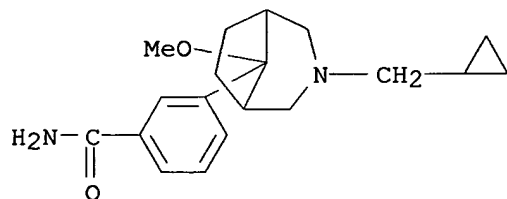
CN 3-Azabicyclo[3.2.1]octan-8-ol, 3-[3-(1-hydroxycyclohexyl)propyl]-8-(3-hydroxyphenyl)- (9CI) (CA INDEX NAME)



RN 778582-25-5 CAPLUS

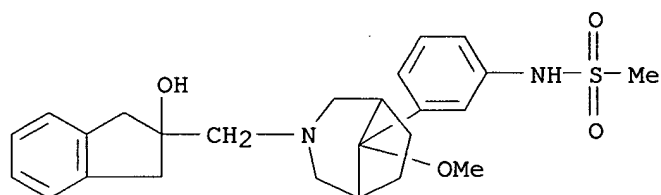
CN Benzamide, 3-[3-(cyclopropylmethyl)-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)





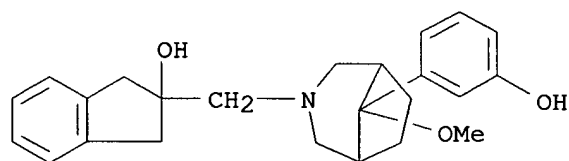
RN 778582-27-7 CAPLUS

CN Methanesulfonamide, N-[3-[3-[(2,3-dihydro-2-hydroxy-1H-inden-2-yl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



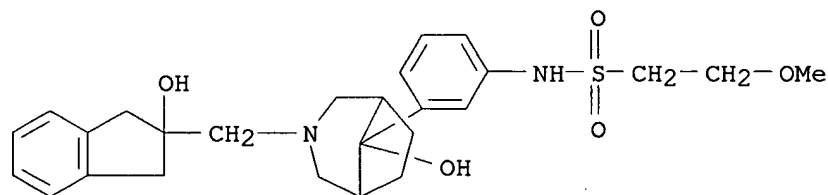
RN 778582-29-9 CAPLUS

CN 1H-Inden-2-ol, 2,3-dihydro-2-[[8-(3-hydroxyphenyl)-8-methoxy-3-azabicyclo[3.2.1]oct-3-yl]methyl]- (9CI) (CA INDEX NAME)



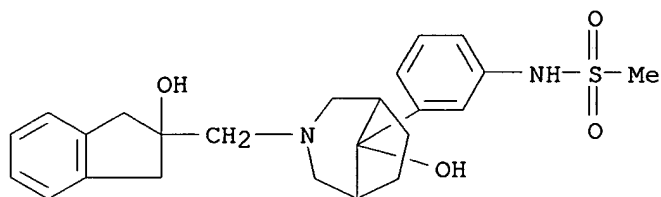
RN 778582-30-2 CAPLUS

CN Ethanesulfonamide, N-[3-[3-[(2,3-dihydro-2-hydroxy-1H-inden-2-yl)methyl]-8-hydroxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



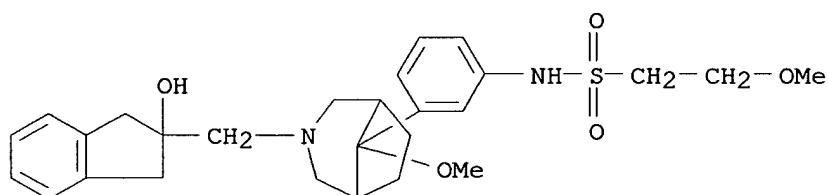
RN 778582-31-3 CAPLUS

CN Methanesulfonamide, N-[3-[3-[(2,3-dihydro-2-hydroxy-1H-inden-2-yl)methyl]-8-hydroxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



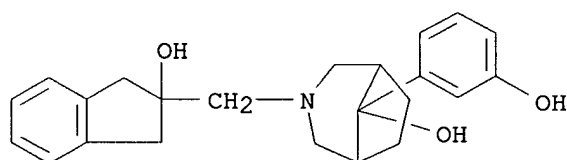
RN 778582-32-4 CAPLUS

CN Ethanedisulfonamide, N-[3-[3-[(2,3-dihydro-2-hydroxy-1H-inden-2-yl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



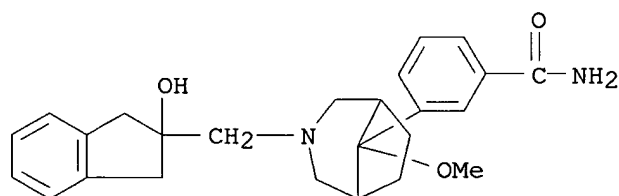
RN 778582-33-5 CAPLUS

CN 3-Azabicyclo[3.2.1]octan-8-ol, 3-[(2,3-dihydro-2-hydroxy-1H-inden-2-yl)methyl]-8-(3-hydroxyphenyl)- (9CI) (CA INDEX NAME)



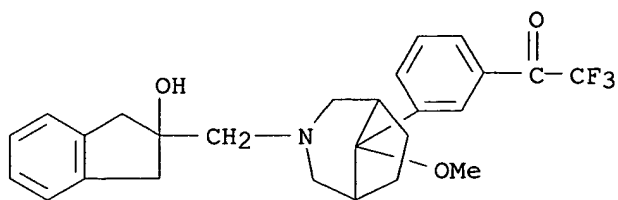
RN 778582-34-6 CAPLUS

CN Benzamide, 3-[3-[(2,3-dihydro-2-hydroxy-1H-inden-2-yl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



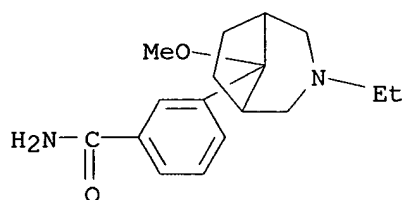
RN 778582-35-7 CAPLUS

CN Ethanone, 1-[3-[3-[(2,3-dihydro-2-hydroxy-1H-inden-2-yl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



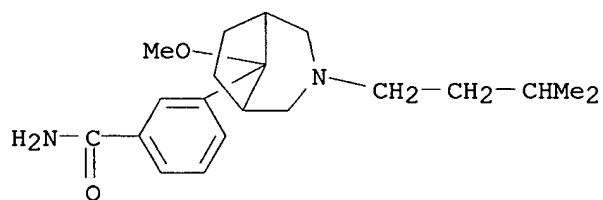
RN 778582-36-8 CAPLUS

CN Benzamide, 3-(3-ethyl-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl)- (9CI) (CA INDEX NAME)



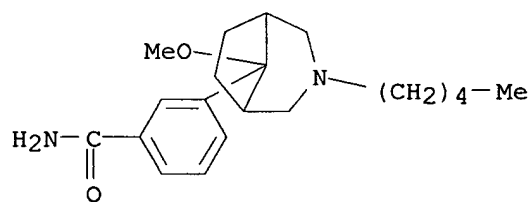
RN 778582-37-9 CAPLUS

CN Benzamide, 3-[8-methoxy-3-(3-methylbutyl)-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



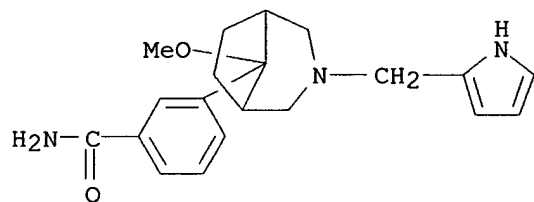
RN 778582-38-0 CAPLUS

CN Benzamide, 3-(8-methoxy-3-pentyl-3-azabicyclo[3.2.1]oct-8-yl)- (9CI) (CA INDEX NAME)



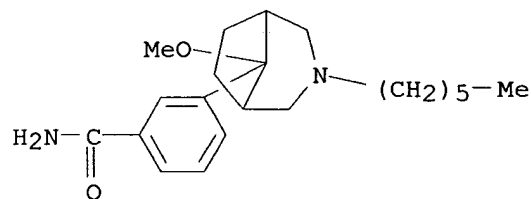
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CN Benzamide, 3-[8-methoxy-3-(1H-pyrrol-2-ylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



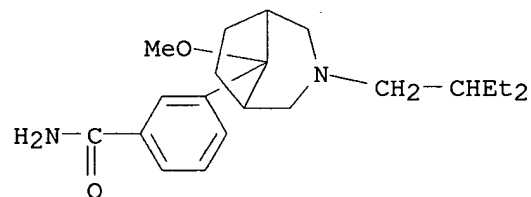
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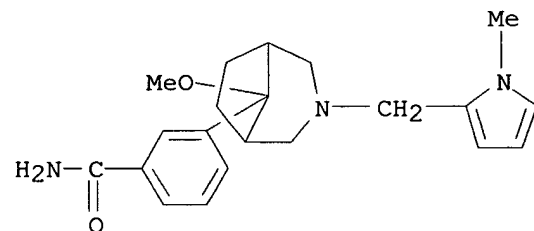
RN 778582-41-5 CAPLUS

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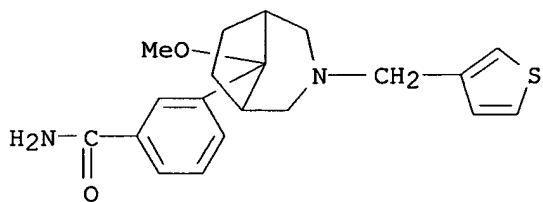
RN 778582-42-6 CAPLUS

CN Benzamide, 3-[8-methoxy-3-[(1-methyl-1H-pyrrol-2-yl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



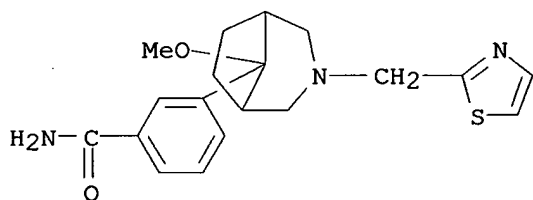
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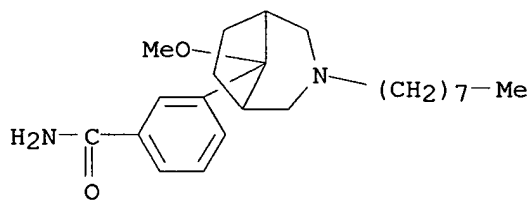
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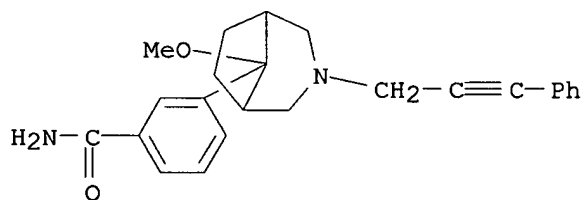
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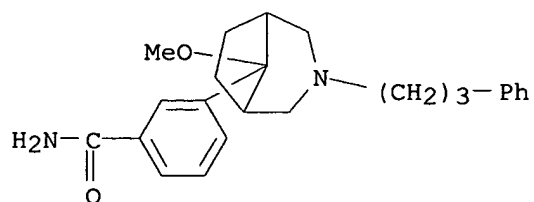
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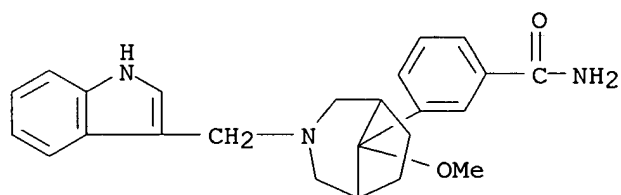
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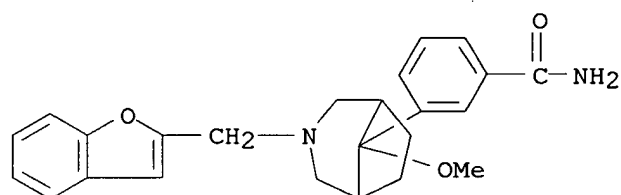
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CN Benzamide, 3-[3-(1H-indol-3-ylmethyl)-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



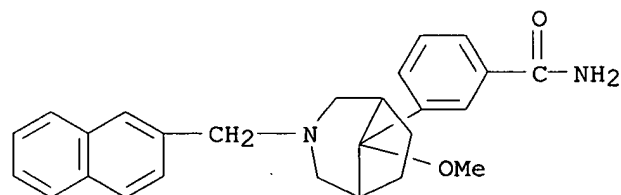
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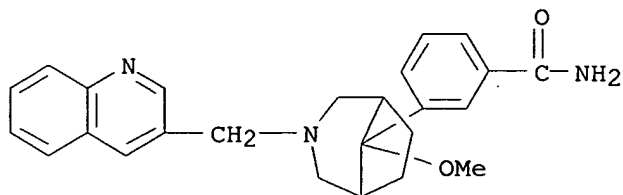
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CN Benzamide, 3-[8-methoxy-3-(2-naphthalenylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



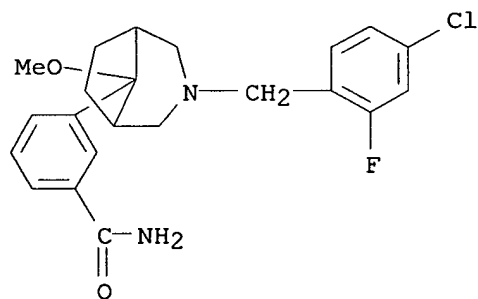
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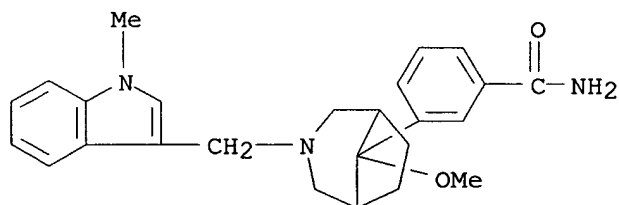
RN 778582-52-8 CAPLUS

CN Benzamide, 3-[3-[(4-chloro-2-fluorophenyl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



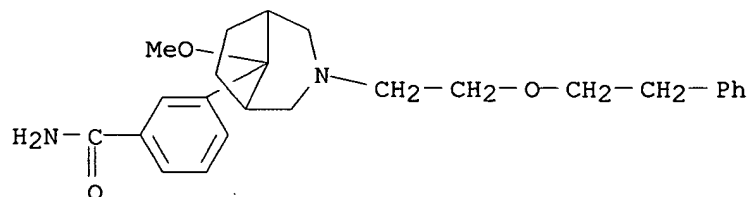
RN 778582-53-9 CAPLUS

CN Benzamide, 3-[8-methoxy-3-[(1-methyl-1H-indol-3-yl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



RN 778582-54-0 CAPLUS

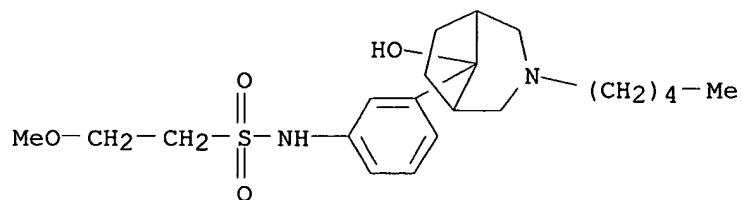
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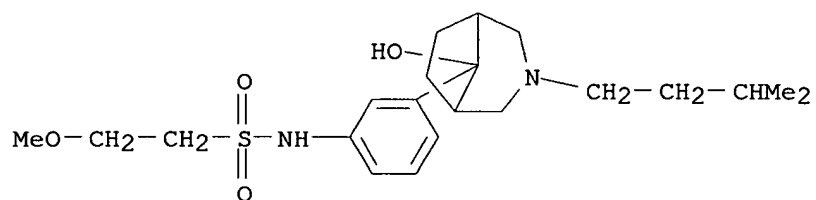
CN Ethanesulfonamide, N-[3-(8-hydroxy-3-pentyl-3-azabicyclo[3.2.1]oct-8-

yl)phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



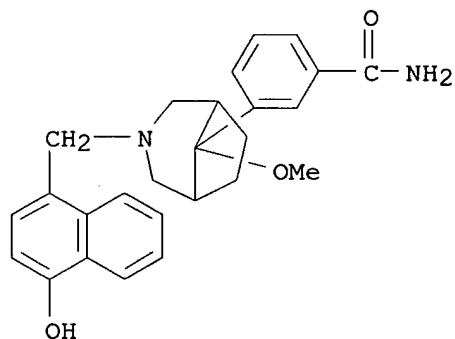
RN 778582-56-2 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-(3-methylbutyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 778582-57-3 CAPLUS

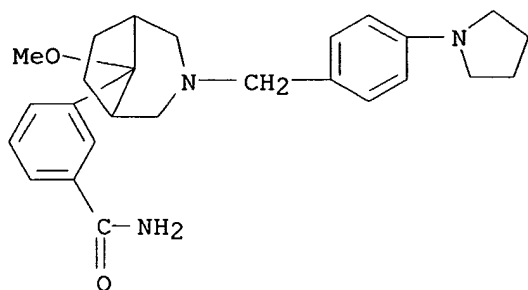
CN Benzamide, 3-[3-[(4-hydroxy-1-naphthalenyl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



RN 778582-58-4 CAPLUS

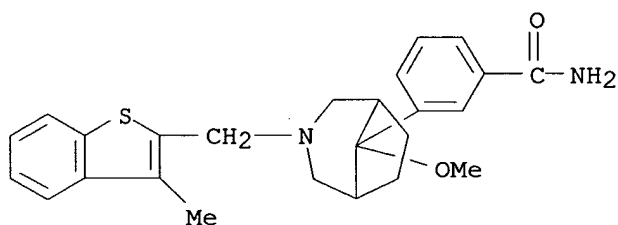
CN Benzamide, 3-[8-methoxy-3-[[4-(1-pyrrolidinyl)phenyl]methyl]-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)





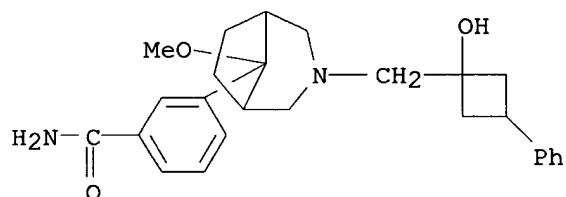
RN 778582-59-5 CAPLUS

CN Benzamide, 3-[8-methoxy-3-[(3-methylbenzo[b]thien-2-yl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



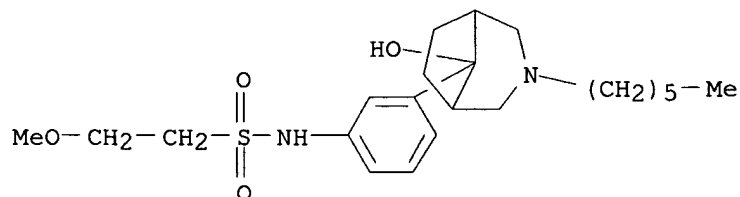
RN 778582-60-8 CAPLUS

CN Benzamide, 3-[3-[(1-hydroxy-3-phenylcyclobutyl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



RN 778582-61-9 CAPLUS

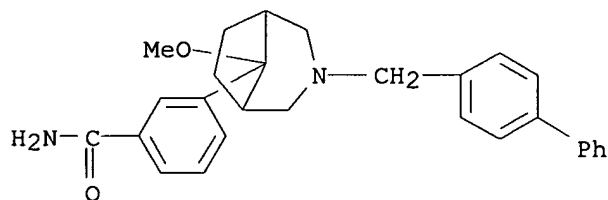
CN Ethanesulfonamide, N-[3-(3-hexyl-8-hydroxy-3-azabicyclo[3.2.1]oct-8-yl)phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 778582-62-0 CAPLUS

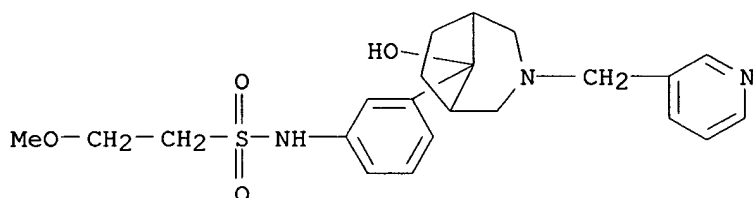
CN Benzamide, 3-[3-[(1,1'-biphenyl)-4-ylmethyl]-8-methoxy-3-

azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



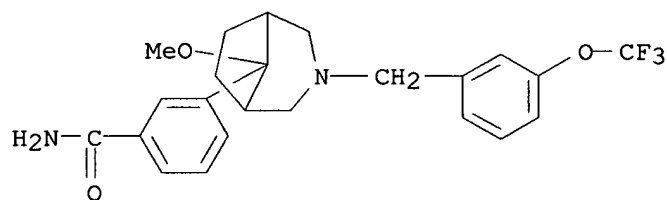
RN 778582-63-1 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-(3-pyridinylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



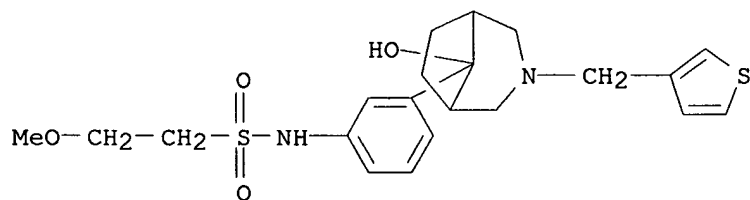
RN 778582-64-2 CAPLUS

CN Benzamide, 3-[8-methoxy-3-[[3-(trifluoromethoxy)phenyl]methyl]-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



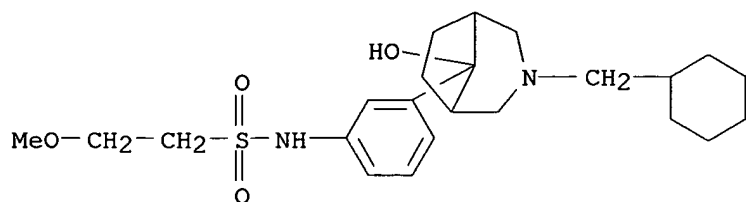
RN 778582-65-3 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-(3-thienylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



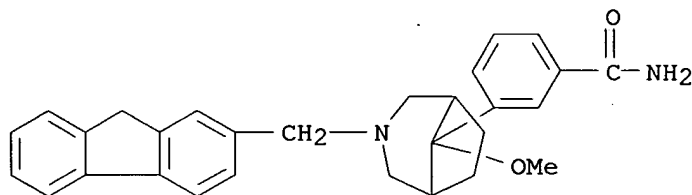
RN 778582-66-4 CAPLUS

CN Ethanesulfonamide, N-[3-[3-(cyclohexylmethyl)-8-hydroxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



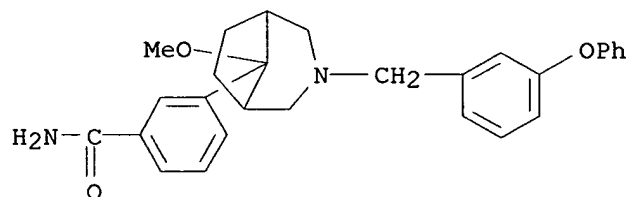
RN 778582-67-5 CAPLUS

CN Benzamide, 3-[3-(9H-fluoren-2-ylmethyl)-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



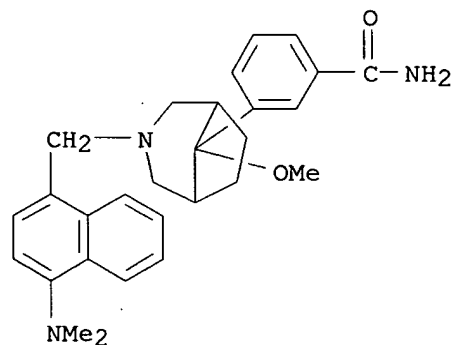
RN 778582-68-6 CAPLUS

CN Benzamide, 3-[8-methoxy-3-[(3-phenoxyphenyl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



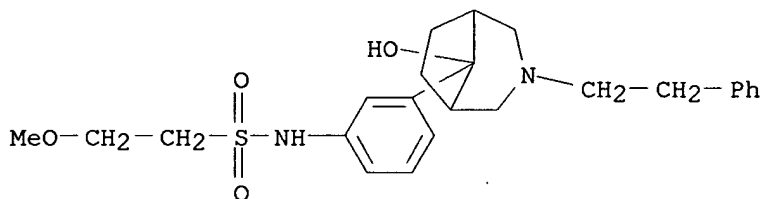
RN 778582-69-7 CAPLUS

CN Benzamide, 3-[3-[[4-(dimethylamino)-1-naphthalenyl]methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



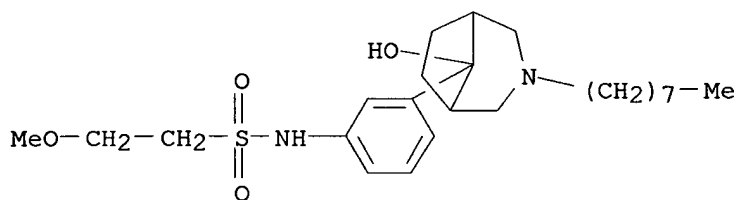
RN 778582-70-0 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-(2-phenylethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



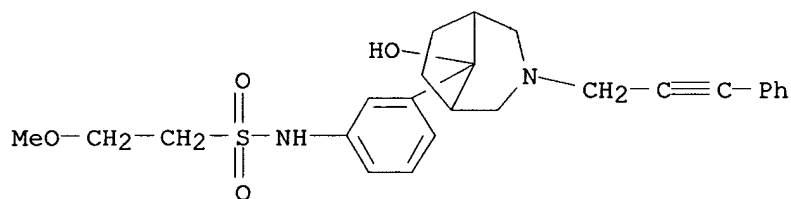
RN 778582-71-1 CAPLUS

CN Ethanesulfonamide, N-[3-(8-hydroxy-3-octyl-3-azabicyclo[3.2.1]oct-8-yl)phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



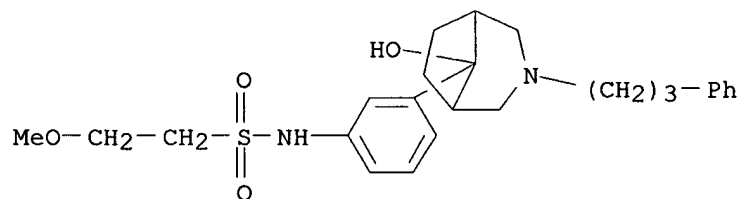
RN 778582-72-2 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-(3-phenyl-2-propynyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



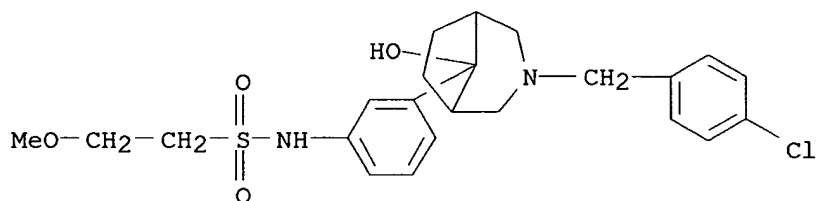
RN 778582-73-3 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-(3-phenylpropyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



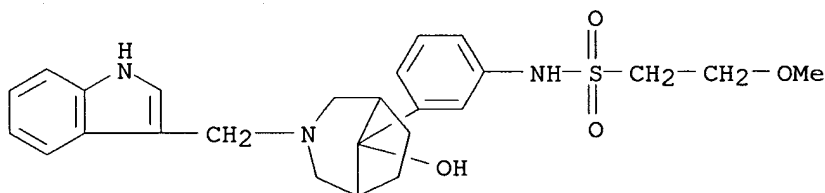
RN 778582-74-4 CAPLUS

CN Ethanesulfonamide, N-[3-[3-[(4-chlorophenyl)methyl]-8-hydroxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



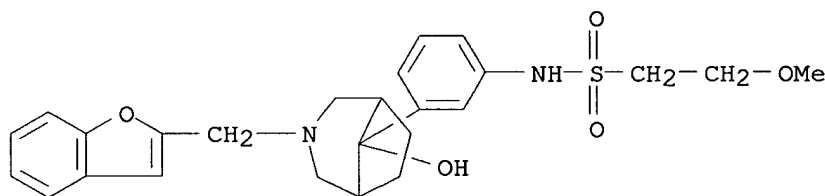
RN 778582-75-5 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-(1H-indol-3-ylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



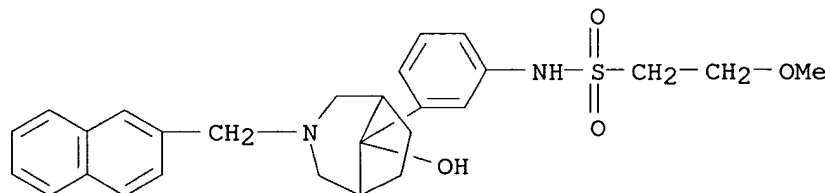
RN 778582-76-6 CAPLUS

CN Ethanesulfonamide, N-[3-[3-(2-benzofuranylmethyl)-8-hydroxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



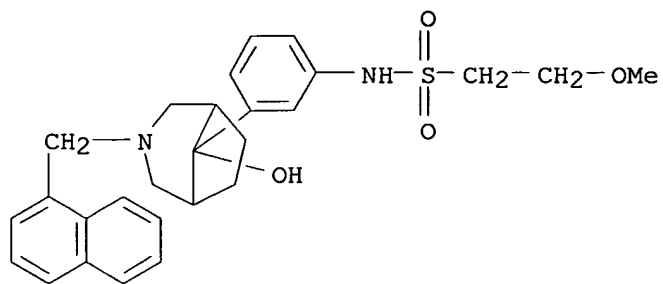
RN 778582-77-7 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-(2-naphthalenylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



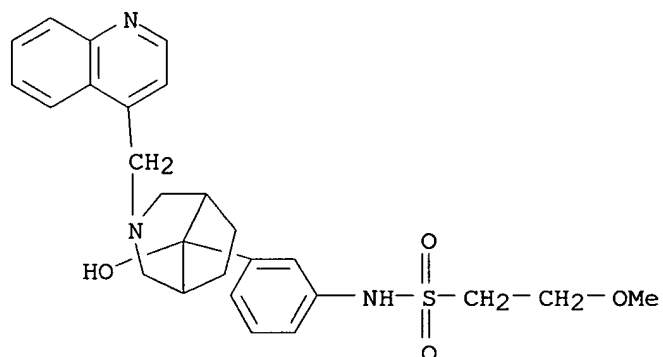
RN 778582-78-8 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-(1-naphthalenylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



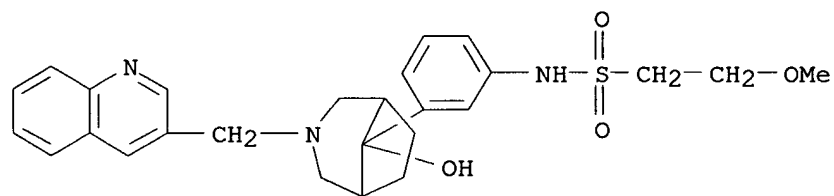
RN 778582-79-9 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-(4-quinolinylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



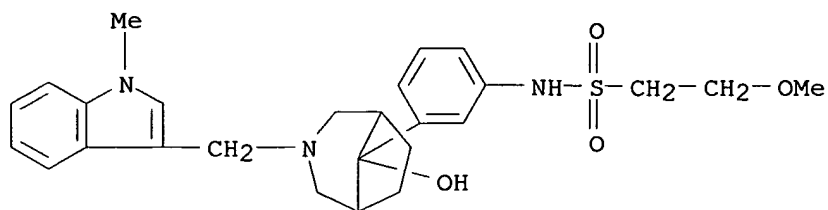
RN 778582-80-2 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-(3-quinolinylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



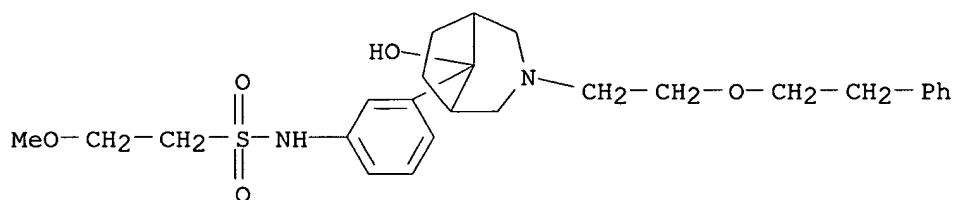
RN 778582-81-3 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-[(1-methyl-1H-indol-3-yl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



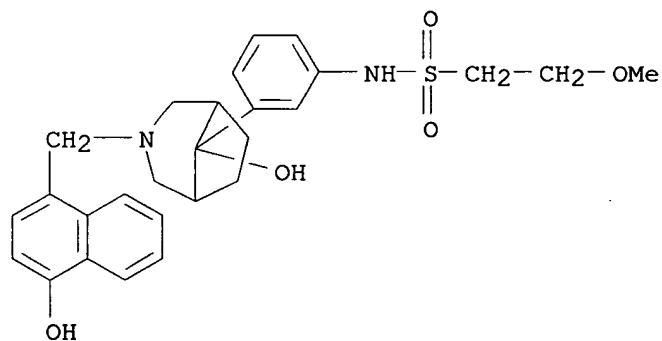
RN 778582-82-4 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-[2-(2-phenylethoxy)ethyl]-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



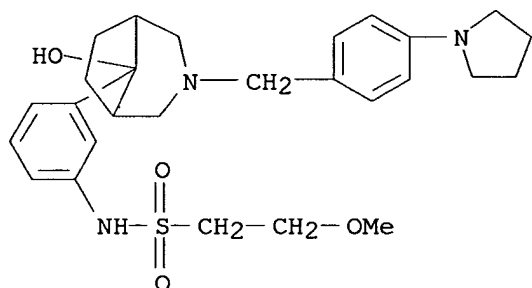
RN 778582-83-5 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-[(4-hydroxy-1-naphthalenyl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



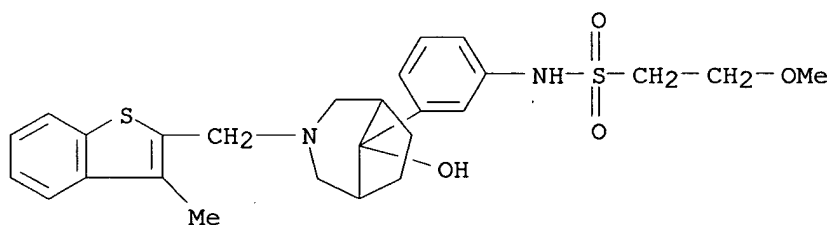
RN 778582-84-6 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-[[4-(1-pyrrolidinyl)phenyl]methyl]-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



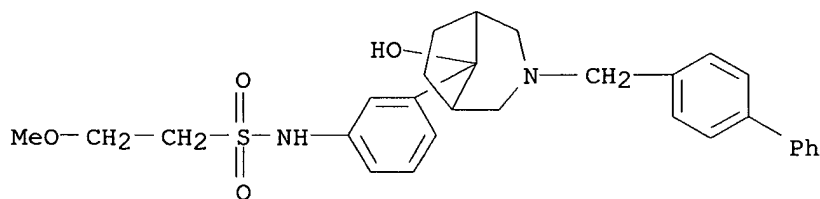
RN 778582-85-7 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-[(3-methylbenzo[b]thien-2-yl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



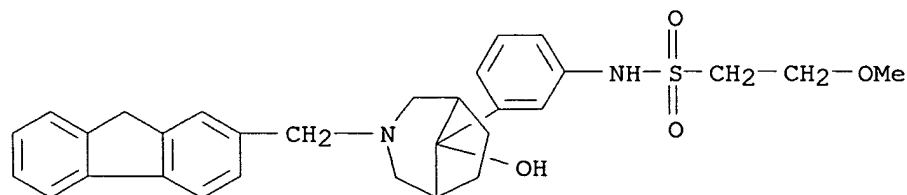
RN 778582-86-8 CAPLUS

CN Ethanesulfonamide, N-[3-[3-([1,1'-biphenyl]-4-ylmethyl)-8-hydroxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 778582-87-9 CAPLUS

CN Ethanesulfonamide, N-[3-[3-(9H-fluoren-2-ylmethyl)-8-hydroxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)

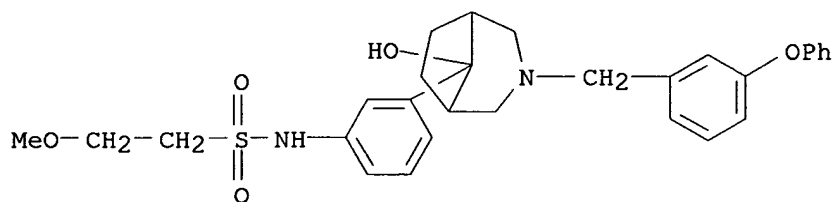


RN 778582-88-0 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-[(3-phenoxyphenyl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)

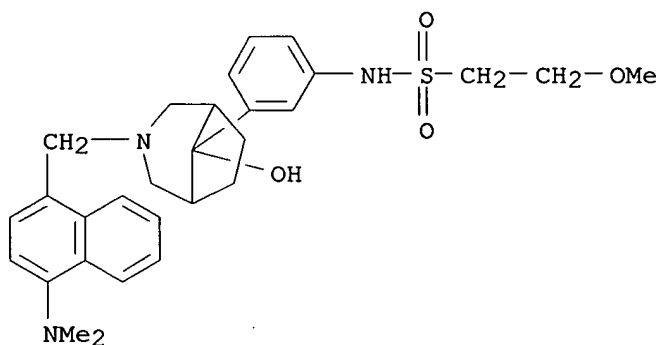


azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



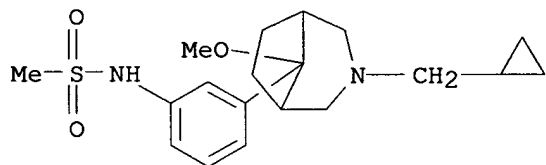
RN 778582-89-1 CAPLUS

CN Ethanesulfonamide, N-[3-[3-[[4-(dimethylamino)-1-naphthalenyl]methyl]-8-hydroxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



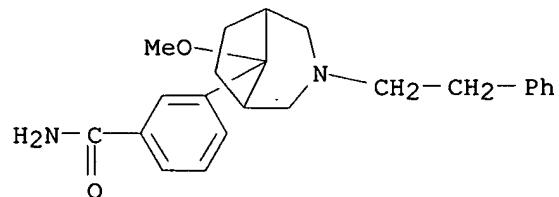
RN 778582-90-4 CAPLUS

CN Methanesulfonamide, N-[3-[3-(cyclopropylmethyl)-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



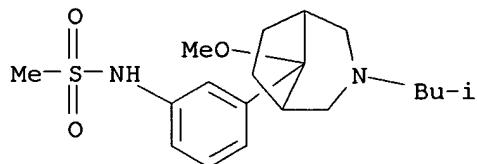
RN 778582-91-5 CAPLUS

CN Benzamide, 3-[8-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



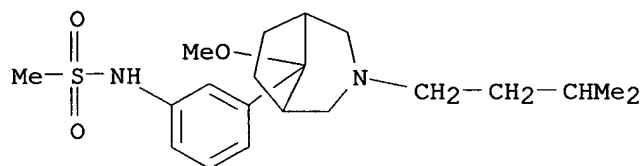
RN 778582-92-6 CAPLUS

CN Methanesulfonamide, N-[3-[8-methoxy-3-(2-methylpropyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



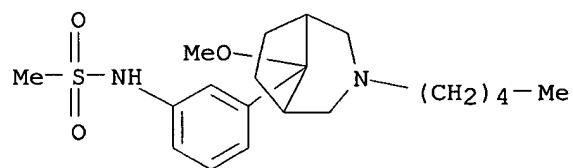
RN 778582-93-7 CAPLUS

CN Methanesulfonamide, N-[3-[8-methoxy-3-(3-methylbutyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



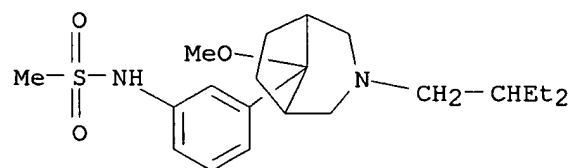
RN 778582-94-8 CAPLUS

CN Methanesulfonamide, N-[3-(8-methoxy-3-pentyl-3-azabicyclo[3.2.1]oct-8-yl)phenyl]- (9CI) (CA INDEX NAME)



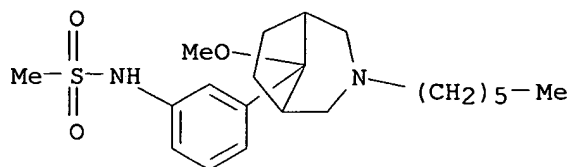
RN 778582-95-9 CAPLUS

CN Methanesulfonamide, N-[3-[3-(2-ethylbutyl)-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



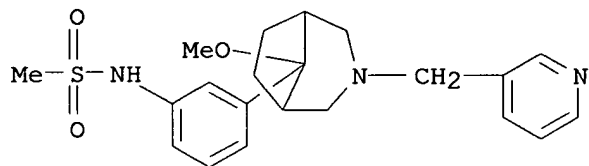
RN 778582-96-0 CAPLUS

CN Methanesulfonamide, N-[3-(3-hexyl-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl)phenyl]- (9CI) (CA INDEX NAME)



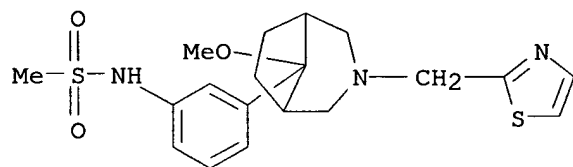
RN 778582-97-1 CAPLUS

CN Methanesulfonamide, N-[3-[8-methoxy-3-(3-pyridinylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



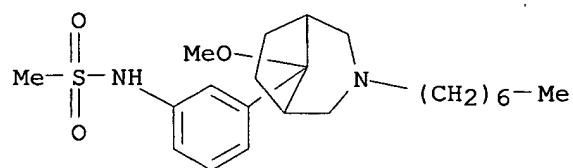
RN 778582-98-2 CAPLUS

CN Methanesulfonamide, N-[3-[8-methoxy-3-(2-thiazolylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



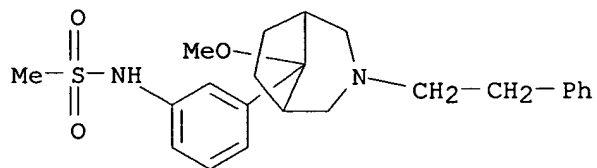
RN 778582-99-3 CAPLUS

CN Methanesulfonamide, N-[3-(3-heptyl-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl)phenyl]- (9CI) (CA INDEX NAME)



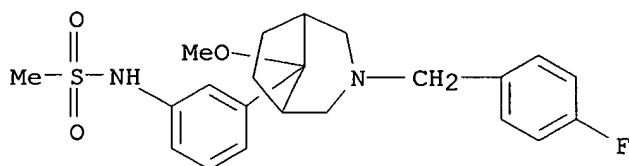
RN 778583-01-0 CAPLUS

CN Methanesulfonamide, N-[3-[8-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



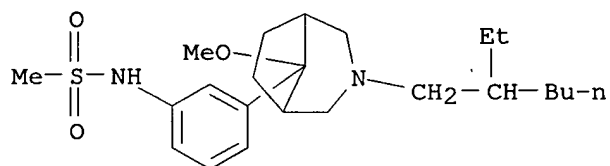
RN 778583-05-4 CAPLUS

CN Methanesulfonamide, N-[3-[3-[(4-fluorophenyl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



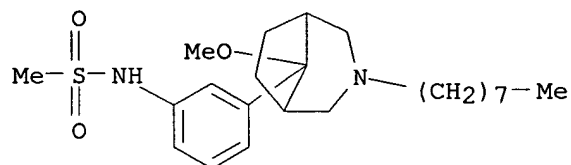
RN 778583-07-6 CAPLUS

CN Methanesulfonamide, N-[3-[3-(2-ethylhexyl)-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



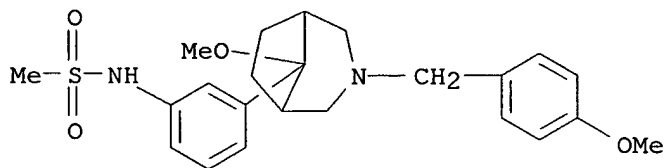
RN 778583-09-8 CAPLUS

CN Methanesulfonamide, N-[3-(8-methoxy-3-octyl-3-azabicyclo[3.2.1]oct-8-yl)phenyl]- (9CI) (CA INDEX NAME)



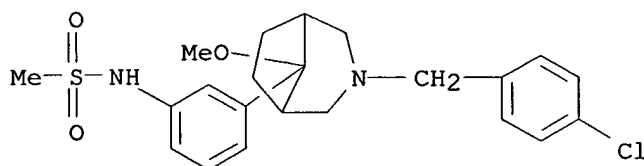
RN 778583-11-2 CAPLUS

CN Methanesulfonamide, N-[3-[8-methoxy-3-[(4-methoxyphenyl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



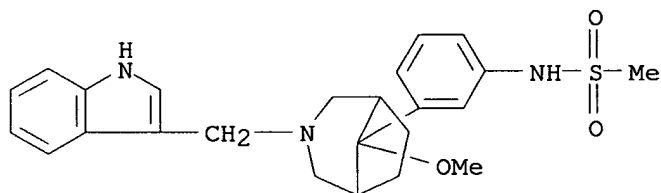
RN 778583-12-3 CAPLUS

CN Methanesulfonamide, N-[3-[3-[(4-chlorophenyl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



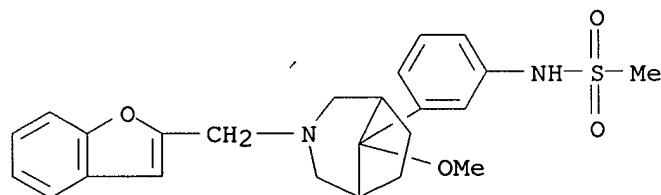
RN 778583-14-5 CAPLUS

CN Methanesulfonamide, N-[3-[3-(1H-indol-3-ylmethyl)-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



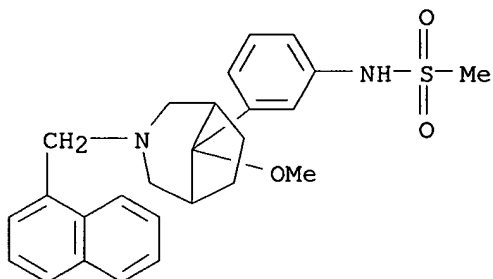
RN 778583-17-8 CAPLUS

CN Methanesulfonamide, N-[3-[3-(2-benzofuranylmethyl)-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



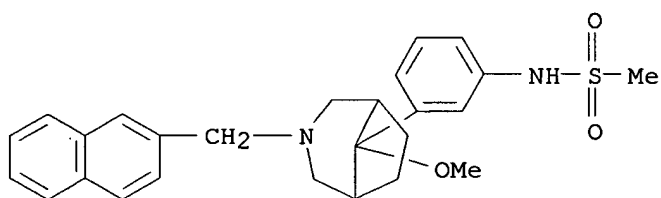
RN 778583-18-9 CAPLUS

CN Methanesulfonamide, N-[3-[8-methoxy-3-(1-naphthalenylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



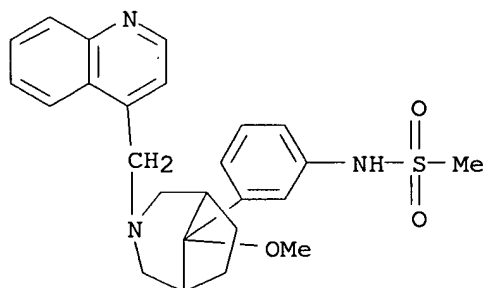
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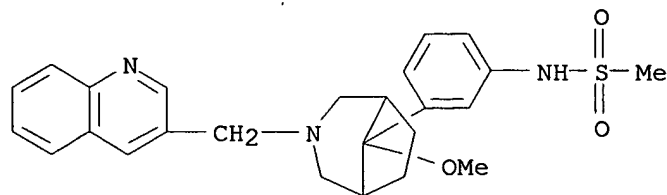
RN 778583-20-3 CAPLUS

CN Methanesulfonamide, N-[3-[8-methoxy-3-(4-quinolinylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



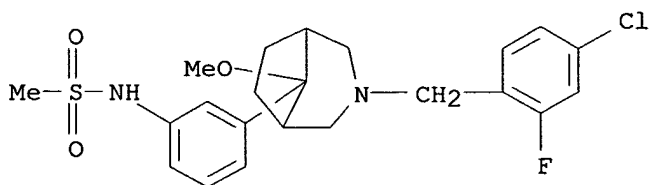
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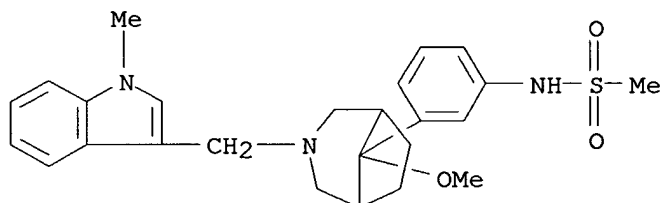
RN 778583-22-5 CAPLUS

CN Methanesulfonamide, N-[3-[3-[(4-chloro-2-fluorophenyl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



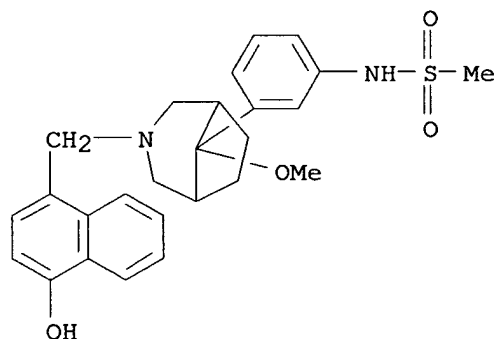
RN 778583-23-6 CAPLUS

CN Methanesulfonamide, N-[3-[8-methoxy-3-[(1-methyl-1H-indol-3-yl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



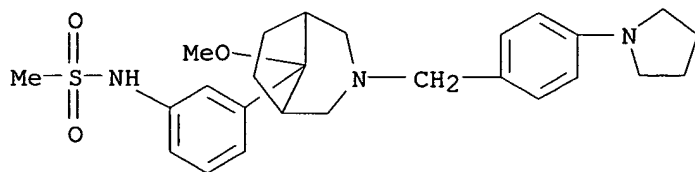
RN 778583-24-7 CAPLUS

CN Methanesulfonamide, N-[3-[3-[(4-hydroxy-1-naphthalenyl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



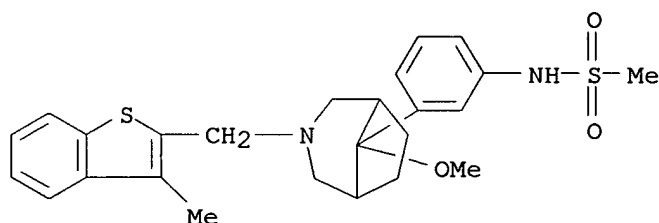
RN 778583-25-8 CAPLUS

CN Methanesulfonamide, N-[3-[8-methoxy-3-[[4-(1-pyrrolidinyl)phenyl]methyl]-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



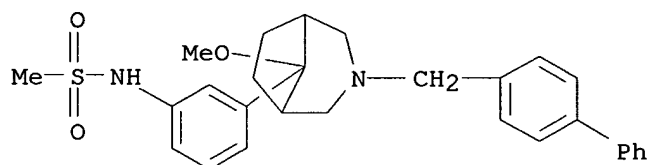
RN 778583-26-9 CAPLUS

CN Methanesulfonamide, N-[3-[8-methoxy-3-[(3-methylbenzo[b]thien-2-yl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



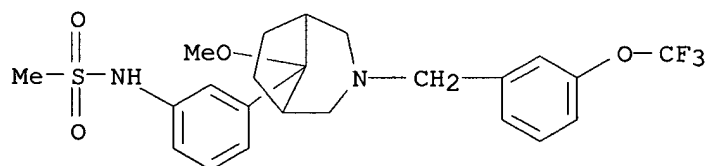
RN 778583-27-0 CAPLUS

CN Methanesulfonamide, N-[3-[3-([1,1'-biphenyl]-4-ylmethyl)-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 778583-28-1 CAPLUS

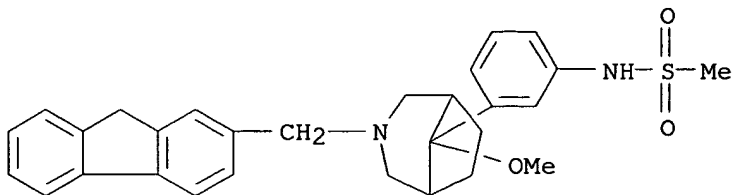
CN Methanesulfonamide, N-[3-[3-[(3-(trifluoromethoxy)phenyl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 778583-29-2 CAPLUS

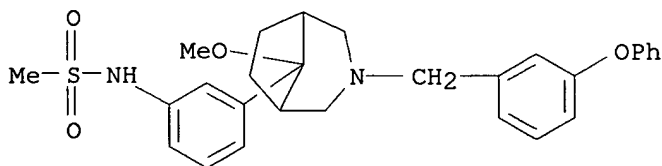
CN Methanesulfonamide, N-[3-[3-(9H-fluoren-2-ylmethyl)-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)





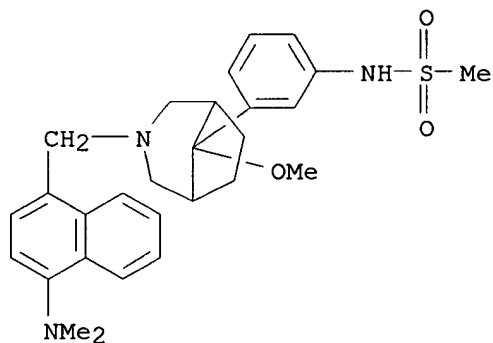
RN 778583-30-5 CAPLUS

CN Methanesulfonamide, N-[3-[8-methoxy-3-[(3-phenoxyphenyl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



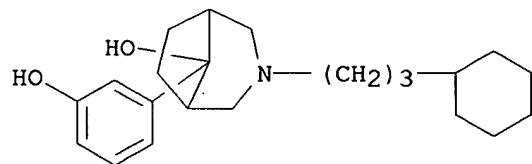
RN 778583-31-6 CAPLUS

CN Methanesulfonamide, N-[3-[3-[[4-(dimethylamino)-1-naphthalenyl]methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



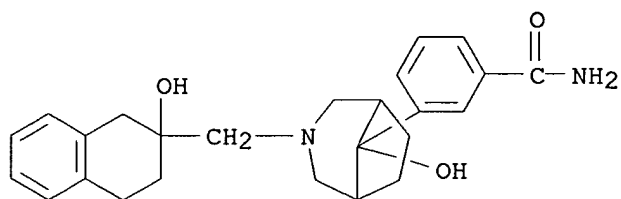
RN 778583-32-7 CAPLUS

CN 3-Azabicyclo[3.2.1]octan-8-ol, 3-(3-cyclohexylpropyl)-8-(3-hydroxyphenyl)- (9CI) (CA INDEX NAME)



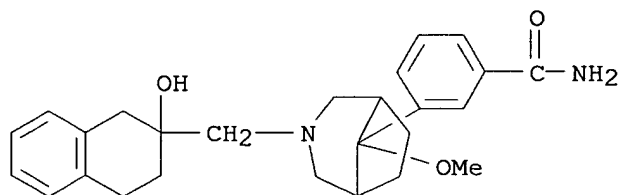
RN 778583-35-0 CAPLUS

CN Benzamide, 3-[8-hydroxy-3-[(1,2,3,4-tetrahydro-2-hydroxy-2-naphthalenyl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



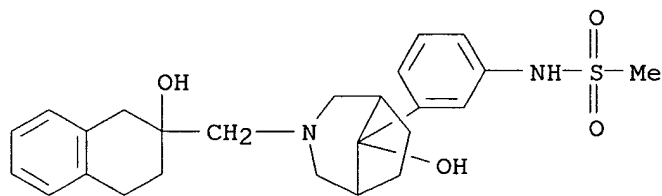
RN 778583-36-1 CAPLUS

CN Benzamide, 3-[8-methoxy-3-[(1,2,3,4-tetrahydro-2-hydroxy-2-naphthalenyl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



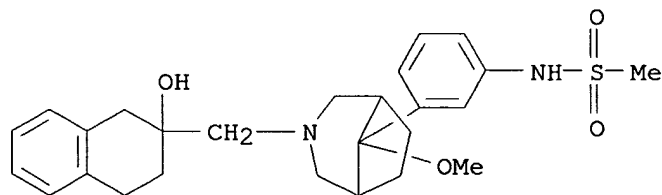
RN 778583-38-3 CAPLUS

CN Methanesulfonamide, N-[3-[8-hydroxy-3-[(1,2,3,4-tetrahydro-2-hydroxy-2-naphthalenyl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 778583-39-4 CAPLUS

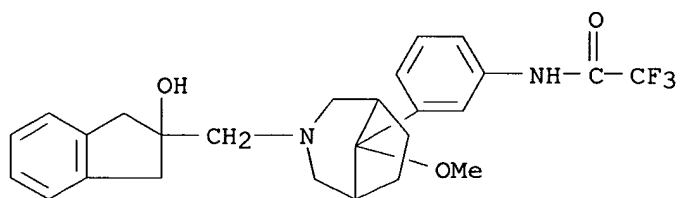
CN Methanesulfonamide, N-[3-[8-methoxy-3-[(1,2,3,4-tetrahydro-2-hydroxy-2-naphthalenyl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 778583-40-7 CAPLUS

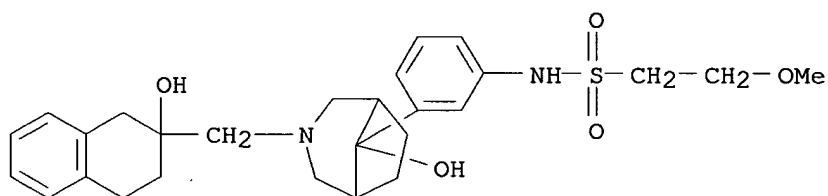
CN Acetamide, N-[3-[3-[(2,3-dihydro-2-hydroxy-1H-inden-2-yl)methyl]-8-methoxy-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

NAME)



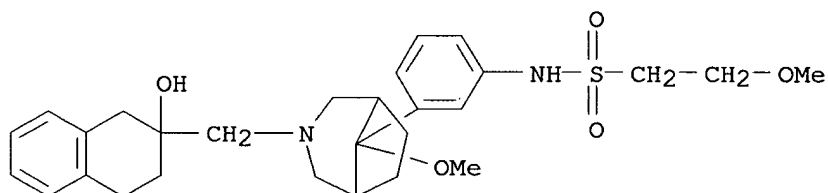
RN 778583-42-9 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-[(1,2,3,4-tetrahydro-2-hydroxy-2-naphthalenyl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI)  
(CA INDEX NAME)



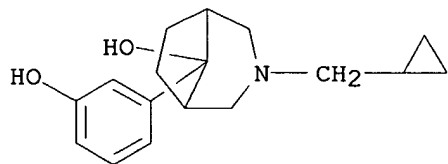
RN 778583-43-0 CAPLUS

CN Ethanesulfonamide, 2-methoxy-N-[3-[8-methoxy-3-[(1,2,3,4-tetrahydro-2-hydroxy-2-naphthalenyl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI)  
(CA INDEX NAME)



RN 778583-44-1 CAPLUS

CN 3-Azabicyclo[3.2.1]octan-8-ol, 3-(cyclopropylmethyl)-8-(3-hydroxyphenyl)- (9CI) (CA INDEX NAME)



IT 778581-99-0

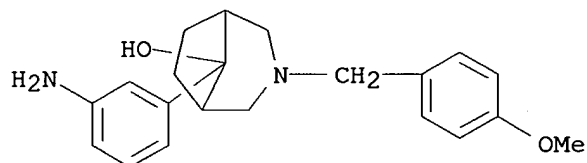
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 3-azabicyclo[3.2.1]octane derivs. for use in pharmaceutical

compns. as **opioid** receptor modulators)

RN 778581-99-0 CAPLUS

CN 3-Azabicyclo[3.2.1]octan-8-ol, 8-(3-aminophenyl)-3-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



IT 778581-81-0P 778581-83-2P 778581-93-4P

778581-94-5P 778581-95-6P 778581-97-8P

778581-98-9P 778582-01-7P 778582-02-8P

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778582-08-4P 778582-10-8P 778582-11-9P

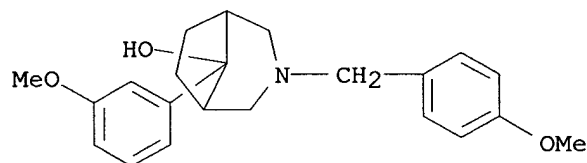
778582-13-1P 778582-15-3P 778582-16-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-azabicyclo[3.2.1]octane derivs. for use in pharmaceutical compns. as **opioid** receptor modulators)

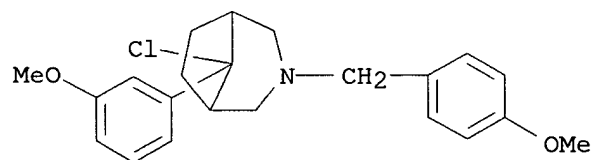
RN 778581-81-0 CAPLUS

CN 3-Azabicyclo[3.2.1]octan-8-ol, 8-(3-methoxyphenyl)-3-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



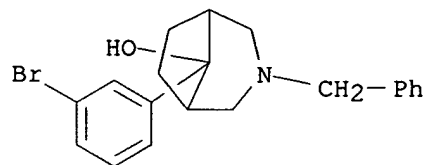
RN 778581-83-2 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 8-chloro-8-(3-methoxyphenyl)-3-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



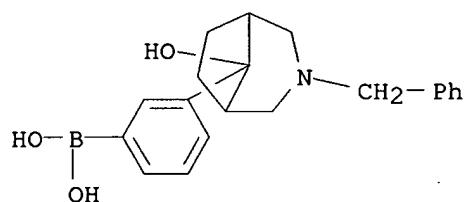
RN 778581-93-4 CAPLUS

CN 3-Azabicyclo[3.2.1]octan-8-ol, 8-(3-bromophenyl)-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



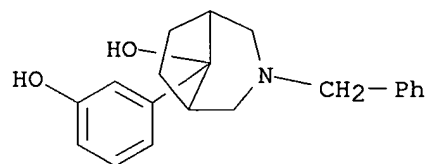
RN 778581-94-5 CAPLUS

CN Boronic acid, [3-[8-hydroxy-3-(phenylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



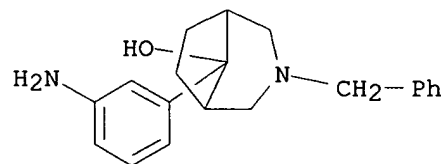
RN 778581-95-6 CAPLUS

CN 3-Azabicyclo[3.2.1]octan-8-ol, 8-(3-hydroxyphenyl)-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



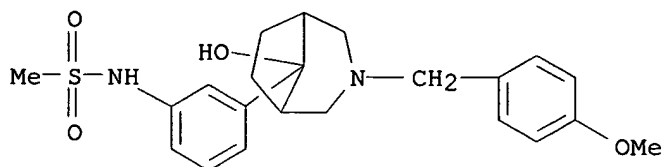
RN 778581-97-8 CAPLUS

CN 3-Azabicyclo[3.2.1]octan-8-ol, 8-(3-aminophenyl)-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



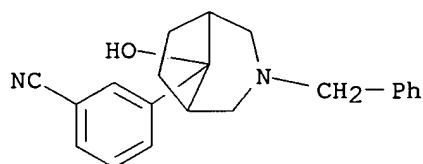
RN 778581-98-9 CAPLUS

CN Methanesulfonamide, N-[3-[8-hydroxy-3-[(4-methoxyphenyl)methyl]-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



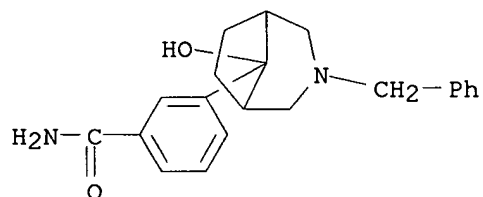
RN 778582-01-7 CAPLUS

CN Benzonitrile, 3-[8-hydroxy-3-(phenylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]-(9CI) (CA INDEX NAME)



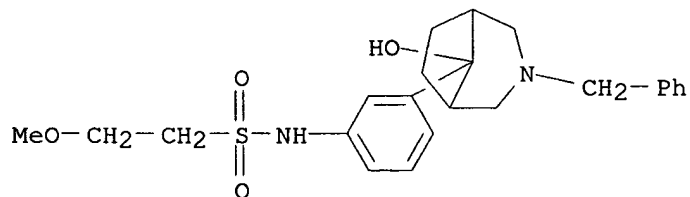
RN 778582-02-8 CAPLUS

CN Benzamide, 3-[8-hydroxy-3-(phenylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]-(9CI) (CA INDEX NAME)



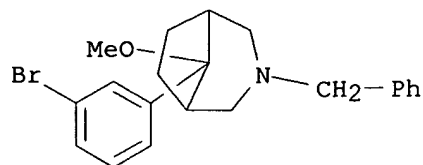
RN 778582-04-0 CAPLUS

CN Ethanesulfonamide, N-[3-[8-hydroxy-3-(phenylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



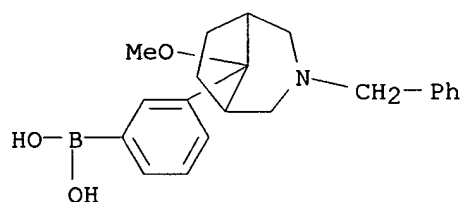
RN 778582-06-2 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 8-(3-bromophenyl)-8-methoxy-3-(phenylmethyl)-(9CI) (CA INDEX NAME)



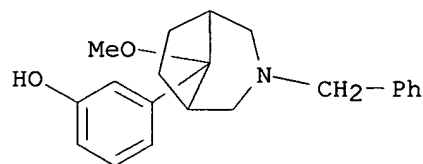
RN 778582-07-3 CAPLUS

CN Boronic acid, [3-[8-methoxy-3-(phenylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



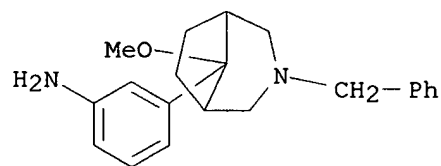
RN 778582-08-4 CAPLUS

CN Phenol, 3-[8-methoxy-3-(phenylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



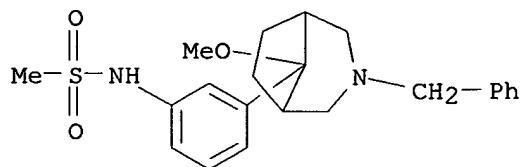
RN 778582-10-8 CAPLUS

CN Benzenamine, 3-[8-methoxy-3-(phenylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



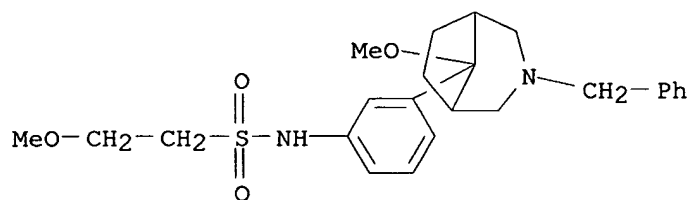
RN 778582-11-9 CAPLUS

CN Methanesulfonamide, N-[3-[8-methoxy-3-(phenylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



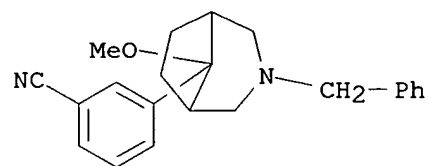
RN 778582-13-1 CAPLUS

CN Ethanesulfonamide, 2-methoxy-N-[3-[8-methoxy-3-(phenylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]phenyl]- (9CI) (CA INDEX NAME)



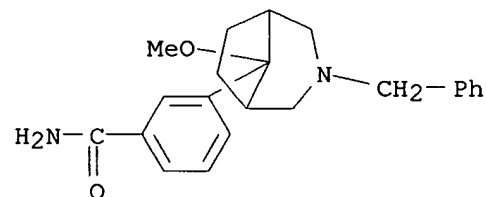
RN 778582-15-3 CAPLUS

CN Benzonitrile, 3-[8-methoxy-3-(phenylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)



RN 778582-16-4 CAPLUS

CN Benzamide, 3-[8-methoxy-3-(phenylmethyl)-3-azabicyclo[3.2.1]oct-8-yl]- (9CI) (CA INDEX NAME)





L55 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:376570 CAPLUS

DN 138:368776

TI Preparation of azabicyclo[3.2.1]octanols and related compounds as superior agonists for **nociceptin** receptor ORL-1

IN Tulshian, Deen; Ho, Ginny D.; Ng, Fay W.

PA Schering Corporation, USA

SO PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003039469	A2	20030515	WO 2002-US35539	20021106
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PRAI	US 2001-333284P	P	20011107		
	WO 2002-US35539	W	20021106		

OS MARPAT 138:368776

AB Azabicyclo[3.2.1]octanes (shown as I; variables defined below; e.g. 8-[bis(2-chlorophenyl)methyl]-3-(2-pyrimidinyl)-8-azabicyclo[3.2.1]octan-3-ol) or a pharmaceutically acceptable salt or solvate thereof, pharmaceutical compns. thereof, and the use of said compds. in the treatment of pain, anxiety, **cough**, asthma, depression and alc. abuse are disclosed. For I: R is R4-heteroaryl or 1,4,5,6-tetrahydropyrimidin-2-yl; R1 is H or C1-C6 alkyl; R2 and R3 = -CH3, -OCH3, fluoro, chloro, bromo and iodo; R4 = 1 to 4 H, halo, (C1-C6) alkyl, -CN, -CF3, -OCF3, -(CH2)nOR5, -(CH2)nNR5R6, -(CH2)nNHSO2R5, -(CH2)nNH(CH2)2NR5R6, -(CH2)nNHC(O)NR5R7, -(CH2)nH(CH2)2OR5 and 1-piperazinyl; n is 0-3; R5 and R6 = H and C1-C3-alkyl; and R7 is H, C1-C3-alkyl or amino(C1-C3)alkyl. Although the methods of preparation are not claimed, 20 example preps. are included. Ki values for binding of I to **nociceptin** are reported for 9 examples, e.g. 1.3 nM for 8-[bis(2-chlorophenyl)methyl]-3-(5-bromo-2-pyridinyl)-8-azabicyclo[3.2.1]octan-3-ol. The agonist activity (EC50) of I are 20-200 nM. Example tablet and capsule formulations and methods for their manufacture are described.

IT **524019-25-8P**, 8-[Bis(2-chlorophenyl)methyl]-3-(2-pyrimidinyl)-8-azabicyclo[3.2.1]octan-3-ol **524019-34-9P**, 8-[Bis(2-chlorophenyl)methyl]-3-(1-methylpyrazol-5-yl)-8-azabicyclo[3.2.1]octan-3-ol **524019-43-0P**, 1,1-Dimethylethyl [2-[[[6-[8-[bis(2-

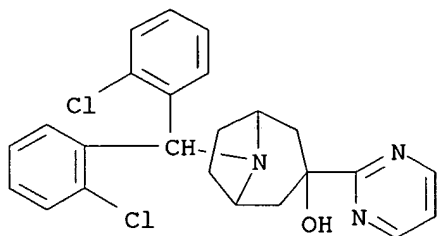
chlorophenyl)methyl]-3-hydroxy-8-azabicyclo[3.2.1]oct-3-yl]-2-pyridinyl)methyl]amino]carbonyl]amino]ethyl]carbamate

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of azabicyclooctanols and related compds. as superior agonists for **nociceptin** receptor **ORL-1**)

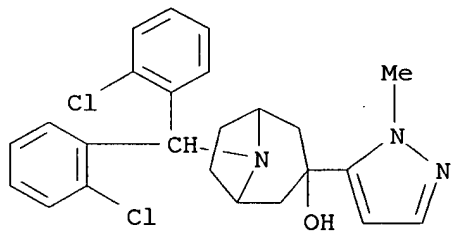
RN 524019-25-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)



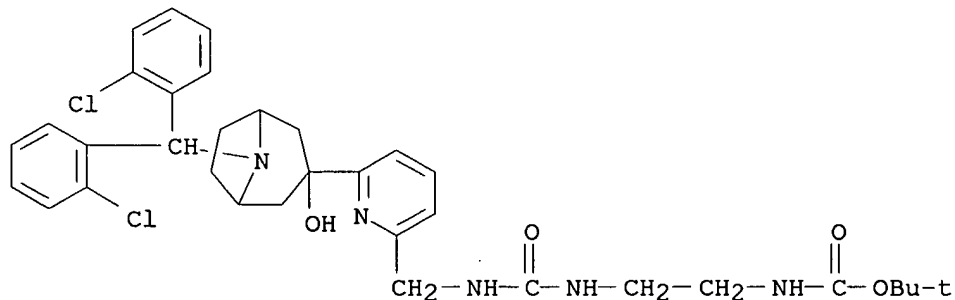
RN 524019-34-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-(1-methyl-1H-pyrazol-5-yl)- (9CI) (CA INDEX NAME)



RN 524019-43-0 CAPLUS

CN Carbamic acid, [2-[[[[[6-[8-[bis(2-chlorophenyl)methyl]-3-hydroxy-8-azabicyclo[3.2.1]oct-3-yl]-2-pyridinyl)methyl]amino]carbonyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **524019-26-9P**, 8-[Bis(2-chlorophenyl)methyl]-3-(5-ethyl-2-pyrimidinyl)-8-azabicyclo[3.2.1]octan-3-ol **524019-28-1P**,

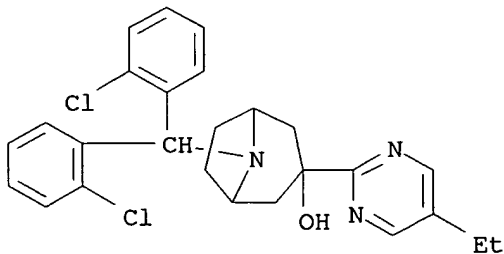
8-[Bis(2-chlorophenyl)methyl]-3-[4-(1-piperazinyl)-2-pyrimidinyl]-8-azabicyclo[3.2.1]octan-3-ol **524019-30-5P**, 8-[Bis(2-chlorophenyl)methyl]-3-(2-pyridinyl)-8-azabicyclo[3.2.1]octan-3-ol **524019-31-6P**, 8-[Bis(2-chlorophenyl)methyl]-3-(6-methoxy-2-pyridinyl)-8-azabicyclo[3.2.1]octan-3-ol **524019-32-7P**, 8-[Bis(2-chlorophenyl)methyl]-3-methoxy-3-(2-pyrimidinyl)-8-azabicyclo[3.2.1]octane **524019-33-8P**, 8-[Bis(2-chlorophenyl)methyl]-3-(1H-pyrazol-5-yl)-8-azabicyclo[3.2.1]octan-3-ol **524019-35-0P**, 8-[Bis(2-chlorophenyl)methyl]-3-(1-methyl-1H-indol-2-yl)-8-azabicyclo[3.2.1]octan-3-ol **524019-36-1P**, 8-[Bis(2-chlorophenyl)methyl]-3-(1-methyl-1H-imidazol-2-yl)-8-azabicyclo[3.2.1]octan-3-ol **524019-37-2P**, 8-[Bis(2-chlorophenyl)methyl]-3-(3-pyridazinyl)-8-azabicyclo[3.2.1]octan-3-ol **524019-38-3P**, 8-[Bis(2-chlorophenyl)methyl]-3-(2-pyrazinyl)-8-azabicyclo[3.2.1]octan-3-ol **524019-39-4P**, 8-[Bis(2-chlorophenyl)methyl]-3-(4-pyrimidinyl)-8-azabicyclo[3.2.1]octan-3-ol **524019-42-9P**, 8-[Bis(2-chlorophenyl)methyl]-3-(5-bromo-2-pyridinyl)-8-azabicyclo[3.2.1]octan-3-ol **524019-48-5P**, N-(2-Aminoethyl)-N'-[[6-[8-[Bis(2-chlorophenyl)methyl]-3-hydroxy-8-azabicyclo[3.2.1]oct-3-yl]-2-pyridinyl]methyl]urea hydrochloride **524019-49-6P**, 3-[3-(Aminomethyl)-2-pyridinyl]-8-[Bis(2-chlorophenyl)methyl]-8-azabicyclo[3.2.1]octan-3-ol **524019-54-3P**, 8-[Bis(2-chlorophenyl)methyl]-3-[4-(methylamino)-2-pyridinyl]-8-azabicyclo[3.2.1]octan-3-ol **524019-56-5P**, 3-[6-[(2-Aminoethyl)amino]-2-pyridinyl]-8-[bis(2-chlorophenyl)methyl]-8-azabicyclo[3.2.1]octan-3-ol **524019-59-8P**, 8-[Bis(2-chlorophenyl)methyl]-3-(1,4,5,6-tetrahydro-2-pyrimidinyl)-8-azabicyclo[3.2.1]octan-3-ol

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of azabicyclooctanols and related compds. as superior agonists for **nociceptin** receptor **ORL-1**)

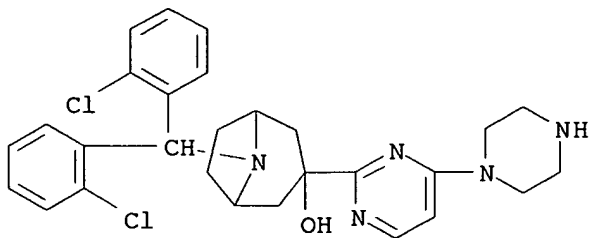
RN 524019-26-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-(5-ethyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



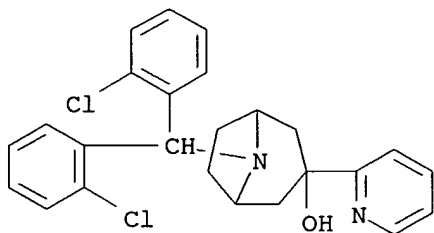
RN 524019-28-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-[4-(1-piperazinyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



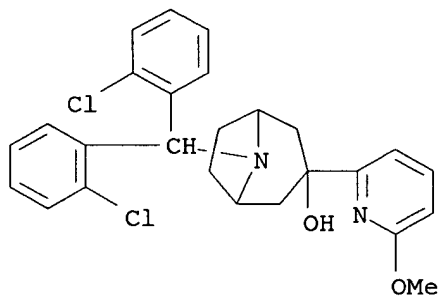
RN 524019-30-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)



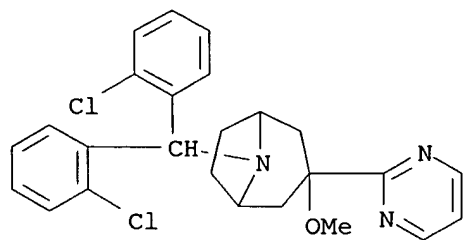
RN 524019-31-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-(6-methoxy-2-pyridinyl)- (9CI) (CA INDEX NAME)



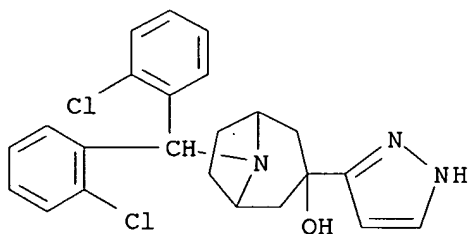
RN 524019-32-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 8-[bis(2-chlorophenyl)methyl]-3-methoxy-3-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)



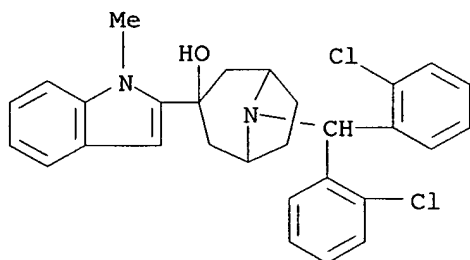
RN 524019-33-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-(1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



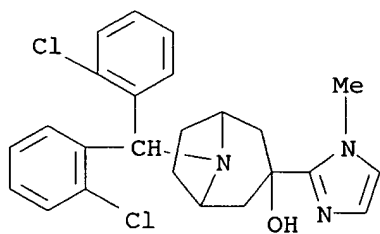
RN 524019-35-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-(1-methyl-1H-indol-2-yl)- (9CI) (CA INDEX NAME)



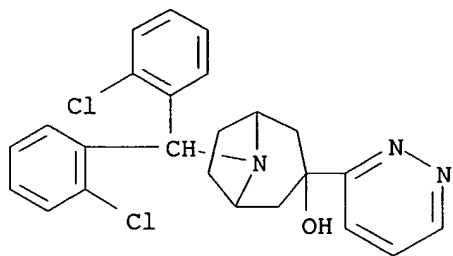
RN 524019-36-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-(1-methyl-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)



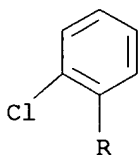
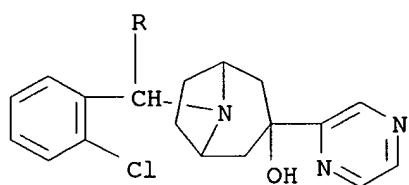
RN 524019-37-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-(3-pyridazinyl)- (9CI) (CA INDEX NAME)



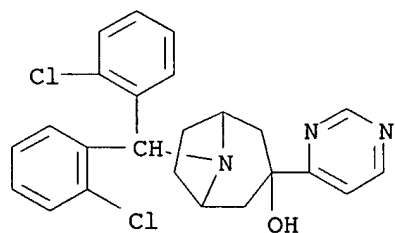
RN 524019-38-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-pyrazinyl-  
(9CI) (CA INDEX NAME)



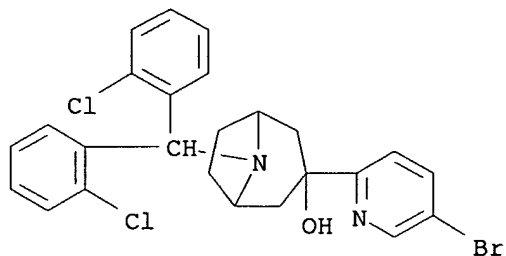
RN 524019-39-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-(4-  
pyrimidinyl)- (9CI) (CA INDEX NAME)



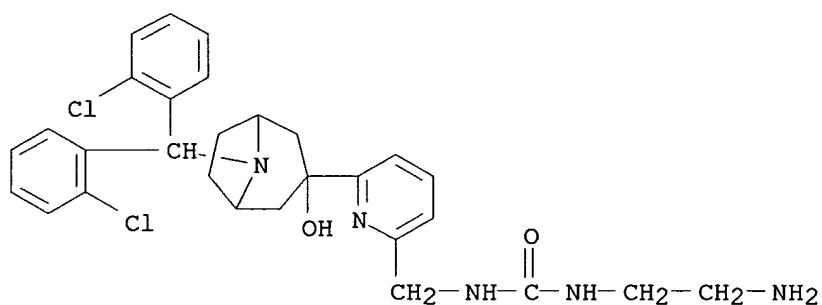
RN 524019-42-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-(5-bromo-2-  
pyridinyl)- (9CI) (CA INDEX NAME)



RN 524019-48-5 CAPLUS

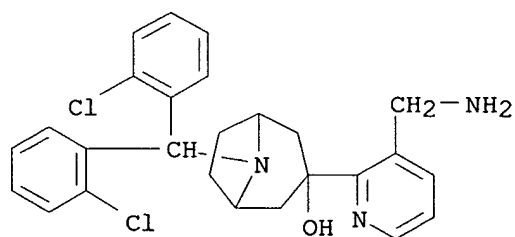
CN Urea, N-(2-aminoethyl)-N'-[[6-[8-[bis(2-chlorophenyl)methyl]-3-hydroxy-8-azabicyclo[3.2.1]oct-3-yl]-2-pyridinyl]methyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

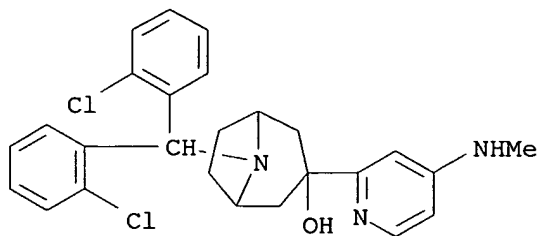
RN 524019-49-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-[3-(aminomethyl)-2-pyridinyl]-8-[bis(2-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



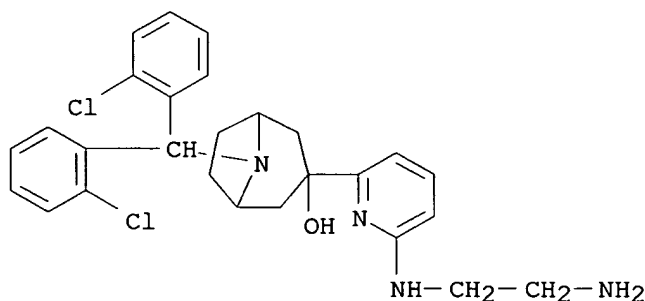
RN 524019-54-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-[4-(methylamino)-2-pyridinyl]- (9CI) (CA INDEX NAME)



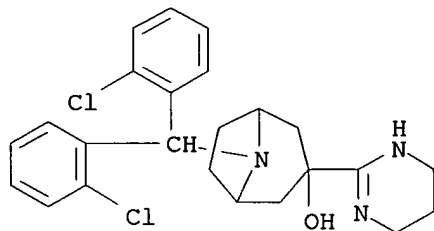
RN 524019-56-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-[6-[(2-aminoethyl)amino]-2-pyridinyl]-8-bis(2-chlorophenyl)methyl- (9CI) (CA INDEX NAME)



RN 524019-59-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-(1,4,5,6-tetrahydro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



IT **524019-29-2P**, 8-[Bis(2-chlorophenyl)methyl]-3-(4-chloro-2-pyrimidinyl)-8-azabicyclo[3.2.1]octan-3-ol **524019-41-8P**, 8-[Bis(2-chlorophenyl)methyl]-3-(5-bromo-4-pyrimidinyl)-8-azabicyclo[3.2.1]octan-3-ol **524019-45-2P**, 8-[Bis(2-chlorophenyl)methyl]-3-[6-(hydroxymethyl)-2-pyridinyl]-8-azabicyclo[3.2.1]octan-3-ol **524019-47-4P**, 3-[6-(Aminomethyl)-2-pyridinyl]-8-[Bis(2-chlorophenyl)methyl]-8-azabicyclo[3.2.1]octan-3-ol **524019-52-1P**, 8-[Bis(2-chlorophenyl)methyl]-3-[3-(hydroxymethyl)-2-pyridinyl]-8-azabicyclo[3.2.1]octan-3-ol **524019-55-4P**, 1,1-Dimethylethyl [2-[8-[bis(2-chlorophenyl)methyl]-3-hydroxy-8-azabicyclo[3.2.1]oct-3-yl]-4-pyridinyl]carbamate **524019-57-6P**, 8-[Bis(2-chlorophenyl)methyl]-3-(6-bromo-2-pyridinyl)-8-azabicyclo[3.2.1]octan-3-ol **524019-58-7P**, 1,1-Dimethylethyl [2-[6-[8-[bis(2-chlorophenyl)methyl]-3-hydroxy-8-azabicyclo[3.2.1]oct-3-



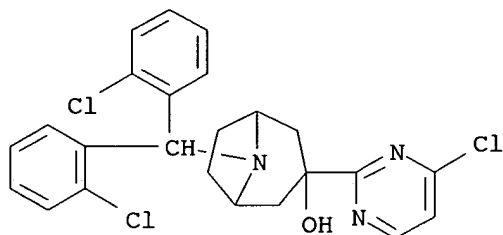
yl]-2-pyridinyl]aminoethyl]carbamate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azabicyclooctanols and related compds. as superior agonists for **nociceptin** receptor **ORL-1**)

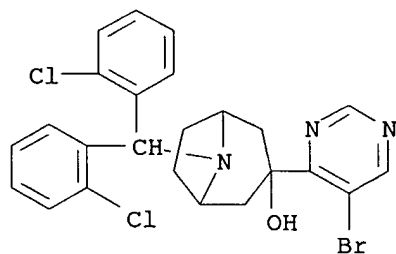
RN 524019-29-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-(4-chloro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



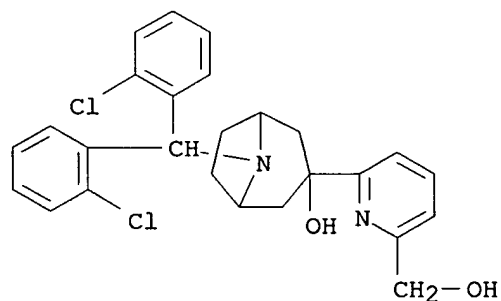
RN 524019-41-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-(5-bromo-4-pyrimidinyl)- (9CI) (CA INDEX NAME)



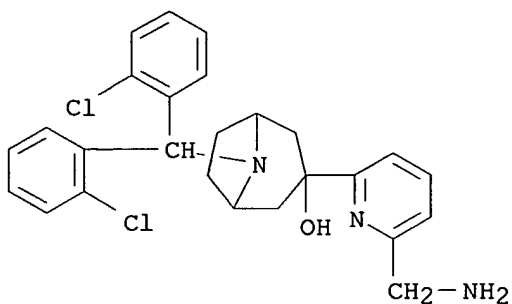
RN 524019-45-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-[6-(hydroxymethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



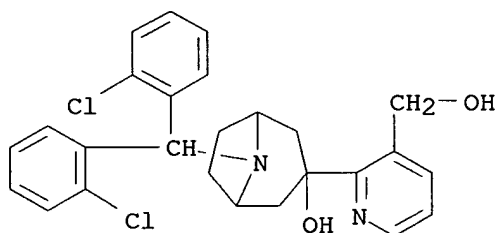
RN 524019-47-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-[6-(aminomethyl)-2-pyridinyl]-8-[bis(2-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



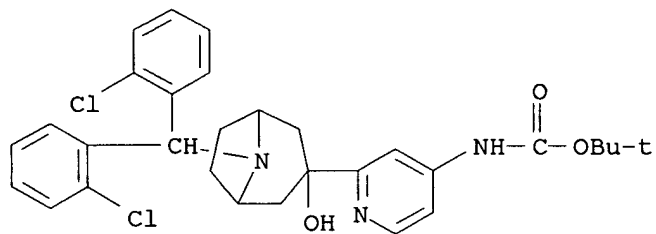
RN 524019-52-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-[3-(hydroxymethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



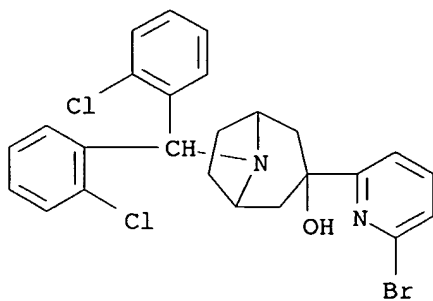
RN 524019-55-4 CAPLUS

CN Carbamic acid, [2-[8-[bis(2-chlorophenyl)methyl]-3-hydroxy-8-azabicyclo[3.2.1]oct-3-yl]-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



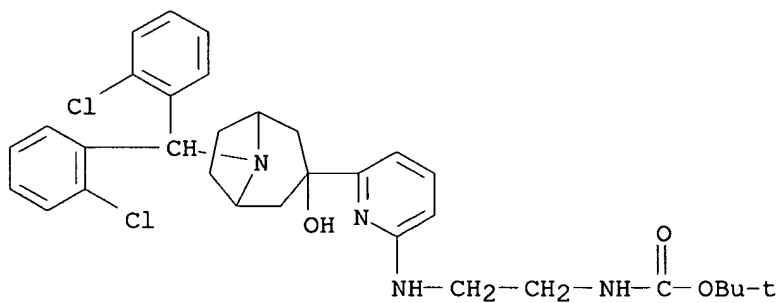
RN 524019-57-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-(6-bromo-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 524019-58-7 CAPLUS

CN Carbamic acid, [2-[[6-[8-[bis(2-chlorophenyl)methyl]-3-hydroxy-8-azabicyclo[3.2.1]oct-3-yl]-2-pyridinyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L55 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2002:293443 CAPLUS  
 DN 136:319370  
 TI Use of defined substances that bind to the sigma receptor for combating sarcoma and carcinoma  
 IN Van Amsterdam, Christoph  
 PA Merck Patent GmbH, Germany  
 SO PCT Int. Appl., 36 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002030422	A1	20020418	WO 2001-EP11710	20011011
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	DE 10050236	A1	20020425	DE 2000-10050236	20001011
	AU 2002010527	A5	20020422	AU 2002-10527	20011011
PRAI	DE 2000-10050236	A	20001011		
	WO 2001-EP11710	W	20011011		

AB The invention relates to the use of a compound, selected from  
 3-[4-(4-phenyl-1,2,3,6-tetrahydro-1-pyridyl)butyl]indole-5-ol,  
 1-(2-(bis(4-fluorophenyl)methoxy)ethyl)-4-(3-phenyl-propyl)piperazine,  
 1-(4-hydroxyphenyl)-2-(4-benzyl-1-piperidinyl)propanol,  
 3-(4-((3S)-3-benzyl-1-piperidyl)butyl)indole-5-carbonitrile,  
 3-(4-((3R)-3-benzyl-1-piperidyl)butyl)indole-5-carbonitrile,  
 6-(4-(4-(5-fluoro-3-indolyl)butyl)-1-piperazinyl)-2H-1-benzopyrane-2-one,  
 (5S)-(-)-5-[4-(4-aminobenzyl)-1-piperidylmethyl]-3-(4-ethylphenyl)oxazolidine-2-one, 6-3-[4-(2,4-difluorobenzyl)-1-piperidyl]-1-oxopropyl-2,3-dihydrobenzoxazole-2-one. 3-(4-(3-(4-Fluorophenyl-hydroxymethyl)piperido-1-yl)butyl)-5-indole-carbonitrile,  
 2-(4-[3-(5H-dibenz[b,f]azepine-5-yl)propyl]-1-piperazinyl)ethanol,  
 1-[2-(3,4-dimethoxyphenyl)ethyl]-4-(3-phenylpropyl)piperazine,  
 (5S)-(-)-5-(4-benzyl-1-piperidylmethyl)-3-(4-chlorophenyl)oxazolidine-2-one, 6-3-[4-(4-fluorobenzyl)-1-piperidyl]-2-methylpropionyl-2,3-dihydrobenzoxazole-2-one, (1R,2S)-(+)-4-(3-(4-benzyl-piperidino-1-yl)-1-hydroxy-2-methyl-propyl)phenol, (E)-4-(3-(4-benzyl-piperidino-1-yl)-2-methyl-propenyl)phenol, 3-(4-(4-(2,1,3-benzothiadiazole-5-yl)-1-piperazinyl)butyl)indole-5-carbonitrile, 6-(3-(4-(4-fluorobenzyl)-1-piperidyl)-2-propenyl)-2,3-dihydrobenzoxazole-2-one, 3-(4-trifluoromethylphenoxymethyl)pyrrolidine, 6-3-[4-(4-fluorobenzyl)-1-piperidyl]-propionyl-3H-benzothiazole-2-one, 4-[3-(4-fluorobenzyl)piperidino-1-yl]propoxyphenol, [2-(4-methoxy-3-phenethyloxyphenyl)ethyl]dipropyl-amine. (1S,5R)-3-(2-(2-adamantyl)ethyl)-1,8,8-trimethyl-3-azabicyclo[3.2.1]octane, 6-3-[4-(2,4-difluorobenzyl)piperidino-1-yl]propionyl-3H-benzothiazole-2-one, 1-1-[2-(4-fluoro-phenyl)ethyl]piperidino-4-ylindane-1-ol, 1-[2-(4-fluoro-phenyl)ethyl]-4-(naphthalino-2-sulfinyl)piperidine, 1-(indole-4-yl)-4-[4-(4-fluorophenyl)butyl]piperazine, 3-(4-(2-(2-phenyl-ethyl)-1-piperidyl)-1-butyl)indole, 2-[4-(4-(3-indolyl)butyl)-1-piperazinyl]benzonitrile, etc.,

or the corresponding acids, bases, or salts, which may be used as  $\sigma$ -receptor ligands for treating carcinoma or sarcoma.

IT **161785-97-3**

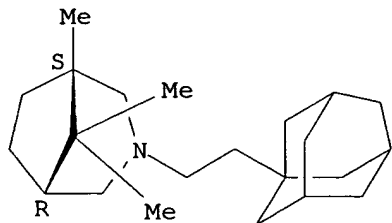
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(substances that bind to the sigma receptor for combating sarcoma and carcinoma)

RN 161785-97-3 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(2-tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-ylethyl)-, (1S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2001:78241 CAPLUS  
 DN 134:131434  
 TI Preparation of substituted piperidines as **nociceptin** receptor  
**ORL-1** agonists for use in treating **cough**  
 IN Tulshian, Deen; Ho, Ginny D.; Silverman, Lisa S.; Matasi, Julius J.;  
 Mcleod, Robbie L.; Hey, John A.; Chapman, Richard W.; Bercovici, Ana;  
 Cuss, Francis M.  
 PA Schering Corporation, USA  
 SO PCT Int. Appl., 95 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

*Appl.*

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001007050	A1	20010201	WO 2000-US1853	20000126
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6262066 ✓	B1	20010717	US 1999-359771	19990726
	CA 2379398	AA	20010201	CA 2000-2379398	20000126
	EP 1200087	A1	20020502	EP 2000-904560	20000126
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	BR 2000012801	A	20020507	BR 2000-12801	20000126
	JP 2003505420	T2	20030212	JP 2001-511934	20000126
	US 2001011092	A1	20010802	US 2001-769824 ✓	20010125
	US 6455527 ✓	B2	20020924		
	ZA 2002000275	A	20030411	ZA 2002-275	20020111
	NO 2002000392	A	20020325	NO 2002-392	20020125
	US 2003073690	A1	20030417	US 2002-155277 ✓	20020523
	US 6716846 ✓	B2	20040406		
	US 2004067950	A1	20040408	US 2003-464580	20030617
	US 2004152707	A1	20040805	US 2004-761977	20040121
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	US 1999-359771	A	19990726		
	US 2000-491780	A1	20000126		
	WO 2000-US1853	W	20000126		
	US 2001-769824	A3	20010125		
	US 2002-155277	A3	20020523		

← Check

OS MARPAT 134:131434

AB The title compds. [I; X1 = (un)substituted alkyl, cycloalkyl, aryl, etc.; X2 = CHO, CN, (un)substituted NH<sub>2</sub>, etc.; or X1 = (un)substituted benzofused heterocyclyl and X2 = H; or X1 and X2 together form an optionally benzofused spiro heterocyclyl group; R1-R4 = H, alkyl; or (R1 and R4) or (R2 and R3) or (R1 and R3) or (R2 and R4) together can form an alkylene bridge; Z1 = (un)substituted alkyl, aryl, heteroaryl, etc.; Z2 = H, Z1; Z3 = H, alkyl; or Z1-Z3, together with the carbon to which they are attached, form bicyclic saturated or unsatd. rings] and their pharmaceutically acceptable salts, useful as **ORL-1** receptor agonists for the treatment of **cough**, alone or in combination with one or more agents for the treatment of **cough**, allergy or asthma symptoms,

were prepared and formulated. Thus, reacting 4-hydroxy-4-phenylpiperidine with  $\alpha$ -bromodiphenylmethane in the presence of K<sub>2</sub>CO<sub>3</sub> in CH<sub>3</sub>CN afforded 90% II which showed K<sub>i</sub> of 13 nM against **ORL**-1 receptor binding.

IT 322473-56-3P 322473-57-4P 322473-58-5P  
 322473-59-6P 322473-60-9P 322473-61-0P  
 322473-62-1P 322473-63-2P 322473-64-3P  
 322473-65-4P 322473-66-5P 322473-67-6P  
 322473-68-7P 322473-69-8P 322473-70-1P  
 322473-71-2P 322473-72-3P 322473-73-4P  
 322473-74-5P 322473-75-6P 322473-76-7P  
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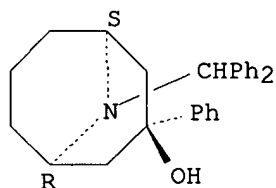
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted piperidines as **nociceptin** receptor **ORL**-1 agonists for use in treating **cough**)

RN 322473-56-3 CAPLUS

CN 9-Azabicyclo[3.3.1]nonan-3-ol, 9-(diphenylmethyl)-3-phenyl-, hydrochloride, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

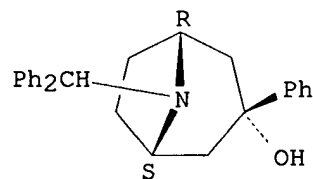


● HCl

RN 322473-57-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-(diphenylmethyl)-3-phenyl-, hydrochloride, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

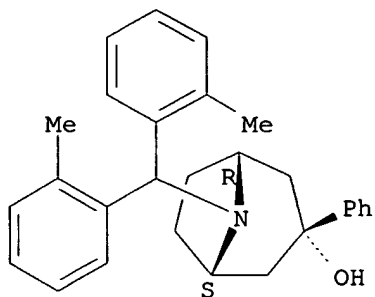


● HCl

RN 322473-58-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-methylphenyl)methyl]-3-phenyl-, hydrochloride, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

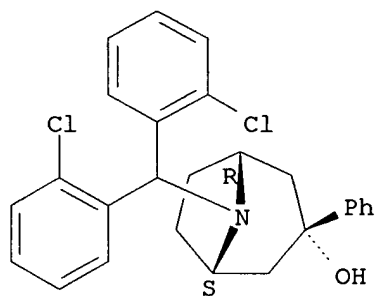


● HCl

RN 322473-59-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-phenyl-, hydrochloride, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



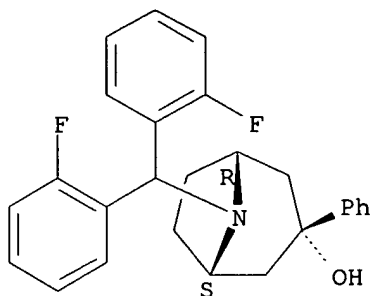
● HCl

RN 322473-60-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-fluorophenyl)methyl]-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

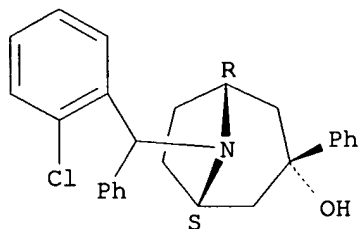




RN 322473-61-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[(2-chlorophenyl)phenylmethyl]-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

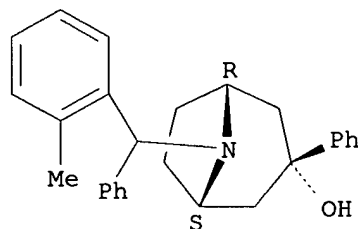
Relative stereochemistry.



RN 322473-62-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[(2-methylphenyl)phenylmethyl]-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

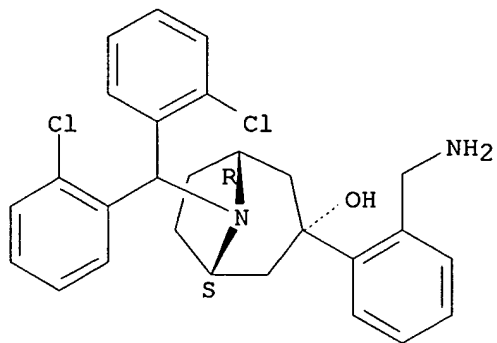
Relative stereochemistry.



RN 322473-63-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-[2-(aminomethyl)phenyl]-8-[bis(2-chlorophenyl)methyl]-, (3-endo)- (9CI) (CA INDEX NAME)

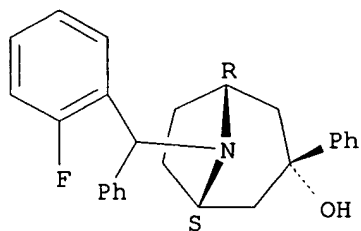
Relative stereochemistry.



RN 322473-64-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[(2-fluorophenyl)phenylmethyl]-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

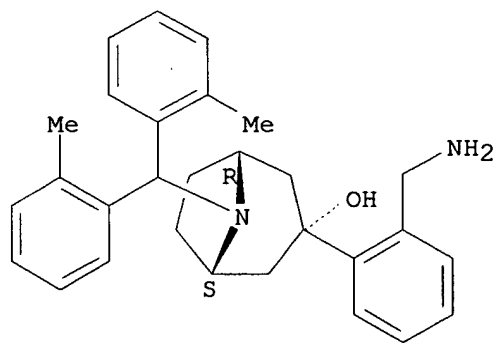
Relative stereochemistry.



RN 322473-65-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-[2-(aminomethyl)phenyl]-8-[bis(2-methylphenyl)methyl]-, (3-endo)- (9CI) (CA INDEX NAME)

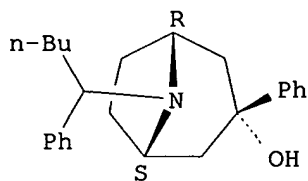
Relative stereochemistry.



RN 322473-66-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-phenyl-8-(1-phenylpentyl)-, (3-endo)- (9CI) (CA INDEX NAME)

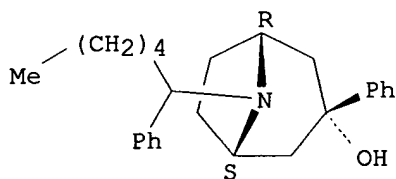
Relative stereochemistry.



RN 322473-67-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-phenyl-8-(1-phenylhexyl)-, (3-endo)-  
(9CI) (CA INDEX NAME)

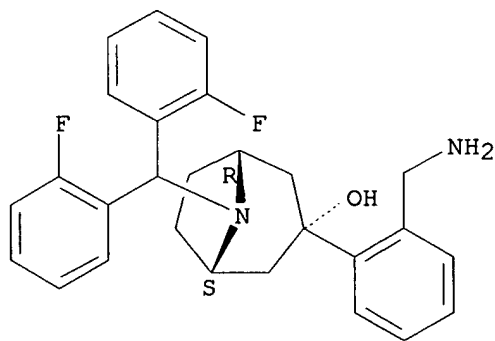
Relative stereochemistry.



RN 322473-68-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-[2-(aminomethyl)phenyl]-8-[bis(2-fluorophenyl)methyl]-, (3-endo)- (9CI) (CA INDEX NAME)

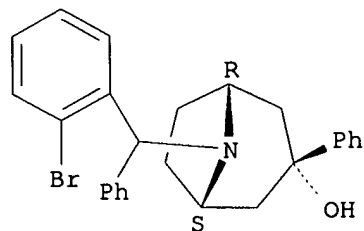
Relative stereochemistry.



RN 322473-69-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[(2-bromophenyl)phenylmethyl]-3-phenyl-,  
(3-endo)- (9CI) (CA INDEX NAME)

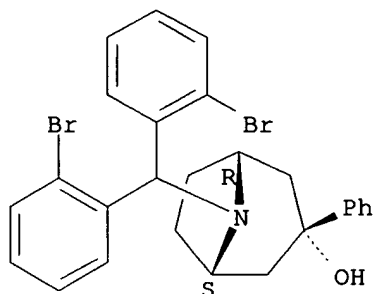
Relative stereochemistry.



RN 322473-70-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-bromophenyl)methyl]-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

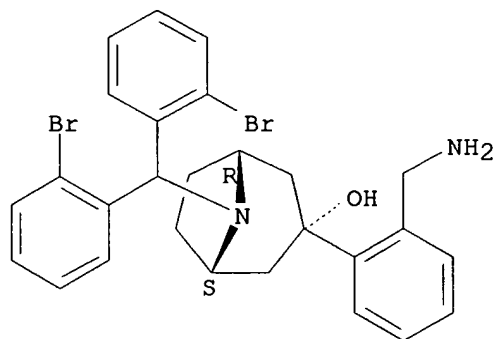
Relative stereochemistry.



RN 322473-71-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-[2-(aminomethyl)phenyl]-8-[bis(2-bromophenyl)methyl]-, (3-endo)- (9CI) (CA INDEX NAME)

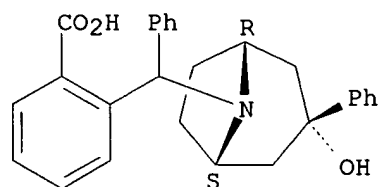
Relative stereochemistry.



RN 322473-72-3 CAPLUS

CN Benzoic acid, 2-[[ (3-endo)-3-hydroxy-3-phenyl-8-azabicyclo[3.2.1]oct-8-yl]phenylmethyl]- (9CI) (CA INDEX NAME)

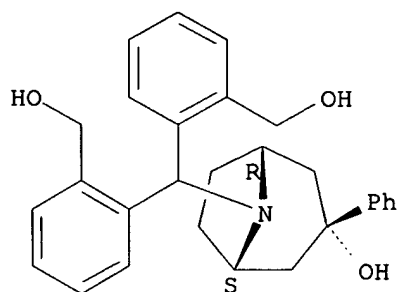
Relative stereochemistry.



RN 322473-73-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis[2-(hydroxymethyl)phenyl]methyl]-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

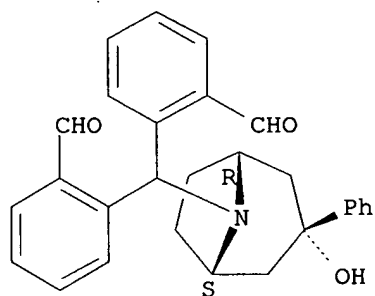
Relative stereochemistry.



RN 322473-74-5 CAPLUS

CN Benzaldehyde, 2,2'-[[ (3-endo)-3-hydroxy-3-phenyl-8-azabicyclo[3.2.1]oct-8-yl]methylene]bis- (9CI) (CA INDEX NAME)

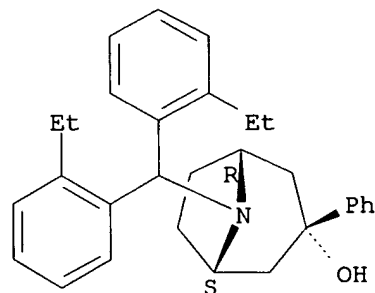
Relative stereochemistry.



RN 322473-75-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis (2-ethylphenyl)methyl]-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

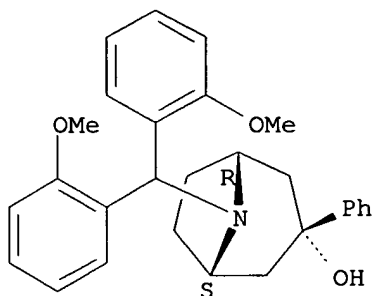
Relative stereochemistry.



RN 322473-76-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis (2-methoxyphenyl)methyl]-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

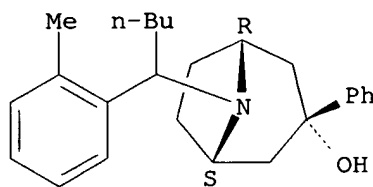
Relative stereochemistry.



RN 322473-77-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[1-(2-methylphenyl)pentyl]-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

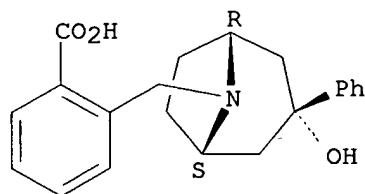
Relative stereochemistry.



RN 322473-78-9 CAPLUS

CN Benzoic acid, 2-[[ (3-endo)-3-hydroxy-3-phenyl-8-azabicyclo[3.2.1]oct-8-yl]methyl]- (9CI) (CA INDEX NAME)

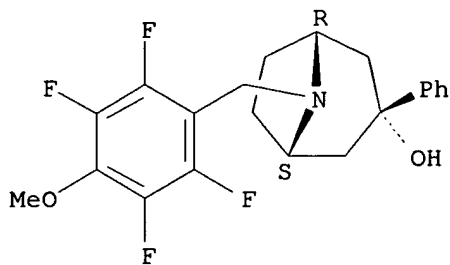
Relative stereochemistry.



RN 322473-79-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-phenyl-8-[(2,3,5,6-tetrafluoro-4-methoxyphenyl)methyl]-, (3-endo)- (9CI) (CA INDEX NAME)

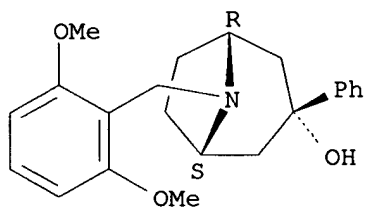
Relative stereochemistry.



RN 322473-80-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[(2,6-dimethoxyphenyl)methyl]-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

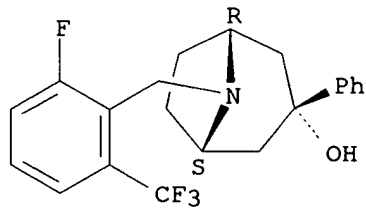
Relative stereochemistry.



RN 322473-81-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[2-fluoro-6-(trifluoromethyl)phenyl]methyl]-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

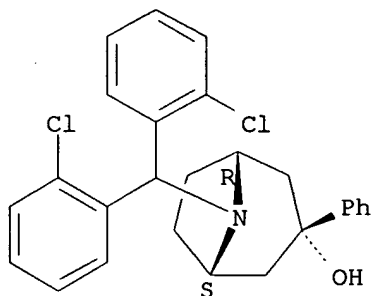
Relative stereochemistry.



RN 322473-94-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 322473-83-6 322473-89-2

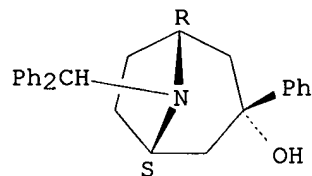
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of substituted piperidines as **nociceptin** receptor **ORL-1** agonists for use in treating **cough**)

RN 322473-83-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-(diphenylmethyl)-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

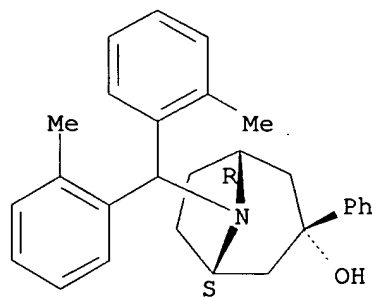
Relative stereochemistry.



RN 322473-89-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-methylphenyl)methyl]-3-phenyl-, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



L55 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:98519 CAPLUS

DN 132:137290

TI Preparation of piperidine derivatives as high affinity ligands for  
**nociceptin** receptor ORL-1IN Tulshian, Deen; Ho, Ginny D.; Silverman, Lisa S.; Matasi, Julius J.;  
McLeod, Robbie L.; Hey, John A.; Chapman, Richard W.; Bercovici, Ana;  
Cuss, Francis M.

PA Schering Corporation, USA

SO PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000006545	A1	20000210	WO 1999-US14165	19990726
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AU 9952056	A1	20000221	AU 1999-52056	19990726
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EP 1258244	A1	20021120	EP 2002-18161	19990726
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NO 2001000467	A	20010326	NO 2001-467	20010126
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EP 1999-937174	A3	19990726		
WO 1999-US14165	W	19990726		

OS MARPAT 132:137290

AB Compds. of formula I [ wherein: the dotted line represents an optional double bond; X1 = (un)substituted alkyl, cycloalkyl, aryl, heteroaryl or heterocycloalkyl; X2 = CHO, CN, optionally substituted amino, alkyl, or aryl; or X1 = (un)substituted benzofused heterocyclyl and X2 = H; or X1 and X2 together form an optionally benzofused spiro heterocyclyl group; R1, R2, R3 and R4 = independently H and alkyl, or (R1 and R4) or (R2 and R3) or (R1 and R3) or (R2 and R4) together can form an alkylene bridge of 1 to 3 carbon atoms; Z1 = (un)substituted alkyl, aryl, heteroaryl, cycloalkyl or heterocycloalkyl, or CO2(alkyl or substituted amino) or CN; Z2 = H or Z1; Z3 = H or alkyl; or Z1, Z2 and Z3, together with the carbon to which

Common Appl.

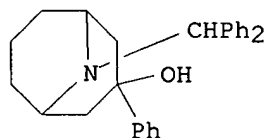
they are attached, form bicyclic saturated or unsatd. rings] or pharmaceutically acceptable salt or solvate thereof useful as **nociceptin** receptor inhibitors for the treatment of pain, anxiety, **cough**, asthma, depression, and alc. abuse are disclosed. Compound II showed the  $K_i$  value of 13 nM in an in vitro test for **ORL-1** receptor binding assay. Formulations are given.

IT 256941-84-1P 256941-85-2P 256941-86-3P  
 256941-87-4P 256941-98-7P 256941-99-8P  
 256942-00-4P 256942-01-5P 256942-02-6P  
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of piperidine derivs. as high affinity ligands for **nociceptin** receptor **ORL-1**)

RN 256941-84-1 CAPLUS

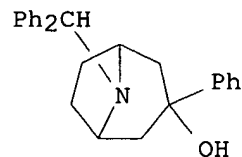
CN 9-Azabicyclo[3.3.1]nonan-3-ol, 9-(diphenylmethyl)-3-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 256941-85-2 CAPLUS

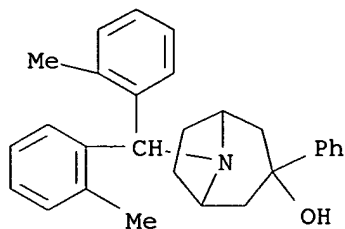
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-(diphenylmethyl)-3-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 256941-86-3 CAPLUS

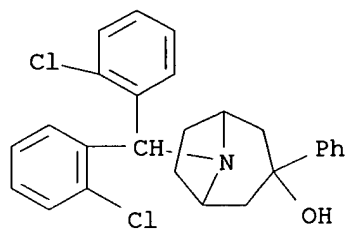
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-methylphenyl)methyl]-3-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 256941-87-4 CAPLUS

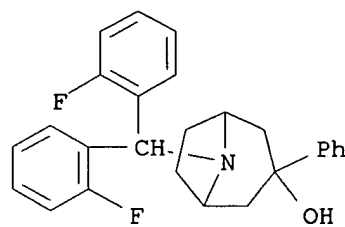
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-chlorophenyl)methyl]-3-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

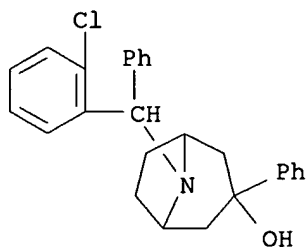
RN 256941-98-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-fluorophenyl)methyl]-3-phenyl-, (9CI) (CA INDEX NAME)



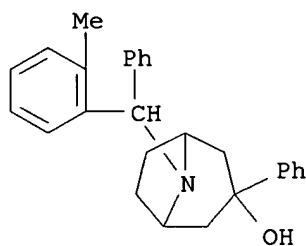
RN 256941-99-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[(2-chlorophenyl)phenylmethyl]-3-phenyl-, (9CI) (CA INDEX NAME)



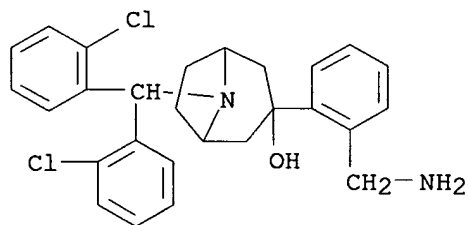
RN 256942-00-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[(2-methylphenyl)phenylmethyl]-3-phenyl-  
(9CI) (CA INDEX NAME)



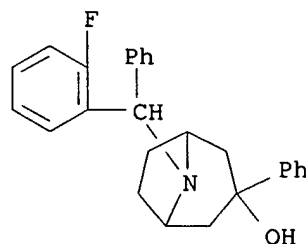
RN 256942-01-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-[2-(aminomethyl)phenyl]-8-[bis(2-  
chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



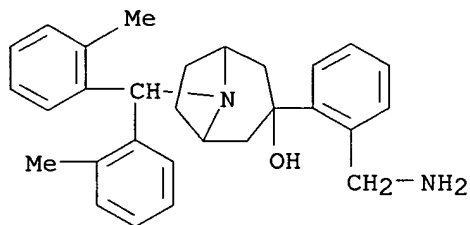
RN 256942-02-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[(2-fluorophenyl)phenylmethyl]-3-phenyl-  
(9CI) (CA INDEX NAME)



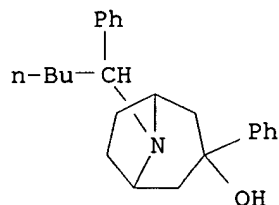
RN 256942-03-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-[2-(aminomethyl)phenyl]-8-[bis(2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



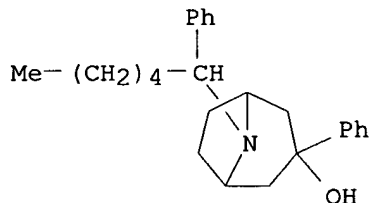
RN 256942-04-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-phenyl-8-(1-phenylpentyl)- (9CI) (CA INDEX NAME)



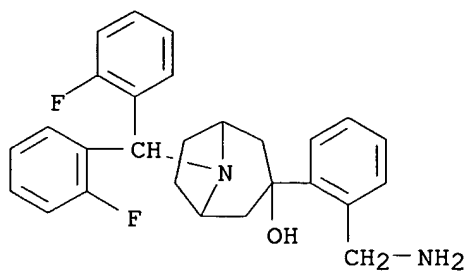
RN 256942-05-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-phenyl-8-(1-phenylhexyl)- (9CI) (CA INDEX NAME)



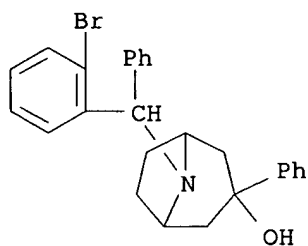
RN 256942-06-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-[2-(aminomethyl)phenyl]-8-[bis(2-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



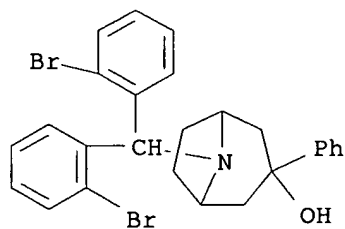
RN 256942-07-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[(2-bromophenyl)phenylmethyl]-3-phenyl-  
(9CI) (CA INDEX NAME)



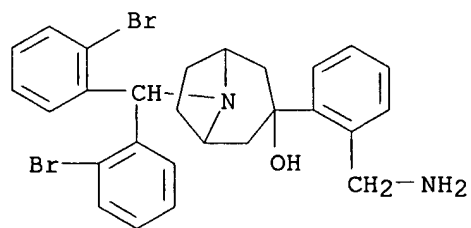
RN 256942-08-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-bis(2-bromophenyl)methyl-3-phenyl-  
(9CI) (CA INDEX NAME)



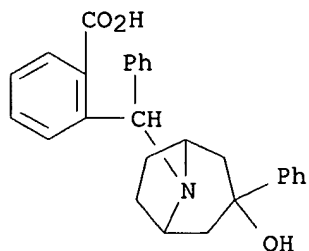
RN 256942-09-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-[2-(aminomethyl)phenyl]-8-bis(2-bromophenyl)methyl- (9CI) (CA INDEX NAME)



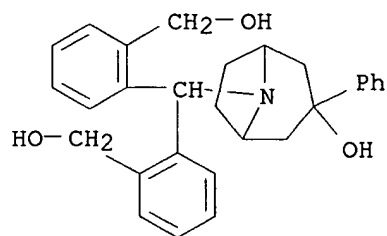
RN 256942-10-6 CAPLUS

CN Benzoic acid, 2-[(3-hydroxy-3-phenyl-8-azabicyclo[3.2.1]oct-8-yl)phenylmethyl]- (9CI) (CA INDEX NAME)



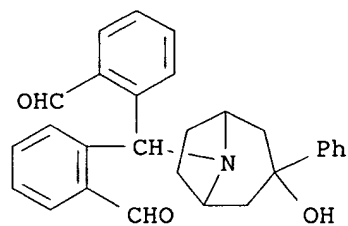
RN 256942-13-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis[2-(hydroxymethyl)phenyl]methyl]-3-phenyl- (9CI) (CA INDEX NAME)



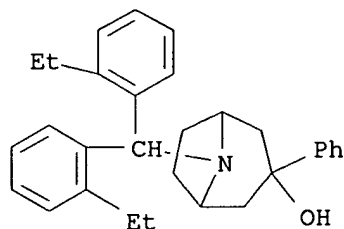
RN 256942-14-0 CAPLUS

CN Benzaldehyde, 2,2'-[(3-hydroxy-3-phenyl-8-azabicyclo[3.2.1]oct-8-yl)methylene]bis- (9CI) (CA INDEX NAME)



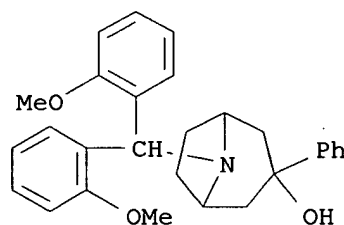
RN 256942-15-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-ethylphenyl)methyl]-3-phenyl- (9CI) (CA INDEX NAME)



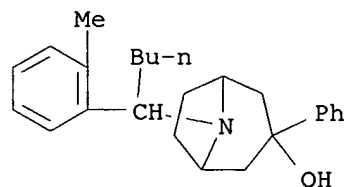
RN 256942-16-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[bis(2-methoxyphenyl)methyl]-3-phenyl-  
(9CI) (CA INDEX NAME)



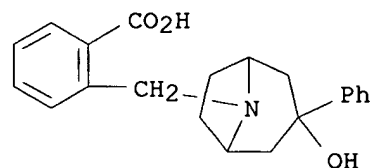
RN 256942-17-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[1-(2-methylphenyl)pentyl]-3-phenyl-  
(9CI) (CA INDEX NAME)



RN 256942-18-4 CAPLUS

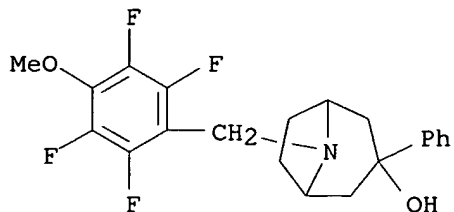
CN Benzoic acid, 2-[(3-hydroxy-3-phenyl-8-azabicyclo[3.2.1]oct-8-yl)methyl]-  
(9CI) (CA INDEX NAME)



RN 256942-19-5 CAPLUS

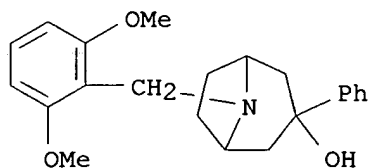
CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-phenyl-8-[(2,3,5,6-tetrafluoro-4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)





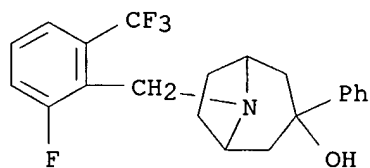
RN 256942-20-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[(2,6-dimethoxyphenyl)methyl]-3-phenyl- (9CI) (CA INDEX NAME)



RN 256942-21-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[[2-fluoro-6-(trifluoromethyl)phenyl]methyl]-3-phenyl- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:98517 CAPLUS

DN 132:151695

TI Preparation of cyclic amine derivatives

IN Takadoi, Masanori; Tanioka, Asao; Ikeda, Makoto; Fukuda, Yasumichi;  
Kojima, Akihiko

PA Kyorin Pharmaceuticals Co., Ltd., Japan

SO PCT Int. Appl., 165 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000006544	A1	20000210	WO 1999-JP4109	19990730
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	JP 2000103782	A2	20000411	JP 1999-212807	19990727
	AU 9949312	A1	20000221	AU 1999-49312	19990730
PRAI	JP 1998-217547	A	19980731		
	JP 1999-212807	A	19990727		
	WO 1999-JP4109	W	19990730		

OS MARPAT 132:151695

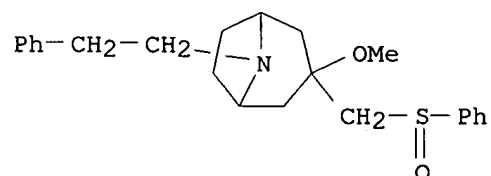
AB Title compds. [I; R1 is hydrogen or C1-C6 alkyl; X is hydrogen, hydroxyl or C1-C6 alkoxy; Y is alkylene or alkenylalkylene; A is a five, six or seven-membered cyclic amine which contains one nitrogen atom and may be bridged at any positions; B is an optionally substituted homo or heterocycle; C is an optionally substituted homo or heterocycle except indole ring; and n is 1 or 2], drug compns. containing title compds, and pharmaceutical acceptable salts thereof are prepared and tested as tachykinin antagonists exhibited antagonism against substance P and neurokinin A receptors. The title compound II was prepared

IT **257633-64-0P 257633-65-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of cyclic amines)

RN 257633-64-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-methoxy-8-(2-phenylethyl)-3-[(phenylsulfinyl)methyl]- (9CI) (CA INDEX NAME)

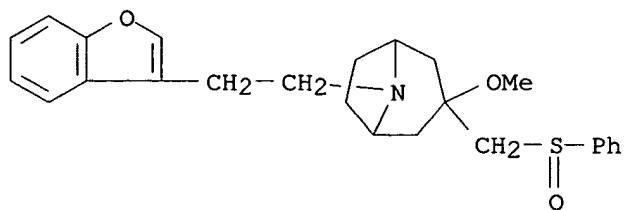


RN 257633-65-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 8-[2-(3-benzofuranyl)ethyl]-3-methoxy-3-

10/761,977

[(phenylsulfinyl)methyl]- (9CI) (CA INDEX NAME)



RE.CNT 20      THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 9 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:634154 CAPLUS

DN 127:314404

TI An aromatic moiety is not essential for pharmacophore binding to sigma binding sites: synthesis of N-alkylazacycloheptane derivatives as potent sigma ligands

AU Yamashita, Akitake; Takahashi, Nobuyuki; Mochizuki, Daisuke; Tsujita, Ryuichi; Yamada, Shinji; Kawakubo, Hiromu; Suzuki, Yukio; Watanabe, Hideyuki

CS Inst. Life Science, Asahi Chemical Industry, Shizuoka, 410-23, Japan

SO Bioorganic & Medicinal Chemistry Letters (1997), 7(17), 2303-2306  
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier

DT Journal

LA English

AB Novel 3-( $\omega$ -(cycloalkyl)-alkyl-1,8,8-trimethyl)-3-azabicyclo[3.2.1]octanes that had no aromatic rings were synthesized. Binding studies showed that these compds. were potent sigma ligands. Due to their simple structures without extra functional groups, they are suitable tools with which to identify pharmacophores capable of binding strongly to sigma binding sites.

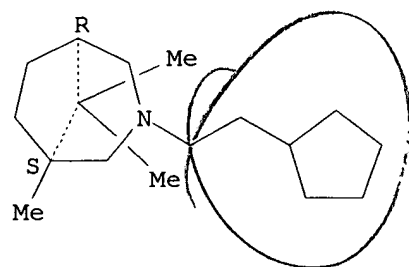
IT 161786-00-1 161786-01-2 161786-03-4  
161786-04-5 161786-05-6 161786-06-7  
161786-07-8 161786-09-0 161902-56-3  
195600-91-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(synthesis of N-alkylazacycloheptane derivs. as potent sigma ligands)

RN 161786-00-1 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(2-cyclopentylethyl)-1,8,8-trimethyl-, (1S)-(9CI) (CA INDEX NAME)

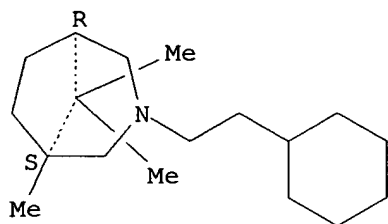
Absolute stereochemistry. Rotation (-).



RN 161786-01-2 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(2-cyclohexylethyl)-1,8,8-trimethyl-, (1S)-(9CI) (CA INDEX NAME)

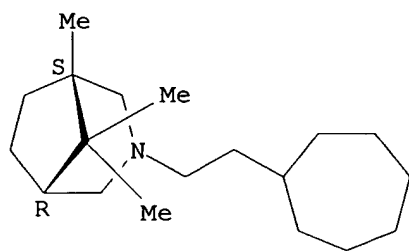
Absolute stereochemistry. Rotation (-).



RN 161786-03-4 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(2-cycloheptylethyl)-1,8,8-trimethyl-, (1S)-  
(9CI) (CA INDEX NAME)

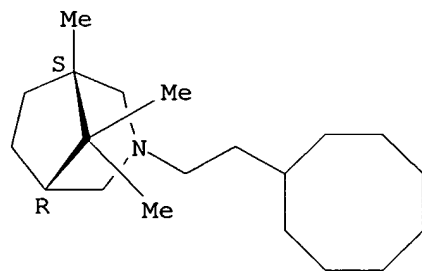
Absolute stereochemistry.



RN 161786-04-5 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(2-cyclooctylethyl)-1,8,8-trimethyl-, (1S)-  
(9CI) (CA INDEX NAME)

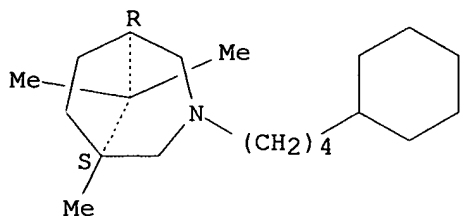
Absolute stereochemistry.



RN 161786-05-6 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(4-cyclohexylbutyl)-1,8,8-trimethyl-, (1S)-  
(9CI) (CA INDEX NAME)

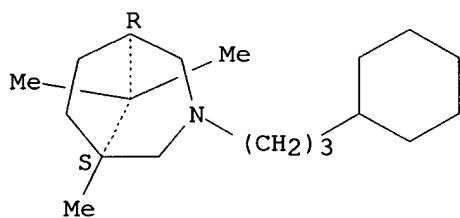
Absolute stereochemistry. Rotation (-).



RN 161786-06-7 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(3-cyclohexylpropyl)-1,8,8-trimethyl-, (1S)-  
(9CI) (CA INDEX NAME)

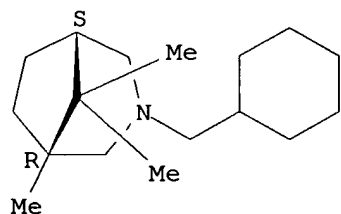
Absolute stereochemistry. Rotation (-).



RN 161786-07-8 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(cyclohexylmethyl)-1,8,8-trimethyl-, (1R)-  
(9CI) (CA INDEX NAME)

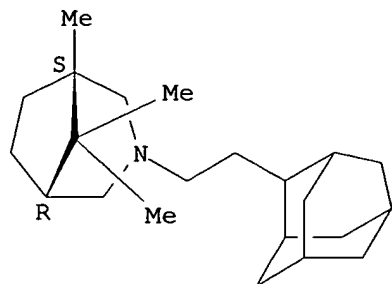
Absolute stereochemistry. Rotation (-).



RN 161786-09-0 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(2-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-ylethyl)-, (1S)- (9CI) (CA INDEX NAME)

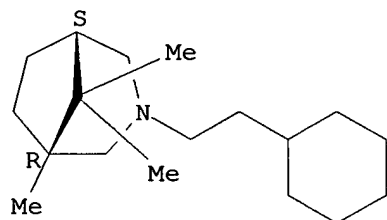
Absolute stereochemistry. Rotation (-).



RN 161902-56-3 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(2-cyclohexylethyl)-1,8,8-trimethyl-, (1R)-  
(9CI) (CA INDEX NAME)

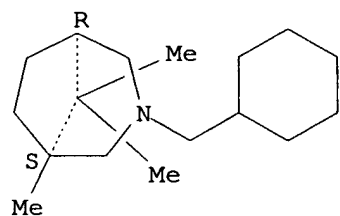
Absolute stereochemistry. Rotation (+).



RN 195600-91-0 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(cyclohexylmethyl)-1,8,8-trimethyl-, (1S)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 10 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1997:574512 CAPLUS  
 DN 127:248269  
 TI Preparation of azepine derivatives as  $\sigma$ -receptors  
 IN Takahashi, Nobuyuki; Mochizuki, Daisuke  
 PA Asahi Kasei Kogyo K. K., Japan  
 SO U.S., 28 pp., Cont.-in-part of U.S. Ser. No. 389,385, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5658923	A	19970819	US 1995-413285	19950330
	JP 06184113	A2	19940705	JP 1993-223744	19930908
	JP 08109129	A2	19960430	JP 1995-202166	19950808
	JP 2831952	B2	19981202		
PRAI	JP 1992-250158	A	19920918		
	JP 1992-267702	A	19921006		
	JP 1994-47012	A	19940317		
	US 1995-389385	B2	19950216		
	JP 1993-223745		19930908		

OS MARPAT 127:248269

AB An azepine compds. I (R = (a) Q, R1 = H, lower alkyl, lower alkoxy, hydroxy, halo, optionally substituted Ph, and n = 0, 1; (b) C5-8 cycloalkyl which is optionally substituted by lower alkyl, (c) norbornyl, (d) bicyclo[3.3.1]nonyl, (e) naphthyl, (f) 1,3-benzoxolyl, (g) pyridyl, or (h) thienyl; m = 0-4, and C\* is an asym. carbon), and their nontoxic salts were prepared for treating diseases related to  $\sigma$ -receptor such as schizophrenia. Thus, (1S)-1,8,-trimethyl-3-azabicyclo[3.2.1]octane hydrochloride was treated with 1-adamantylacetic acid followed by reduction to give (1S)-3-[2-(1-adamantyl)ethyl]-1,8,-trimethyl-3-azabicyclo[3.2.1]octane. The binding  $K_i$  for II with  $\sigma$ -receptor was 0.26 nM.

IT 161785-97-3P 161786-00-1P 161786-01-2P  
 161786-05-6P 161786-06-7P 161786-07-8P  
 161786-09-0P 161786-13-6P 161786-14-7P  
 161786-15-8P 161786-23-8P 161786-24-9P  
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 161902-59-6P 161902-60-9P 161902-61-0P  
 161902-62-1P 161902-63-2P 161902-64-3P  
 161902-66-5P 161902-67-6P 161902-68-7P  
 161902-76-7P 161902-77-8P 161902-78-9P  
 161902-79-0P 161902-80-3P 161902-82-5P  
 161902-83-6P 161902-84-7P 161902-85-8P  
 161902-86-9P 161902-87-0P 161902-88-1P  
 161902-89-2P 161902-90-5P 161902-91-6P  
 161967-90-4P 161967-91-5P 161967-95-9P  
 161967-96-0P 161967-97-1P 161967-98-2P  
 161967-99-3P 161968-00-9P 170719-72-9P  
 170719-73-0P 170719-74-1P 170719-75-2P  
 170719-78-5P 170719-80-9P 170719-81-0P  
 170719-82-1P 170719-83-2P 170719-84-3P  
 170719-85-4P 170719-86-5P 170719-87-6P  
 195600-82-9P 195600-91-0P 195600-93-2P



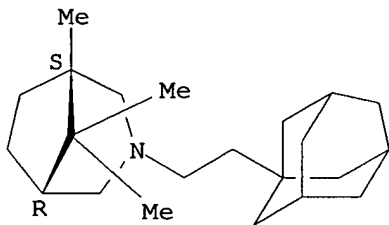
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 195727-00-5P 195727-01-6P 195727-02-7P  
 195727-03-8P 195727-04-9P 195727-05-0P  
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 195727-09-4P 195727-10-7P 195727-11-8P  
 195727-12-9P 195727-13-0P 195727-14-1P  
 195727-15-2P 195727-16-3P 195727-75-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of azepine derivs. as  $\sigma$ -receptors)

RN 161785-97-3 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(2-tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-ylethyl)-, (1S,5R)- (9CI) (CA INDEX NAME)

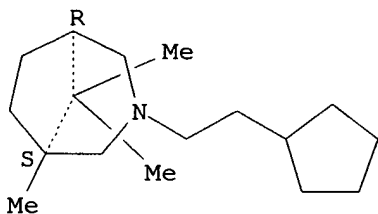
Absolute stereochemistry. Rotation (-).



RN 161786-00-1 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(2-cyclopentylethyl)-1,8,8-trimethyl-, (1S)- (9CI) (CA INDEX NAME)

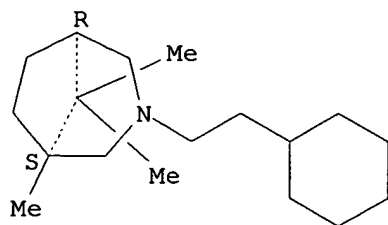
Absolute stereochemistry. Rotation (-).



RN 161786-01-2 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(2-cyclohexylethyl)-1,8,8-trimethyl-, (1S)- (9CI) (CA INDEX NAME)

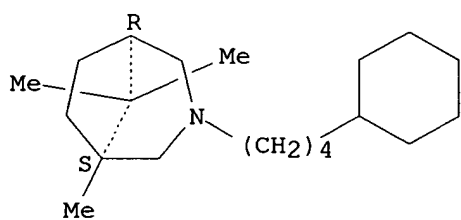
Absolute stereochemistry. Rotation (-).



RN 161786-05-6 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(4-cyclohexylbutyl)-1,8,8-trimethyl-, (1S)-  
(9CI) (CA INDEX NAME)

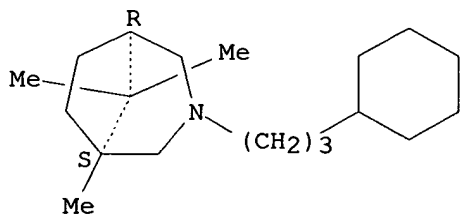
Absolute stereochemistry. Rotation (-).



RN 161786-06-7 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(3-cyclohexylpropyl)-1,8,8-trimethyl-, (1S)-  
(9CI) (CA INDEX NAME)

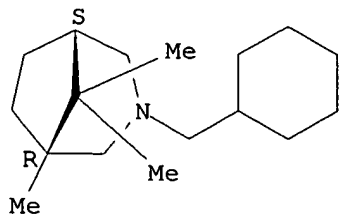
Absolute stereochemistry. Rotation (-).



RN 161786-07-8 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(cyclohexylmethyl)-1,8,8-trimethyl-, (1R)-  
(9CI) (CA INDEX NAME)

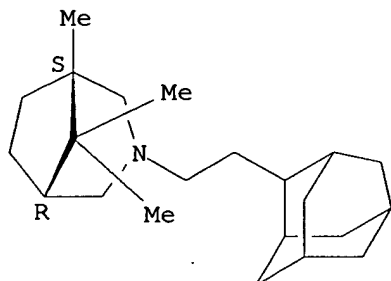
Absolute stereochemistry. Rotation (-).



RN 161786-09-0 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(2-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-ylethyl)-, (1S)- (9CI) (CA INDEX NAME)

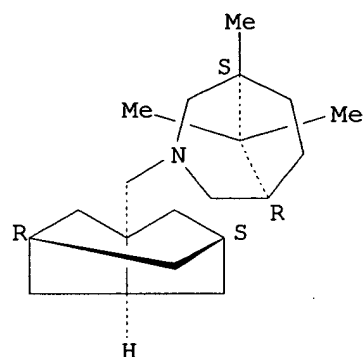
Absolute stereochemistry. Rotation (-).



RN 161786-13-6 CAPLUS

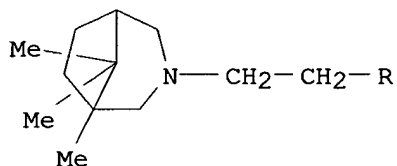
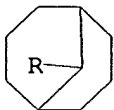
CN 3-Azabicyclo[3.2.1]octane, 3-[(hexahydro-2,5-methanopentalen-3a(1H)-yl)methyl]-1,8,8-trimethyl-, [3a(1S)-(2 $\alpha$ ,3a $\beta$ ,5 $\alpha$ ,6a $\beta$ )]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 161786-14-7 CAPLUS

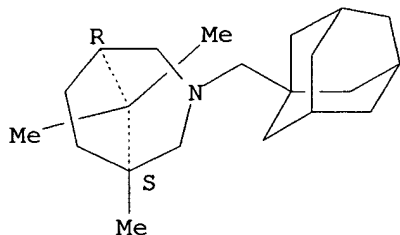
CN 3-Azabicyclo[3.2.1]octane, 3-(2-bicyclo[3.3.1]non-9-ylethyl)-1,8,8-trimethyl-, (1S)- (9CI) (CA INDEX NAME)



RN 161786-15-8 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(tricyclo[3.3.1.1.3]dec-1-ylmethyl)-, (1S)- (9CI) (CA INDEX NAME)

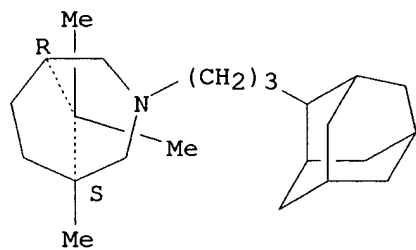
Absolute stereochemistry. Rotation (-).



RN 161786-23-8 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(3-tricyclo[3.3.1.1.3]dec-2-ylpropyl)-, (1S)- (9CI) (CA INDEX NAME)

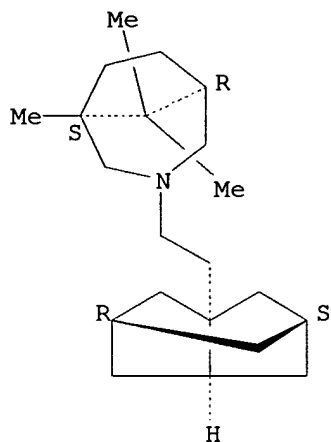
Absolute stereochemistry. Rotation (-).



RN 161786-24-9 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)ethyl]-1,8,8-trimethyl-, [3a(1S)-(2α, 3aβ, 5α, 6aβ)]- (9CI) (CA INDEX NAME)

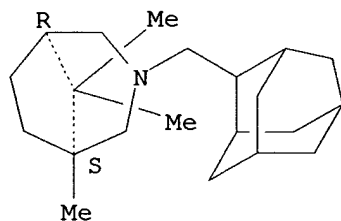
Absolute stereochemistry. Rotation (-).



RN 161786-25-0 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(tricyclo[3.3.1.1.3]dec-2-ylmethyl)-, (1S)- (9CI) (CA INDEX NAME)

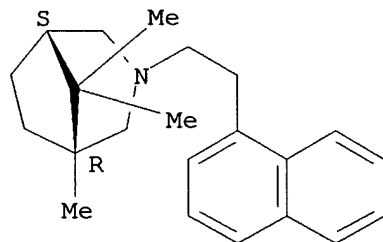
Absolute stereochemistry. Rotation (-).



RN 161786-28-3 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(1-naphthalenyl)ethyl]-, hydrochloride, (1R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

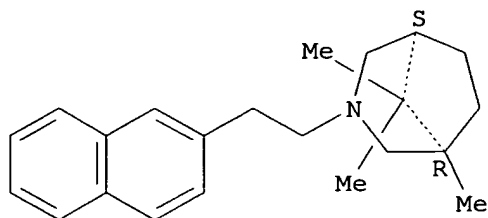


● HCl

RN 161786-29-4 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(2-naphthalenyl)ethyl]-, (1R)- (9CI) (CA INDEX NAME)

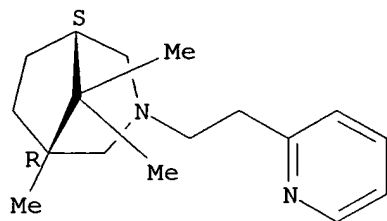
Absolute stereochemistry.



RN 161786-30-7 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(2-pyridinyl)ethyl]-,  
(1R)- (9CI) (CA INDEX NAME)

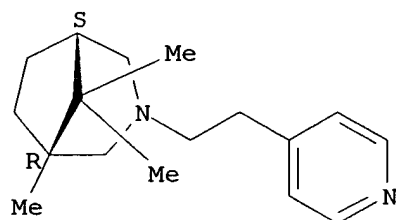
Absolute stereochemistry. Rotation (+).



RN 161786-31-8 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(4-pyridinyl)ethyl]-,  
(1R)- (9CI) (CA INDEX NAME)

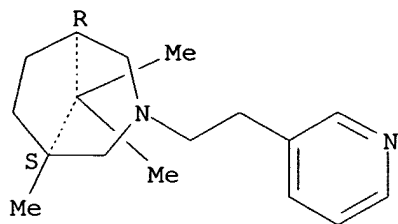
Absolute stereochemistry. Rotation (+).



RN 161786-32-9 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(3-pyridinyl)ethyl]-,  
(1S)- (9CI) (CA INDEX NAME)

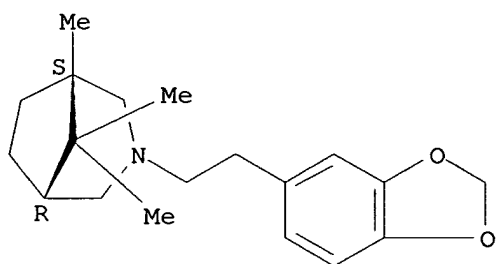
Absolute stereochemistry. Rotation (-).



RN 161786-33-0 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-(1,3-benzodioxol-5-yl)ethyl]-1,8,8-trimethyl-, (1S)- (9CI) (CA INDEX NAME)

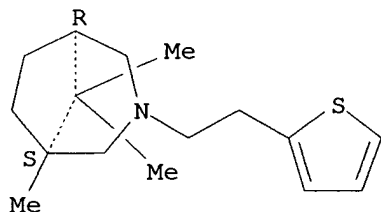
Absolute stereochemistry. Rotation (-).



RN 161786-34-1 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(2-thienyl)ethyl]-, (1S)- (9CI) (CA INDEX NAME)

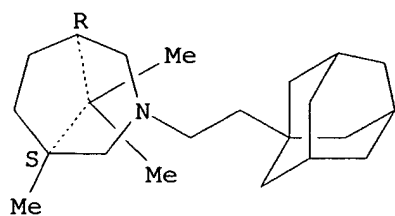
Absolute stereochemistry. Rotation (-).



RN 161902-53-0 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(2-tricyclo[3.3.1.1.3,7]dec-1-ylethyl)-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

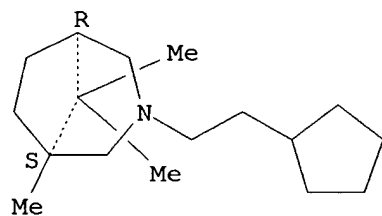
Absolute stereochemistry. Rotation (-).



● HCl

RN 161902-54-1 CAPLUS  
 CN 3-Azabicyclo[3.2.1]octane, 3-(2-cyclopentylethyl)-1,8,8-trimethyl-,  
 hydrochloride, (1S)- (9CI) (CA INDEX NAME)

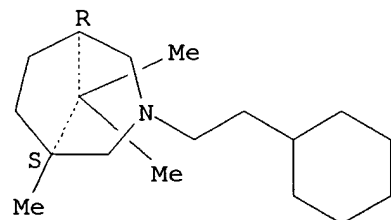
Absolute stereochemistry. Rotation (-).



● HCl

RN 161902-55-2 CAPLUS  
 CN 3-Azabicyclo[3.2.1]octane, 3-(2-cyclohexylethyl)-1,8,8-trimethyl-,  
 hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

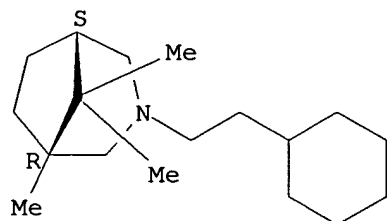


● HCl

RN 161902-56-3 CAPLUS  
 CN 3-Azabicyclo[3.2.1]octane, 3-(2-cyclohexylethyl)-1,8,8-trimethyl-, (1R)-  
 (9CI) (CA INDEX NAME)



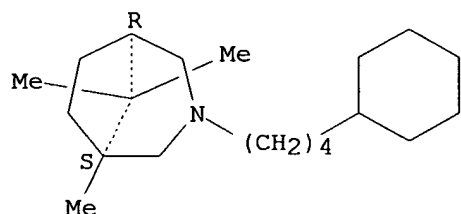
Absolute stereochemistry. Rotation (+).



RN 161902-59-6 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(4-cyclohexylbutyl)-1,8,8-trimethyl-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

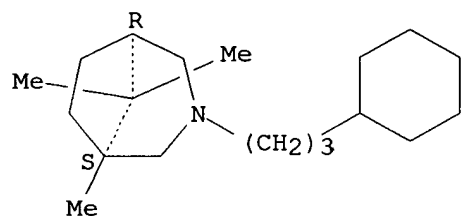


● HCl

RN 161902-60-9 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(3-cyclohexylpropyl)-1,8,8-trimethyl-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

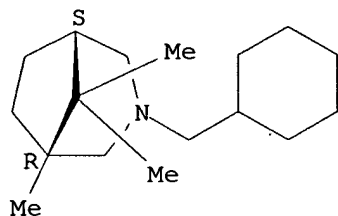


● HCl

RN 161902-61-0 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(cyclohexylmethyl)-1,8,8-trimethyl-, hydrochloride, (1R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

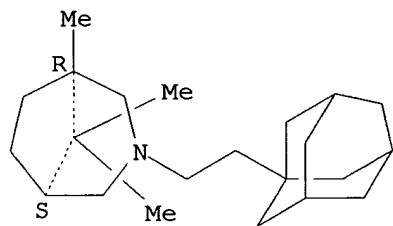


● HCl

RN 161902-62-1 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(2-tricyclo[3.3.1.1.3,7]dec-1-ylethyl)-, (1R)- (9CI) (CA INDEX NAME)

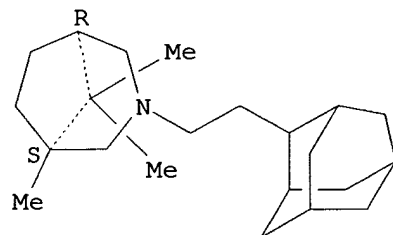
Absolute stereochemistry. Rotation (+).



RN 161902-63-2 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(2-tricyclo[3.3.1.1.3,7]dec-2-ylethyl)-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

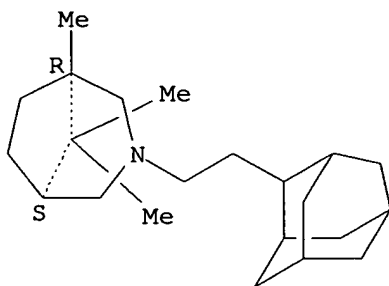


● HCl

RN 161902-64-3 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(2-tricyclo[3.3.1.1.3,7]dec-2-ylethyl)-, (1R)- (9CI) (CA INDEX NAME)

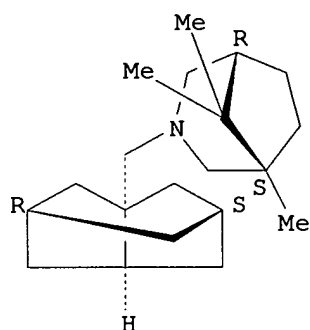
Absolute stereochemistry. Rotation (+).



RN 161902-66-5 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[(hexahydro-2,5-methanopentalen-3a(1H)-yl)methyl]-1,8,8-trimethyl-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

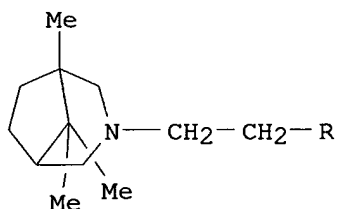
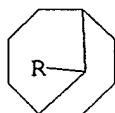
Absolute stereochemistry. Rotation (-).



● HCl

RN 161902-67-6 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(2-bicyclo[3.3.1]non-9-ylethyl)-1,8,8-trimethyl-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

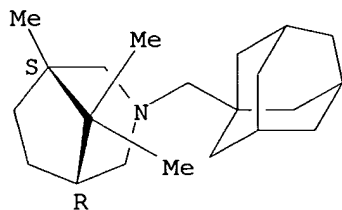


● HCl

RN 161902-68-7 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

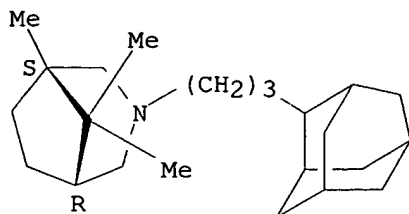


● HCl

RN 161902-76-7 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(3-tricyclo[3.3.1.13,7]dec-2-ylpropyl)-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

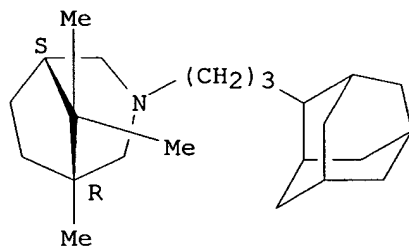


● HCl

RN 161902-77-8 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-((3R,4R,5R)-3-ethylbicyclo[3.3.1]non-2-yl)propyl-, (1R)- (9CI) (CA INDEX NAME)

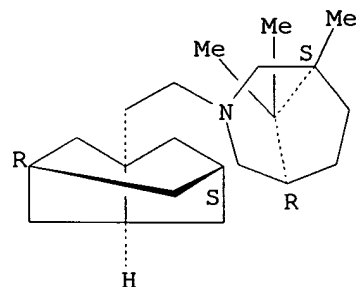
Absolute stereochemistry. Rotation (+).



RN 161902-78-9 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[[2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)ethyl]-1,8,8-trimethyl-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

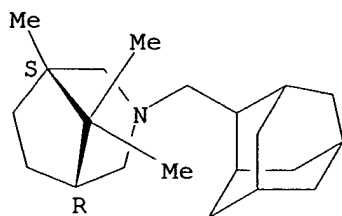


● HCl

RN 161902-79-0 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(tricyclo[3.3.1]non-2-yl)methyl-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

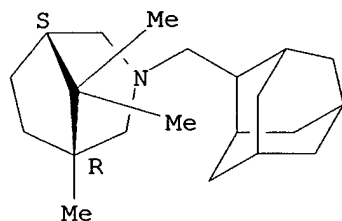


● HCl

RN 161902-80-3 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(tricyclo[3.3.1.3<sup>0</sup>.1.0]dec-2-ylmethyl)-, (1R)- (9CI) (CA INDEX NAME)

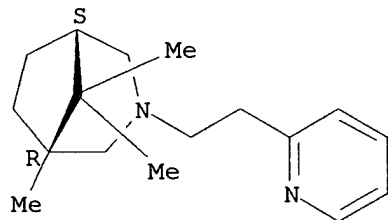
Absolute stereochemistry. Rotation (+).



RN 161902-82-5 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(2-pyridinyl)ethyl]-, monohydrochloride, (1R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

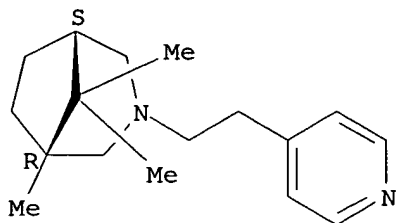


● HCl

RN 161902-83-6 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(4-pyridinyl)ethyl]-, monohydrochloride, (1R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

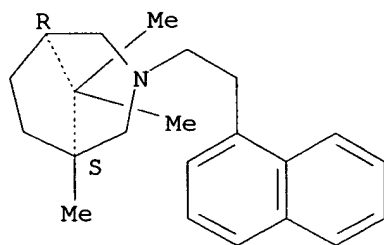


● HCl

RN 161902-84-7 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(1-naphthalenyl)ethyl]-,  
(1S)- (9CI) (CA INDEX NAME)

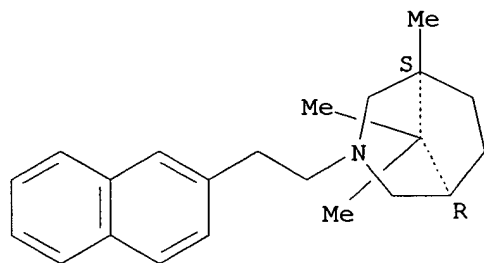
Absolute stereochemistry. Rotation (-).



RN 161902-85-8 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(2-naphthalenyl)ethyl]-,  
(1S)- (9CI) (CA INDEX NAME)

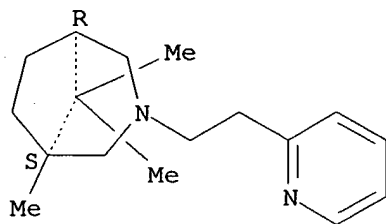
Absolute stereochemistry. Rotation (-).



RN 161902-86-9 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(2-pyridinyl)ethyl]-,  
(1S)- (9CI) (CA INDEX NAME)

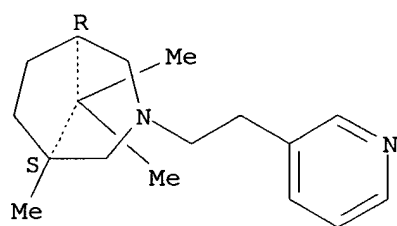
Absolute stereochemistry. Rotation (-).



RN 161902-87-0 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(3-pyridinyl)ethyl]-, monohydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

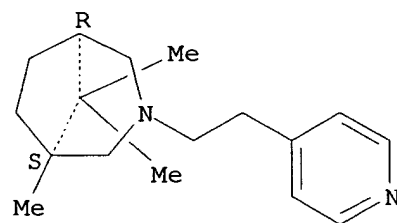


● HCl

RN 161902-88-1 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(4-pyridinyl)ethyl]-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

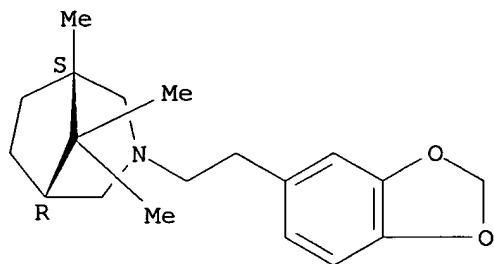


RN 161902-89-2 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-(1,3-benzodioxol-5-yl)ethyl]-1,8,8-trimethyl-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



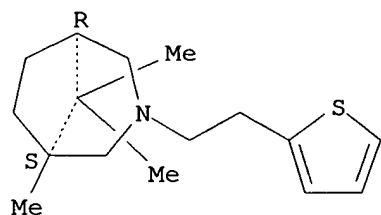


● HCl

RN 161902-90-5 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(2-thienyl)ethyl]-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

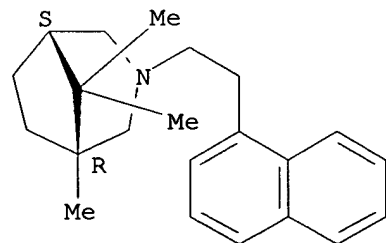


● HCl

RN 161902-91-6 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(1-naphthalenyl)ethyl]-, (1R)- (9CI) (CA INDEX NAME)

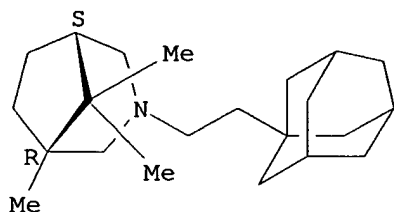
Absolute stereochemistry. Rotation (+).



RN 161967-90-4 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(2-tricyclo[3.3.1.1.3,7]dec-1-ylethyl)-, hydrochloride, (1R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

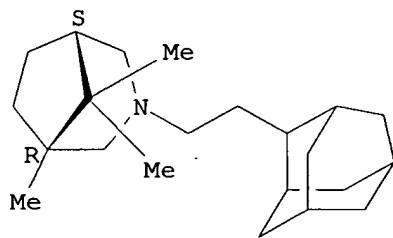


● HCl

RN 161967-91-5 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(2-tricyclo[3.3.1.1.3,7]dec-2-ylethyl)-, hydrochloride, (1R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

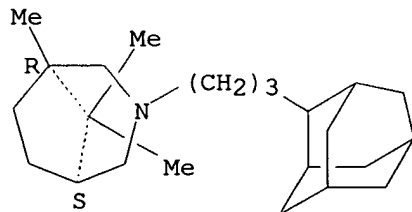


● HCl

RN 161967-95-9 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(3-tricyclo[3.3.1.1.3,7]dec-2-ylpropyl)-, hydrochloride, (1R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

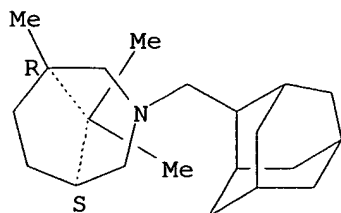


● HCl

RN 161967-96-0 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-(tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-ylmethyl)-, hydrochloride, (1R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

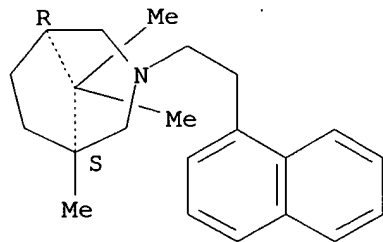


● HCl

RN 161967-97-1 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(1-naphthalenyl)ethyl]-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

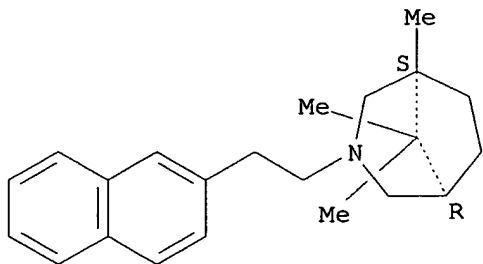


● HCl

RN 161967-98-2 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(2-naphthalenyl)ethyl]-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

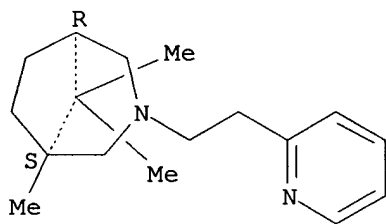


● HCl

RN 161967-99-3 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(2-pyridinyl)ethyl]-, monohydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

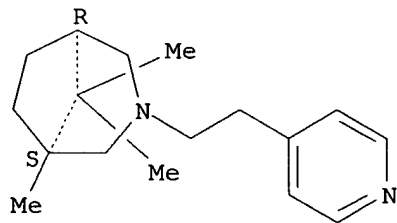


● HCl

RN 161968-00-9 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(4-pyridinyl)ethyl]-, monohydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

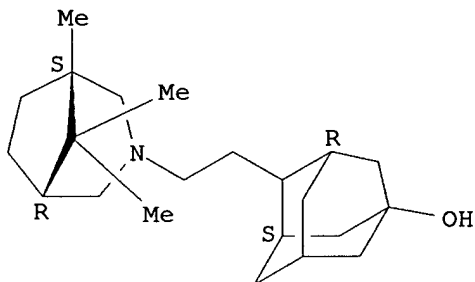


● HCl

RN 170719-72-9 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decan-1-ol, 4-[2-(1,8,8-trimethyl-3-azabicyclo[3.2.1]oct-3-yl)ethyl]-, [4(1S)-(1 $\alpha$ ,3 $\alpha$ ,4 $\alpha$ ,5.beta.,7 $\alpha$ )]- (9CI) (CA INDEX NAME)

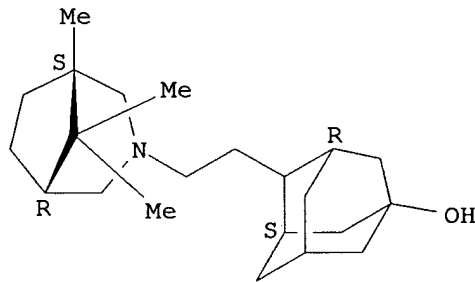
Absolute stereochemistry.



RN 170719-73-0 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decan-1-ol, 4-[2-(1,8,8-trimethyl-3-azabicyclo[3.2.1]oct-3-yl)ethyl]-, [4(1S)-(1 $\alpha$ ,3 $\alpha$ ,4 $\beta$ ,5.beta.,7 $\alpha$ )]- (9CI) (CA INDEX NAME)

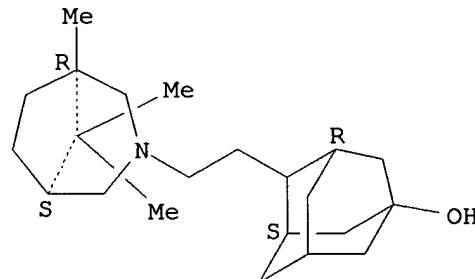
Absolute stereochemistry.



RN 170719-74-1 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decan-1-ol, 4-[2-(1,8,8-trimethyl-3-azabicyclo[3.2.1]oct-3-yl)ethyl]-, [4(1R)-(1 $\alpha$ ,3 $\alpha$ ,4 $\alpha$ ,5.beta.,7 $\alpha$ )]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

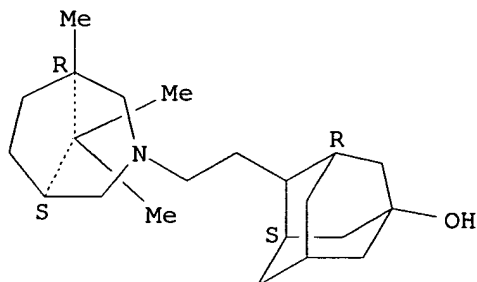


RN 170719-75-2 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decan-1-ol, 4-[2-(1,8,8-trimethyl-3-

azabicyclo[3.2.1]oct-3-yl)ethyl]-, [4(1R)-(1 $\alpha$ ,3 $\alpha$ ,4 $\beta$ ,5 $\beta$ ,7 $\alpha$ )]- (9CI) (CA INDEX NAME)

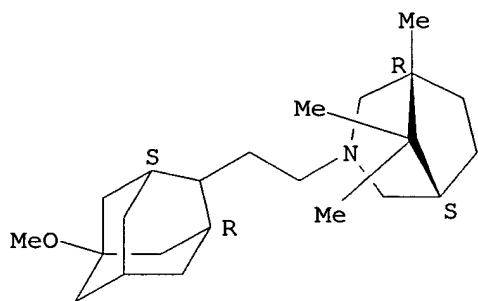
Absolute stereochemistry.



RN 170719-78-5 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-(5-methoxytricyclo[3.3.1.1.3,7]dec-2-yl)ethyl]-1,8,8-trimethyl-, [2(1R)-(1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5 $\beta$ ,7 $\beta$ )]- (9CI) (CA INDEX NAME)

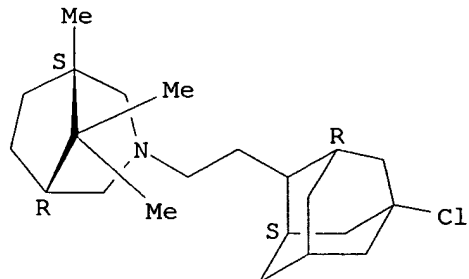
Absolute stereochemistry.



RN 170719-80-9 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-(5-chlorotricyclo[3.3.1.1.3,7]dec-2-yl)ethyl]-1,8,8-trimethyl-, [2(1S)-(1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5 $\beta$ ,7 $\beta$ )]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

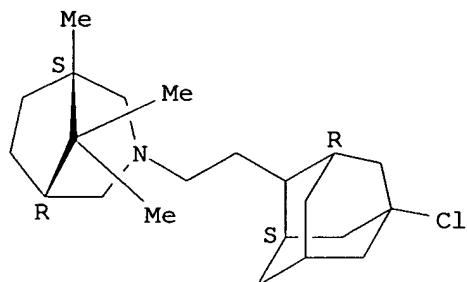


RN 170719-81-0 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-(5-chlorotricyclo[3.3.1.1.3,7]dec-2-

yl)ethyl]-1,8,8-trimethyl-, [2(1S)-(1 $\alpha$ ,2 $\alpha$ ,3 $\beta$ ,5 $\beta$ ,7.  
ta.)]- (9CI) (CA INDEX NAME)

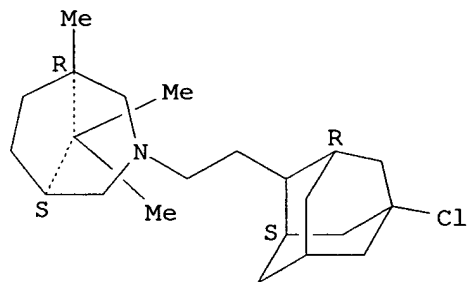
Absolute stereochemistry.



RN 170719-82-1 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-(5-chlorotricyclo[3.3.1.13,7]dec-2-yl)ethyl]-1,8,8-trimethyl-, [2(1R)-(1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5 $\beta$ ,7.  
a.)]- (9CI) (CA INDEX NAME)

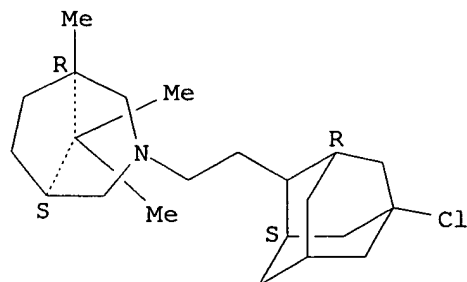
Absolute stereochemistry.



RN 170719-83-2 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-(5-chlorotricyclo[3.3.1.13,7]dec-2-yl)ethyl]-1,8,8-trimethyl-, [2(1R)-(1 $\alpha$ ,2 $\alpha$ ,3 $\beta$ ,5 $\beta$ ,7.  
ta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

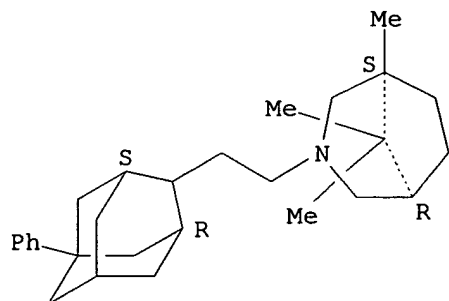


RN 170719-84-3 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(5-phenyltricyclo[3.3.1.13,7]dec-2-yl)ethyl]-, [2(1S)-

(1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5 $\beta$ ,7 $\beta$ )]- (9CI) (CA INDEX NAME)

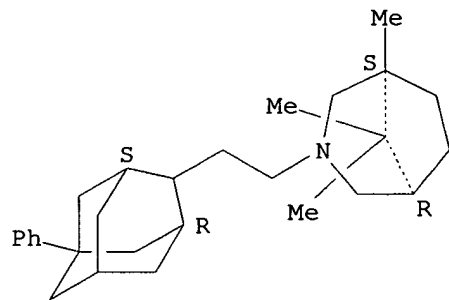
Absolute stereochemistry.



RN 170719-85-4 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(5-phenyltricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl)ethyl]-, [2(1S)-(1 $\alpha$ ,2 $\alpha$ ,3 $\beta$ ,5 $\beta$ ,7 $\beta$ )]- (9CI) (CA INDEX NAME)

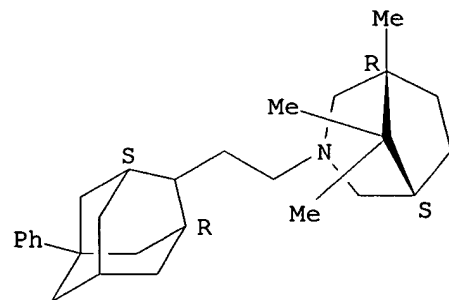
Absolute stereochemistry.



RN 170719-86-5 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(5-phenyltricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl)ethyl]-, [2(1R)-(1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5 $\beta$ ,7 $\beta$ )]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

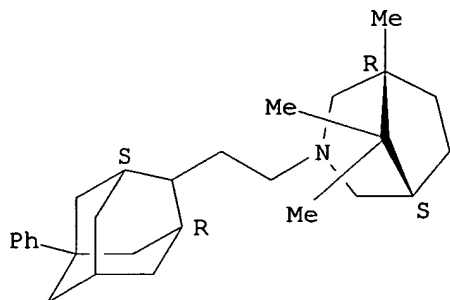


RN 170719-87-6 CAPLUS



CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(5-phenyltricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl)ethyl]-, [2(1R)-(1 $\alpha$ ,2 $\alpha$ ,3 $\beta$ ,5 $\beta$ ,7 $\beta$ )]- (9CI) (CA INDEX NAME)

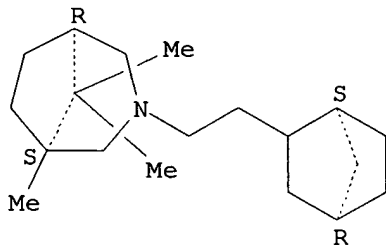
Absolute stereochemistry.



RN 195600-82-9 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(2-bicyclo[2.2.1]hept-2-ylethyl)-1,8,8-trimethyl-, [1S,2(1S,5R),4R]-[partial]- (9CI) (CA INDEX NAME)

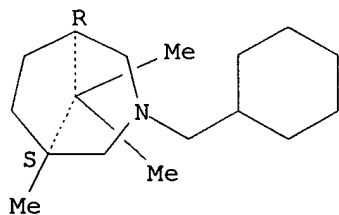
Absolute stereochemistry.



RN 195600-91-0 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(cyclohexylmethyl)-1,8,8-trimethyl-, (1S)- (9CI) (CA INDEX NAME)

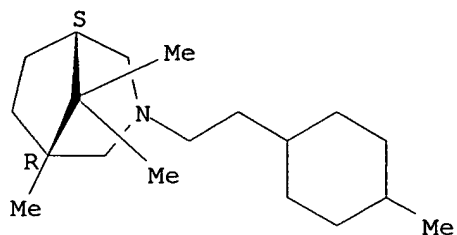
Absolute stereochemistry. Rotation (-).



RN 195600-93-2 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(4-methylcyclohexyl)ethyl]-, [1R-(1 $\alpha$ ,5 $\alpha$ )]-[partial]- (9CI) (CA INDEX NAME)

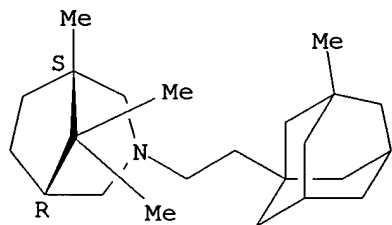
Absolute stereochemistry.



RN 195600-94-3 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(3-methyltricyclo[3.3.1.1.3,7]dec-1-yl)ethyl]-, (1S)- (9CI) (CA INDEX NAME)

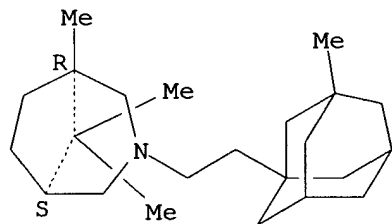
Absolute stereochemistry. Rotation (-).



RN 195601-00-4 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(3-methyltricyclo[3.3.1.1.3,7]dec-1-yl)ethyl]-, (1R)- (9CI) (CA INDEX NAME)

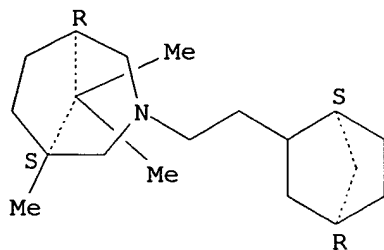
Absolute stereochemistry. Rotation (+).



RN 195601-11-7 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(2-bicyclo[2.2.1]hept-2-ylethyl)-1,8,8-trimethyl-, hydrochloride, [1S,2(1S,5R),4R]-[partial]- (9CI) (CA INDEX NAME)

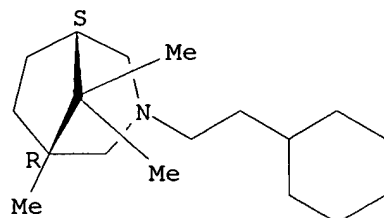
Absolute stereochemistry.



● HCl

RN 195601-12-8 CAPLUS  
 CN 3-Azabicyclo[3.2.1]octane, 3-(2-cyclohexylethyl)-1,8,8-trimethyl-,  
 hydrochloride, (1R)- (9CI) (CA INDEX NAME)

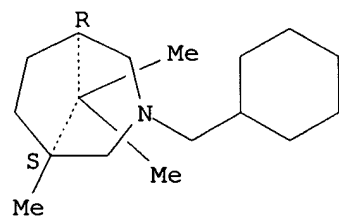
Absolute stereochemistry. Rotation (+).



● HCl

RN 195601-15-1 CAPLUS  
 CN 3-Azabicyclo[3.2.1]octane, 3-(cyclohexylmethyl)-1,8,8-trimethyl-,  
 hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

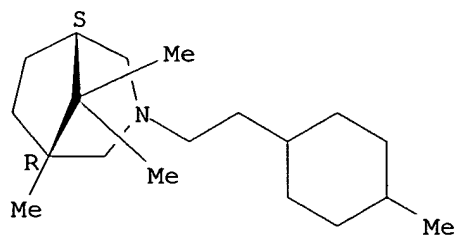


● HCl

RN 195601-16-2 CAPLUS  
 CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(4-methylcyclohexyl)ethyl]-

, hydrochloride, [1R-(1 $\alpha$ ,5 $\alpha$ )]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

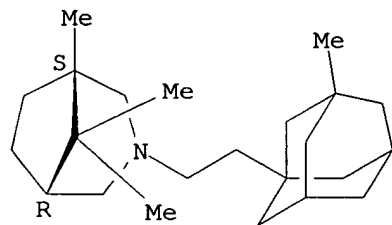


● HCl

RN 195601-17-3 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(3-methyltricyclo[3.3.1.1.3,7]dec-1-yl)ethyl]-, hydrochloride, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

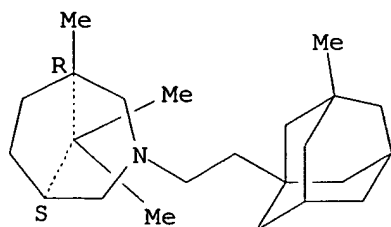


● HCl

RN 195601-18-4 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(3-methyltricyclo[3.3.1.1.3,7]dec-1-yl)ethyl]-, hydrochloride, (1R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

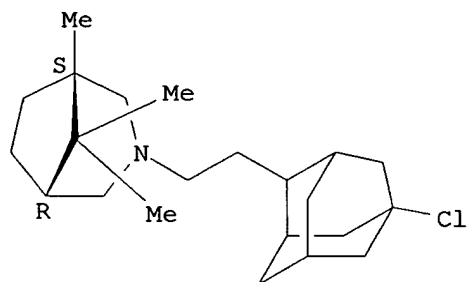


● HCl

RN 195726-99-9 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-(5-chlorotricyclo[3.3.1.1.3,7]dec-2-yl)ethyl]-1,8,8-trimethyl-, [2(1S,5R)]-[partial]- (9CI) (CA INDEX NAME)

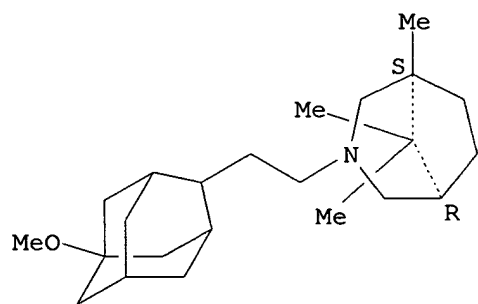
Absolute stereochemistry.



RN 195727-00-5 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-(5-methoxytricyclo[3.3.1.1.3,7]dec-2-yl)ethyl]-1,8,8-trimethyl-, [2(1S,5R)]-[partial]- (9CI) (CA INDEX NAME)

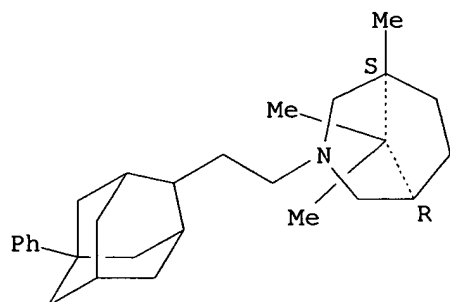
Absolute stereochemistry.



RN 195727-01-6 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(5-phenyltricyclo[3.3.1.1.3,7]dec-2-yl)ethyl]-, [2(1S,5R)]-[partial]- (9CI) (CA INDEX NAME)

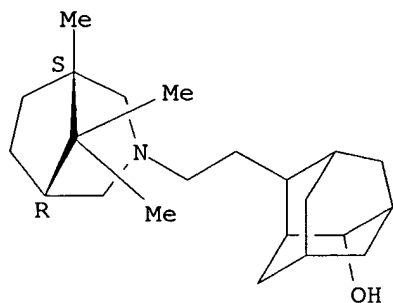
Absolute stereochemistry.



RN 195727-02-7 CAPLUS

CN Tricyclo[3.3.1.13,7]decan-2-ol, 4-[2-(1,8,8-trimethyl-3-azabicyclo[3.2.1]oct-3-yl)ethyl]-, [4(1S,5R)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 195727-03-8 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(4-phenyltricyclo[3.3.1.13,7]dec-2-yl)ethyl]-, [2(1S,5R)]-[partial]- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 195727-04-9 CAPLUS

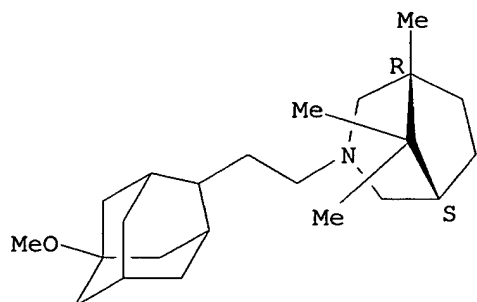
CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(4-phenyltricyclo[3.3.1.13,7]dec-2-yl)ethyl]-, [2(1R,5S)]-[partial]- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 195727-05-0 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-(5-methoxytricyclo[3.3.1.13,7]dec-2-yl)ethyl]-1,8,8-trimethyl-, [2(1R,5S)]-[partial]- (9CI) (CA INDEX NAME)

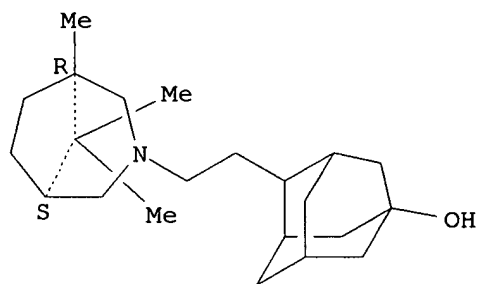
Absolute stereochemistry.



RN 195727-06-1 CAPLUS

CN Tricyclo[3.3.1.13,7]decan-1-ol, 4-[2-(1,8,8-trimethyl-3-azabicyclo[3.2.1]oct-3-yl)ethyl]-, [4(1R,5S)]-[partial]- (9CI) (CA INDEX NAME)

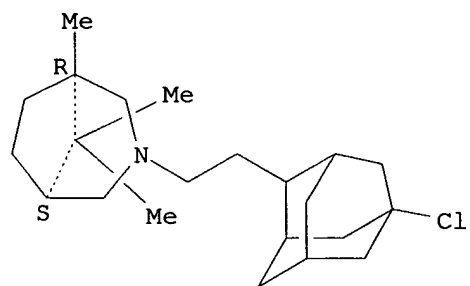
Absolute stereochemistry.



RN 195727-07-2 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-(5-chlorotricyclo[3.3.1.13,7]dec-2-yl)ethyl]-1,8,8-trimethyl-, [2(1R,5S)]-[partial]- (9CI) (CA INDEX NAME)

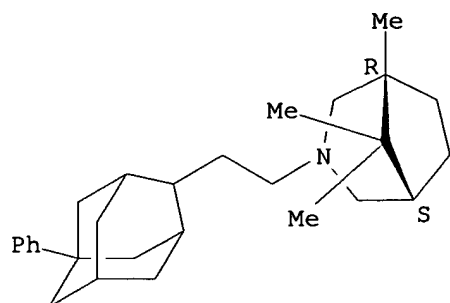
Absolute stereochemistry.



RN 195727-08-3 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(5-phenyltricyclo[3.3.1.13,7]dec-2-yl)ethyl]-, [2(1R,5S)]-[partial]- (9CI) (CA INDEX NAME)

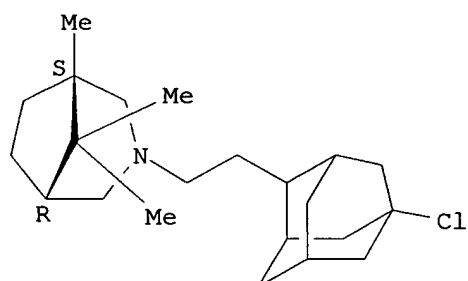
Absolute stereochemistry.



RN 195727-09-4 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-(5-chlorotricyclo[3.3.1.1.3,7]dec-2-yl)ethyl]-1,8,8-trimethyl-, hydrochloride, [2(1S,5R)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



• HCl

RN 195727-10-7 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(4-phenyltricyclo[3.3.1.1.3,7]dec-2-yl)ethyl]-, hydrochloride, [2(1S,5R)]-[partial]- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 195727-11-8 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 1,8,8-trimethyl-3-[2-(4-phenyltricyclo[3.3.1.1.3,7]dec-2-yl)ethyl]-, hydrochloride, [2(1R,5S)]-[partial]- (9CI) (CA INDEX NAME)

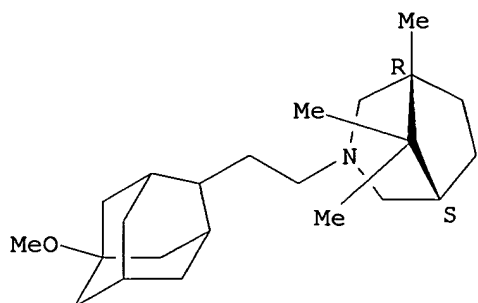
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 195727-12-9 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-(5-methoxytricyclo[3.3.1.1.3,7]dec-2-yl)ethyl]-1,8,8-trimethyl-, hydrochloride, [2(1R,5S)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



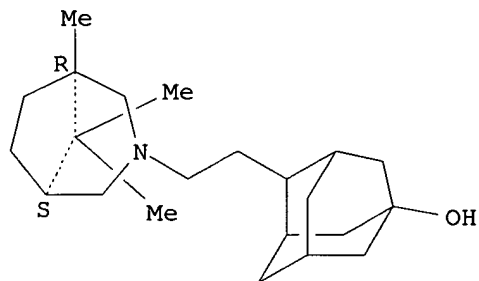


● HCl

RN 195727-13-0 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decan-1-ol, 4-[2-(1,8,8-trimethyl-3-azabicyclo[3.2.1]oct-3-yl)ethyl]-, hydrochloride, [4(1R,5S)]-[partial]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

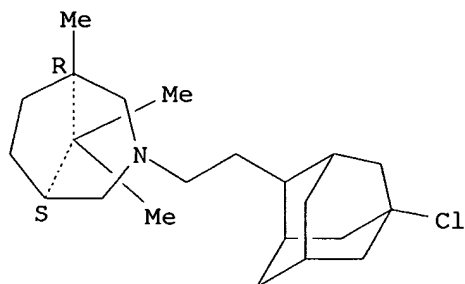


● HCl

RN 195727-14-1 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-(5-chlorotricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl)ethyl]-1,8,8-trimethyl-, hydrochloride, [2(1R,5S)]-[partial]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

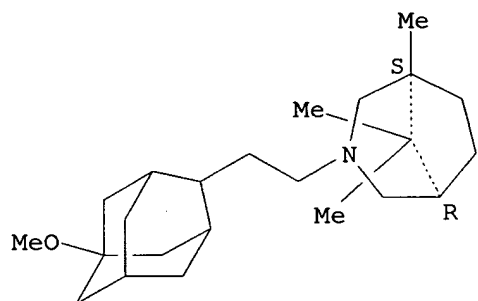


● HCl

RN 195727-15-2 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-(5-methoxytricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl)ethyl]-1,8,8-trimethyl-, hydrochloride, [2(1S,5R)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

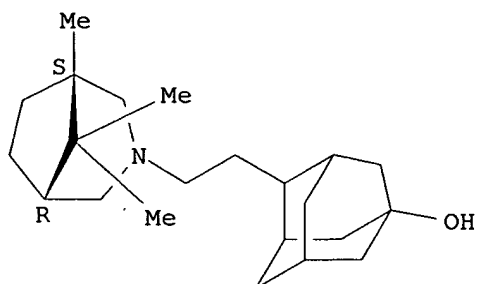


● HCl

RN 195727-16-3 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decan-1-ol, 4-[2-(1,8,8-trimethyl-3-azabicyclo[3.2.1]oct-3-yl)ethyl]-, hydrochloride, [4(1S,5R)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

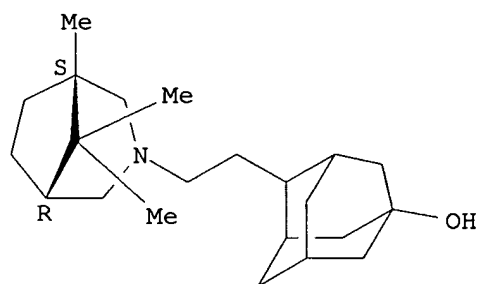


● HCl

RN 195727-75-4 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decan-1-ol, 4-[2-(1,8,8-trimethyl-3-azabicyclo[3.2.1]oct-3-yl)ethyl]-, [4(1S,5R)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L55 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1993:93788 CAPLUS

DN 118:93788

TI **Opioid** properties of some derivatives of pethidine based on tropane

AU Casy, A. F.; Dewar, G. H.; Pascoe, R. A.

CS Sch. Pharm. Pharmacol., Univ. Bath, Bath, BA2 7AY, UK

SO Journal of Pharmacy and Pharmacology (1992), 44(10), 787-90

CODEN: JPPMAB; ISSN: 0022-3573

DT Journal

LA English

AB The preparation of some tropane analogs of pethidine and its reversed ester, chiefly with preferred 3 $\alpha$ -m-hydroxyphenyl chair conformations, is described. The former were secured from tropan-3-one in a sequence of reactions involving cyanide attack, hydrolysis, Grignard attack and then rearrangements. The reversed ester was obtained by treating tropan-3-one with lithium Ph, followed by acylation. Configurational and conformational assignments follow from NMR anal. The antinociceptive potencies of these compds. in mice are reported, and discussed in relation to non-phenolic congeners and the 4-arylpiperidine moiety of morphine.

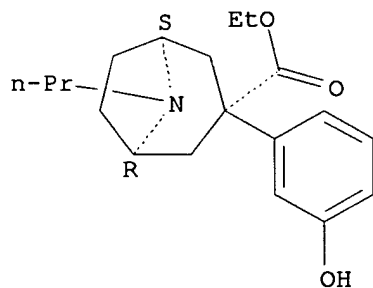
IT **145879-81-8P 145899-57-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and analgesic activity of)

RN 145879-81-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-carboxylic acid, 3-(3-hydroxyphenyl)-8-propyl-, ethyl ester, exo- (9CI) (CA INDEX NAME)

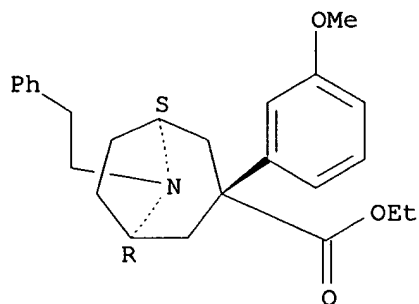
Relative stereochemistry.



RN 145899-57-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-carboxylic acid, 3-(3-methoxyphenyl)-8-(2-phenylethyl)-, ethyl ester, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 145879-72-7P 145879-73-8P 145879-74-9P

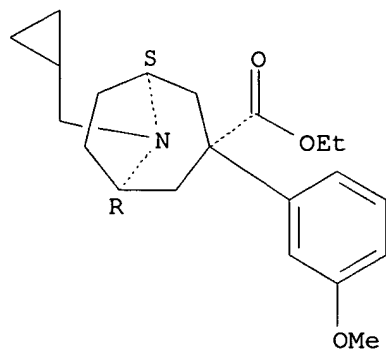
145879-75-0P 145879-76-1P 145879-77-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 145879-72-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-carboxylic acid, 8-(cyclopropylmethyl)-3-(3-methoxyphenyl)-, ethyl ester, hydrochloride, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

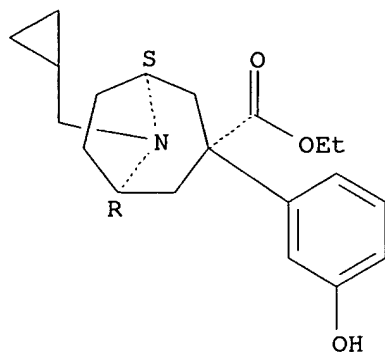


● HCl

RN 145879-73-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-carboxylic acid, 8-(cyclopropylmethyl)-3-(3-hydroxyphenyl)-, ethyl ester, hydrochloride, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

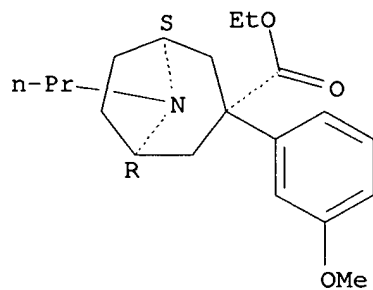


● HCl

RN 145879-74-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-carboxylic acid, 3-(3-methoxyphenyl)-8-propyl-, ethyl ester, hydrochloride, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

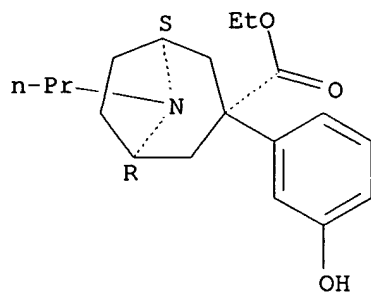


● HCl

RN 145879-75-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-carboxylic acid, 3-(3-hydroxyphenyl)-8-propyl-, ethyl ester, hydrochloride, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

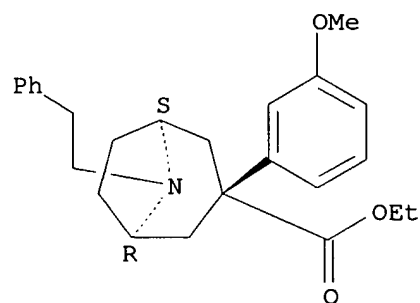


● HCl

RN 145879-76-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-carboxylic acid, 3-(3-methoxyphenyl)-8-(2-phenylethyl)-, ethyl ester, hydrochloride, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

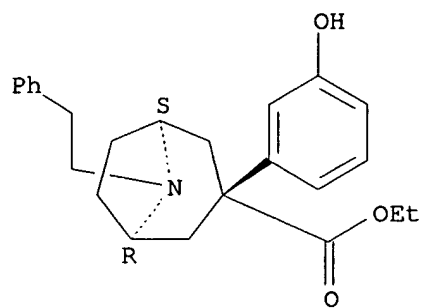


● HCl

RN 145879-77-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-carboxylic acid, 3-(3-hydroxyphenyl)-8-(2-phenylethyl)-, ethyl ester, hydrochloride, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

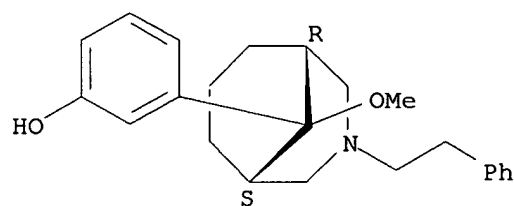


● HCl

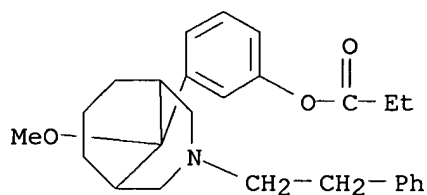


L55 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1991:464561 CAPLUS  
 DN 115:64561  
 TI Characterization of 9 slowly dissociated **opioid** ligands  
 azabicyclononanes compounds to  $\mu$ ,  $\delta$ , and  $\kappa$  receptors  
 AU Fan, Liqun; Jin, Wenqiao; Chi, Zhiqiang  
 CS Shanghai Inst. Mater. Med., Chin. Acad. Sci., Shanghai, 200031, Peop. Rep.  
 China  
 SO Zhongguo Yaoli Xuebao (1991), 12(3), 245-9  
 CODEN: CYLPDN; ISSN: 0253-9756  
 DT Journal  
 LA Chinese  
 AB In the receptor binding assay, the relative affinity ratios of P-7548 (I,  
 R = O2CEt), P-7556 I (R = OBz), and P-7618 I (R = cyclopentylcarbonyloxy)  
 were 56:16:1, 1:4:1, and 6:0.2:1 at  $\mu$ ,  $\delta$ , and  $\kappa$  sites,  
 resp. These compds. possessed a tight binding to  $\mu$  receptor. After  
 washed 4 times, they still inhibited the [3H]ohmefentanyl binding by  
 70-80%. In the guinea pig ileum, they showed potent and persistent  
 agonist activities, 607, 303, and 181 times resp. that of normorphine.  
 These effects were readily antagonized by naloxone and Mr2266. In the  
 mouse vas deferens (MVD), they also possessed long-lasting agonist  
 activities. The effect of P-7556 on MVD was not antagonized by naloxone  
 and Mr2266, indicating that P-7556 acted on  $\delta$  receptor in MVD. In  
 the rabbit vas deferens, P-7548, P-7556, and P-7618 antagonized the effect  
 of U-50488H. Thus, these azabicyclononanes are a series of **opioid**  
 ligands with  $\mu$ ,  $\delta$  agonist and  $\kappa$  antagonist activities.  
 IT 92836-37-8, P-7521 99451-04-4, P-7548  
 135052-73-2, P 7617 135052-74-3, P 7616  
 135052-75-4, P 7528 135052-76-5, P 7618  
 135052-77-6, P 7556 135052-78-7, P 7602  
 135052-79-8, P 7608  
 RL: BIOL (Biological study)  
 (**opioid** receptor binding of,  $\mu$ - and  $\delta$ - and  $\kappa$ -  
 affinity in)  
 RN 92836-37-8 CAPLUS  
 CN Phenol, 3-[(9-syn)-9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-  
 yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 99451-04-4 CAPLUS  
 CN Phenol, 3-[syn-9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]-,  
 propanoate (ester) (9CI) (CA INDEX NAME)

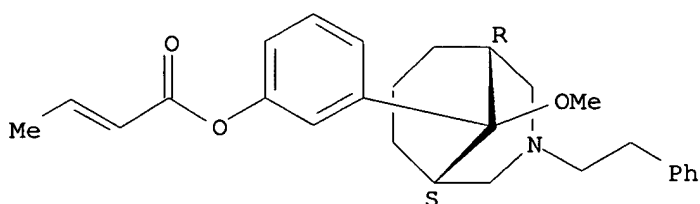


RN 135052-73-2 CAPLUS

CN 2-Butenoic acid, 3-[9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]phenyl ester, syn- (9CI) (CA INDEX NAME)

Relative stereochemistry.

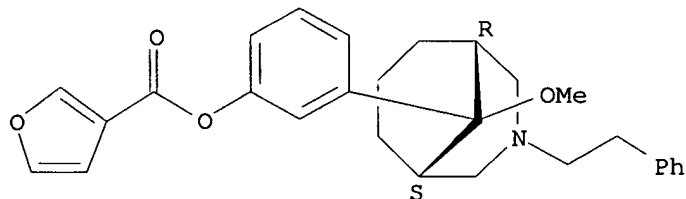
Double bond geometry unknown.



RN 135052-74-3 CAPLUS

CN 3-Furancarboxylic acid, 3-[9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]phenyl ester, syn- (9CI) (CA INDEX NAME)

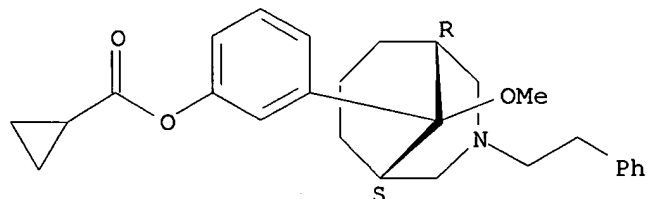
Relative stereochemistry.



RN 135052-75-4 CAPLUS

CN Cyclopropanecarboxylic acid, 3-[9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]phenyl ester, syn- (9CI) (CA INDEX NAME)

Relative stereochemistry.

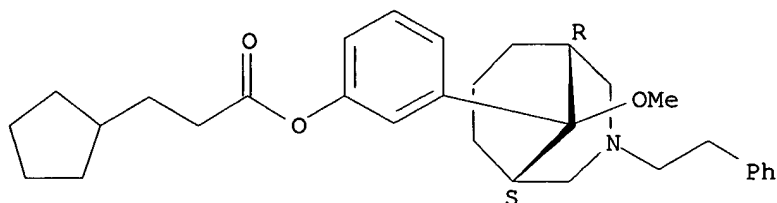


RN 135052-76-5 CAPLUS

CN Cyclopentanecarboxylic acid, 3-[9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]phenyl ester, syn- (9CI) (CA INDEX NAME)

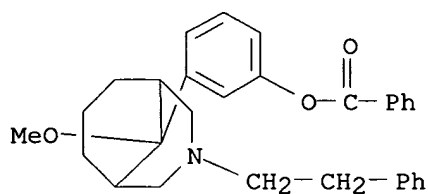
azabicyclo[3.3.1]non-9-yl]phenyl ester, syn- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 135052-77-6 CAPLUS

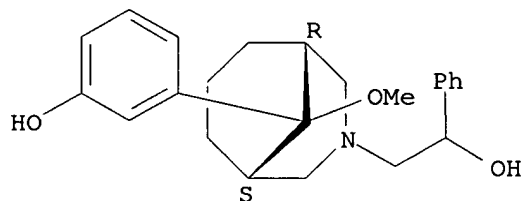
CN Phenol, 3-[9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]-, benzoate (ester), syn- (9CI) (CA INDEX NAME)



RN 135052-78-7 CAPLUS

CN 3-Azabicyclo[3.3.1]nonane-3-ethanol, 9-(3-hydroxyphenyl)-9-methoxy-α-phenyl-, syn- (9CI) (CA INDEX NAME)

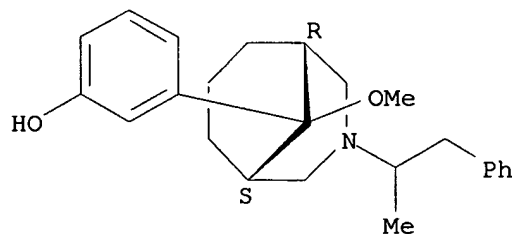
Relative stereochemistry.



RN 135052-79-8 CAPLUS

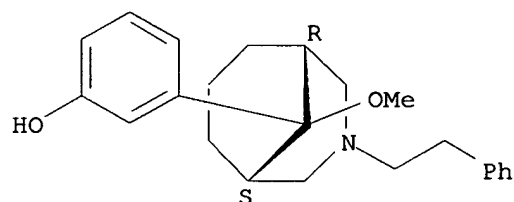
CN Phenol, 3-[9-methoxy-3-(1-methyl-2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]-, syn- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L55 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1990:151616 CAPLUS  
 DN 112:151616  
 TI A potent and long-lasting ligand, azabicyclononane (P-7521)  
 AU Chi, Zhiqiang; Jin, Wenqiao  
 CS Shanghai Inst. Mater. Med., Chin. Acad. Sci., Shanghai, 200031, Peop. Rep. China  
 SO Progress in Clinical and Biological Research (1990), 328(Int. Narc. Res. Conf. (INRC) '89), 1-4  
 CODEN: PCBRD2; ISSN: 0361-7742  
 DT Journal  
 LA English  
 AB Competition expts. between P-7521 (I) and subtype-specific ligands for the  $\mu$ ,  $\delta$ , and  $\kappa$  receptors of the mouse brain showed that I had a high affinity for the  $\mu$  receptor, an intermediate affinity for the  $\delta$  receptor, and a low affinity for the  $\kappa$  receptor; its relative affinities for these 3 receptors were 66:8:1, resp. I had agonist activity mainly on  $\mu$  receptors in the guinea pig ileum and the mouse vas deferens. In the rabbit vas deferens, which contains only  $\kappa$  receptors, I antagonized inhibition by the  $\kappa$  ligand U-50488H, with no agonist action. I is proposed as a powerful probe for the study of **opioid** ligand-receptor interactions and of receptor purification  
 IT **92836-37-8**, P 7521  
 RL: PROC (Process)  
 (binding of, to **opioid** receptor subtypes, specificity of)  
 RN 92836-37-8 CAPLUS  
 CN Phenol, 3-[(9-syn)-9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L55 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1989:587311 CAPLUS

DN 111:187311

TI Preparation of [3H]3-( $\beta$ -phenethyl)-9 $\beta$ -methoxy-9 $\alpha$ -(m-hydroxyphenyl)-3-azabicyclo[3,3,1]nonane and characterization of its binding to **opioid** receptors of rat brain membrane

AU Ge, Banglun; Zheng, Hongping; Xu, Yunping; Zhong, Gaoren; Gong, Jialing  
CS Shanghai Inst. Mater. Med., Chin. Acad. Sci., Shanghai, 200031, Peop. Rep. China

SO Zhongguo Yaolixue Yu Dulixue Zazhi (1989), 3(3), 187-91

CODEN: ZYYZEW; ISSN: 1000-3002

DT Journal

LA English

AB The title compound, [3H]P-7521, was prepared by reaction of Br-substituted P-7521 with 3H. The radiochem. purity was >95%. A saturable, specific binding of [3H]P-7521 to **opioid** receptors of rat brain membrane was demonstrated. Scatchard anal. indicated the existence of 2 binding sites ( $KD_1 = 0.030$  nM,  $KD_2 = 0.75$  nM). NaCl (100 mM) showed no effect on the specific binding of [3H]P-7521 to rat brain membrane. Of the specifically bound drug, 50% dissociated in 20 min, while the binding of therest declined extremely slowly, with 35% retained on the membrane after 4 h. Eighty-seven percent of the specifically bound [3H]P-7521 was not removed by 4 extensive washings. [3H]P-7521 may serve as a useful tool for research on **opioid** receptors.

IT 92836-37-8, P-7521

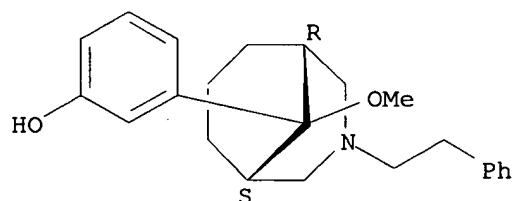
RL: PROC (Process)

(binding of, to **opioid** receptors)

RN 92836-37-8 CAPLUS

CN Phenol, 3-[(9-syn)-9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



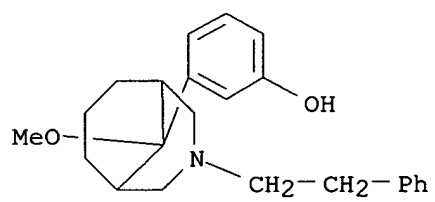
IT 123384-62-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and tritiation of)

RN 123384-62-3 CAPLUS

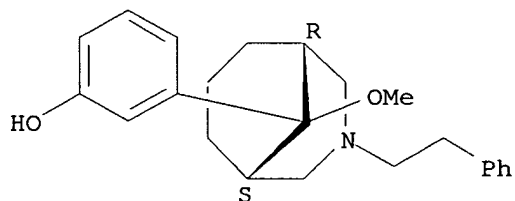
CN Phenol, 3-[9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]-, monobromo deriv. (9CI) (CA INDEX NAME)



D1-Br

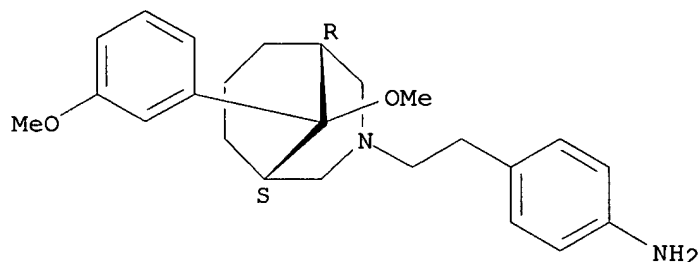
L55 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1989:417552 CAPLUS  
 DN 111:17552  
 TI P 7521 - a new irreversible **opioid** ligand  
 AU Jin, Wenqiao; Fan, Liqun; Chen, Xinjian; Chi, Zhiqiang  
 CS Shanghai Inst. Mater. Med., Chin. Acad. Sci., Shanghai, 200031, Peop. Rep. China  
 SO Zhongguo Yaoli Xuebao (1989), 10(3), 205-10  
 CODEN: CYLPDN; ISSN: 0253-9756  
 DT Journal  
 LA English  
 AB In the receptor binding assay, P 7521 (I) was a potent **opioid** ligand which acted mainly on  $\mu$ -receptor. The relative affinity ratio at  $\mu$ ,  $\delta$  and  $\kappa$  sites was 66:8:1. The inhibitory effects of I were 1868 and 6060 times more potent than morphine on the elec. evoked contractions in guinea pig ileum and mouse vas deferens, resp. and were readily antagonized by naloxone and Mr 2266. Apparently I acts on  $\mu$ -receptor in guinea pig ileum and mouse vas deferens. In rabbit vas deferens, the compound had no agonist activity, but could antagonize the inhibitory effect of U-50488 H, a  $\kappa$ -agonist, showing the antagonistic characterization was on  $\kappa$ -receptor. The dissociation of I binding to **opioid** receptor were very difficult in  $\mu$ -binding assay and bioassays.  
 IT **92836-37-8**, P 7521  
 RL: BIOL (Biological study)  
 (as irreversible **opioid** ligand)  
 RN 92836-37-8 CAPLUS  
 CN Phenol, 3-[(9-syn)-9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

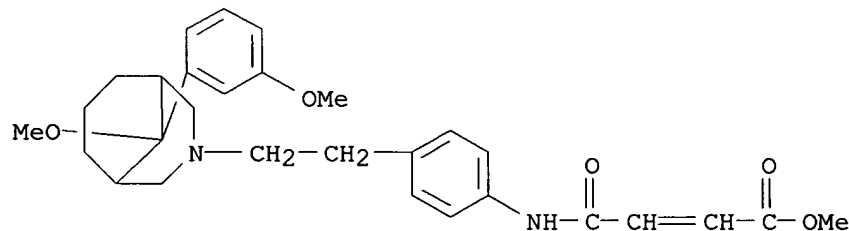


L55 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1989:108035 CAPLUS  
 DN 110:108035  
 TI P-8502 - a new  $\mu$ -selective **opioid** receptor ligand  
 AU Ge, Banglun; Zhang, Hongping; Xu, Yongping; Zheng, Weijun  
 CS Shanghai Inst. Mater. Med., Chin. Acad. Sci., Shanghai, 200031, Peop. Rep. China  
 SO Zhongguo Yaoli Xuebao (1989), 10(1), 13-16  
 CODEN: CYLPDN; ISSN: 0253-9756  
 DT Journal  
 LA Chinese  
 AB The analgesic action of P-8502 and P-8511 (I; R1 = NH<sub>2</sub> or fumarylamido, resp.) was compared in mice and rats by the hot-plate and tail-flick methods. The selective binding of the drugs to the **opioid** receptor was also studied in rat brain membrane P2 fractions. Results indicated that P-8502 is a selective  $\mu$ -**opioid** receptor ligand, whereas P-8511 has no such selectivity.  
 IT 119431-46-8, P 8502 119446-70-7, P 8511  
 RL: BIOL (Biological study)  
 (analgesia from, as  $\mu$ -**opioid** receptor ligand, selectivity in)  
 RN 119431-46-8 CAPLUS  
 CN Benzenamine, 4-[2-[2-[(9-syn)-9-methoxy-9-(3-methoxyphenyl)-3-azabicyclo[3.3.1]non-3-yl]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 119446-70-7 CAPLUS  
 CN 2-Butenoic acid, 4-[[4-[2-[(9-syn)-9-methoxy-9-(3-methoxyphenyl)-3-azabicyclo[3.3.1]non-3-yl]ethyl]phenyl]amino]-4-oxo-, methyl ester, (2E)- (9CI) (CA INDEX NAME)





L55 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1989:18422 CAPLUS

DN 110:18422

TI Effects of the long-acting analgesic 3-( $\beta$ -phenylethyl)-9 $\beta$ -methoxy-9 $\alpha$ -(m-hydroxyphenyl)-3-azabicyclo[3,3,1]nonane (P-7521) on opiate receptor binding in vitro

AU Zhou, Dehe; Ge, Banglun; Xu, Xuejun; Chi, Zhiqiang

CS Shanghai Inst. Mater. Med., Chin. Acad. Sci., Shanghai, 200031, Peop. Rep. China

SO Zhongguo Yaoli Xuebao (1988), 9(6), 511-15

CODEN: CYLPDN; ISSN: 0253-9756

DT Journal

LA Chinese

AB Opiate receptor binding of the title compound and its derivs. (I; R1 = OMe, hydroxyphenyl, methylphenyl, etc.; R2 = OH or OMe) was compared with that of morphine and 14-hydroxydihydromorphazone in rat brain membrane preps., as determined by inhibition of [ $^3$ H]etorphine binding. The analgesic potencies were also compared in mice. The title compound bound tightly to the brain opiate receptors.

IT 92836-34-5 92836-36-7 92836-37-8

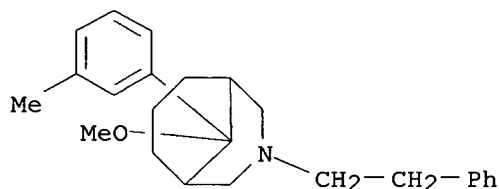
99451-04-4

RL: BIOL (Biological study)

(analgesia from, brain opiate receptor binding in)

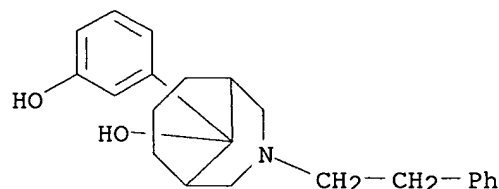
RN 92836-34-5 CAPLUS

CN 3-Azabicyclo[3.3.1]nonane, 9-methoxy-9-(3-methylphenyl)-3-(2-phenylethyl)-(9CI) (CA INDEX NAME)



RN 92836-36-7 CAPLUS

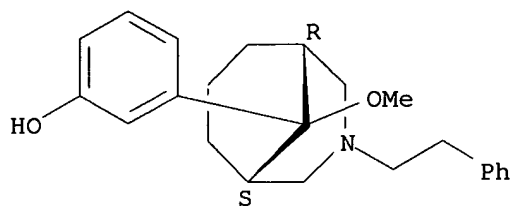
CN 3-Azabicyclo[3.3.1]nonan-9-ol, 9-(3-hydroxyphenyl)-3-(2-phenylethyl)-(9CI) (CA INDEX NAME)



RN 92836-37-8 CAPLUS

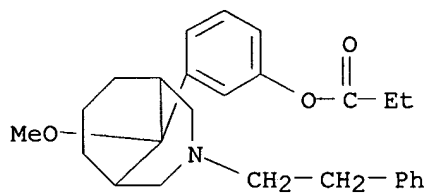
CN Phenol, 3-[(9-syn)-9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

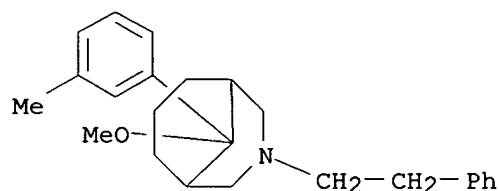


RN 99451-04-4 CAPLUS

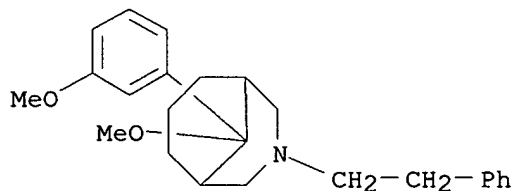
CN Phenol, 3-[syn-9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]-, propanoate (ester) (9CI) (CA INDEX NAME)



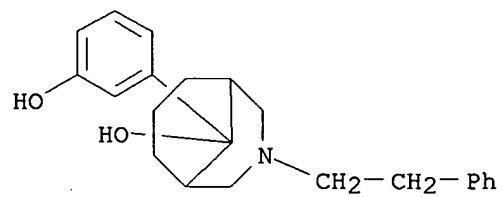
L55 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1986:81878 CAPLUS  
 DN 104:81878  
 TI Effects of 5 derivatives of 3-azabicyclo[3,3,1]nonanes on isolated guinea pig ileum myenteric plexus-longitudinal muscle  
 AU Wang, Dayuan; Chi, Zhiqiang  
 CS Shanghai Inst. Mater. Med., Chin. Acad. Sci., Shanghai, 200031, Peop. Rep. China  
 SO Zhongguo Yaoli Xuebao (1985), 6(4), 236-8  
 CODEN: CYLPDN; ISSN: 0253-9756  
 DT Journal  
 LA Chinese  
 AB Five derivs. of 3-azabicyclo[3,3,1]nonanes (I, R1 = MeO or OH; R2 = MeO, 3-MeC6H4, 3-MeOC6H4, or 3-HOC6H4) all inhibited the contraction of guinea pig ileum myenteric plexus-longitudinal muscle (GPIML) induced by elec. stimulation. Except I (R1 = R2 = MeO) [52904-54-8], the inhibitory effects of all the compds. were more potent than that of morphine. The relatively specific  $\mu$  receptor antagonist naloxone completely reversed their inhibitory effects. The concentration-response curves of these compds. were parallel to that of morphine. There were good correlations between inhibitory potencies on the GPIML and analgesic potencies (mouse hot-plate and writhing tests and rat tail-flick test), and binding affinities for the opiate receptors of mouse brain for these 5 compds. Apparently, the analgesic actions of these compds. are mainly related to  $\mu$  receptors. The inhibitory potency of I (R1 = MeO; R2 = 3-HOC6H4) [92836-37-8] on the GPIML was 4134 times that of morphine, indicating that the compound had a high affinity for  $\mu$  receptors in the GPIML.  
 IT 92836-34-5 92836-35-6 92836-36-7 92836-37-8  
 RL: BIOL (Biological study)  
 (ileum response to, analgesia and  $\mu$ -opiate receptors in relation to)  
 RN 92836-34-5 CAPLUS  
 CN 3-Azabicyclo[3.3.1]nonane, 9-methoxy-9-(3-methylphenyl)-3-(2-phenylethyl)-(9CI) (CA INDEX NAME)



RN 92836-35-6 CAPLUS  
 CN 3-Azabicyclo[3.3.1]nonane, 9-methoxy-9-(3-methoxyphenyl)-3-(2-phenylethyl)-(9CI) (CA INDEX NAME)



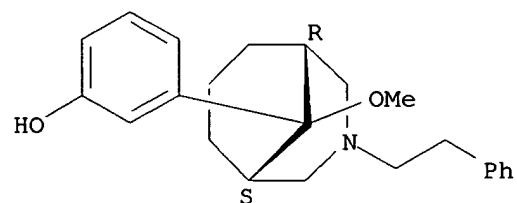
RN 92836-36-7 CAPLUS

CN 3-Azabicyclo[3.3.1]nonan-9-ol, 9-(3-hydroxyphenyl)-3-(2-phenylethyl)-  
(9CI) (CA INDEX NAME)

RN 92836-37-8 CAPLUS

CN Phenol, 3-[(9-syn)-9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L55 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1986:28684 CAPLUS

DN 104:28684

TI Preparation of tritium-labeled 3-( $\beta$ -phenylethyl)-9 $\beta$ -methoxy-9 $\alpha$ -(m-propionyloxyphenyl)-3-azabicyclo[3.3.1]nonane and some characterizations of its binding with opiate receptor from rat brain

AU Zhou, Dehe; Li, Zhiyi; Ni, Chonghu; Wu, Yizhi; Chi, Zhiqiang; Tang, Guozhong; Qian, Baogen

CS Shanghai Inst. Mater. Med., Acad. Sinica, Shanghai, Peop. Rep. China

SO Kexue Tongbao (Foreign Language Edition) (1985), 30(3), 412-16

CODEN: KHTPBU; ISSN: 0454-0948

DT Journal

LA English

AB In order to study the action of P-7548 (I) [99451-04-4] and its analogs an opiate receptors, the title compound [[3H]P-7548 [99450-92-7]] was prepared by catalytic reduction of 3-( $\beta$ -phenylethyl)-9 $\beta$ -methoxy-9 $\alpha$ -(m-acryloylphenyl)-3-azabicyclo[3.3.1] nonane [99450-93-8] with tritium gas. Some characteristics of [3H]P-7548 binding to opiate receptors from rat brain membranes were studied and were related to the analgesic effects of I.

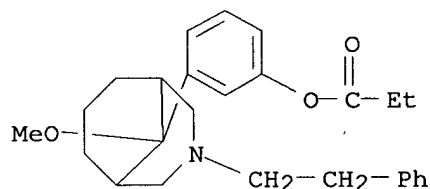
IT 99451-04-4

RL: BIOL (Biological study)

(opiate receptors of brain binding by, analgesic activity in relation to)

RN 99451-04-4 CAPLUS

CN Phenol, 3-[syn-9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]-, propanoate (ester) (9CI) (CA INDEX NAME)



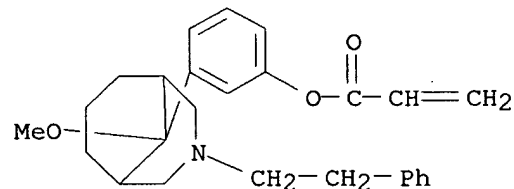
IT 99450-93-8

RL: RCT (Reactant); RACT (Reactant or reagent)

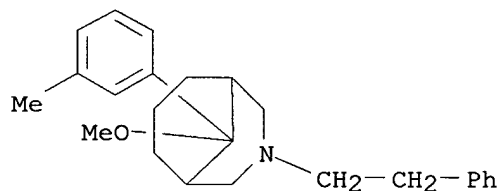
(reduction of, with tritium)

RN 99450-93-8 CAPLUS

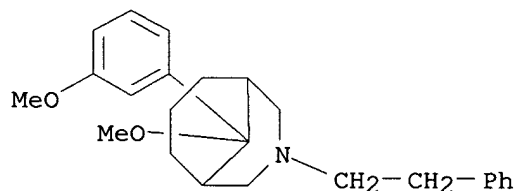
CN 2-Propenoic acid, 3-[9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]phenyl ester (9CI) (CA INDEX NAME)



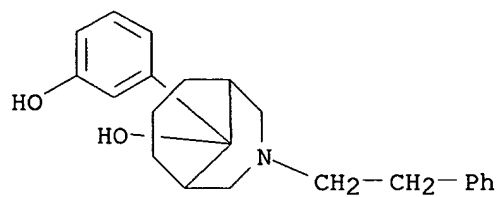
L55 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1985:39762 CAPLUS  
 DN 102:39762  
 TI Relation between analgesic activity and opiate receptor binding affinity  
 of 5 3-azabicyclo[3.3.1]nonane derivatives  
 AU Wang, Dayuan; Chi, Zhiqiang  
 CS Shanghai Inst. Mater. Med., Chin. Acad. Sci., Shanghai, 200031, Peop. Rep.  
 China  
 SO Zhongguo Yaoli Xuebao (1984), 5(3), 158-63  
 CODEN: CYLPDN; ISSN: 0253-9756  
 DT Journal  
 LA Chinese  
 AB A good correlation was observed between analgesic activity of the 5  
 3-azabicyclo[3.3.1]nonanes I (R1 = OMe or OH; R2 = OMe, 3-MePh, 3-HOPh, or  
 3-MeOPh) tested in mice and rats, and inhibition of  $\mu$ -receptor-specific  
 ligand binding in mouse brain plasma membranes.  
 IT 92836-34-5 92836-35-6 92836-36-7  
 92836-37-8  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (analgesic activity of, brain opiate receptor binding in relation to)  
 RN 92836-34-5 CAPLUS  
 CN 3-Azabicyclo[3.3.1]nonane, 9-methoxy-9-(3-methylphenyl)-3-(2-phenylethyl)-  
 (9CI) (CA INDEX NAME)



RN 92836-35-6 CAPLUS  
 CN 3-Azabicyclo[3.3.1]nonane, 9-methoxy-9-(3-methoxyphenyl)-3-(2-phenylethyl)-  
 (9CI) (CA INDEX NAME)



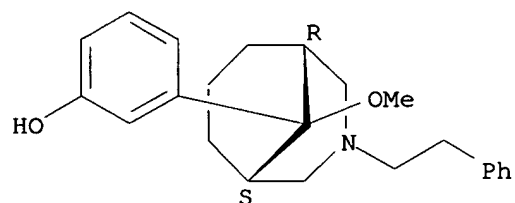
RN 92836-36-7 CAPLUS  
 CN 3-Azabicyclo[3.3.1]nonan-9-ol, 9-(3-hydroxyphenyl)-3-(2-phenylethyl)-  
 (9CI) (CA INDEX NAME)



RN 92836-37-8 CAPLUS

CN Phenol, 3-[(9-syn)-9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

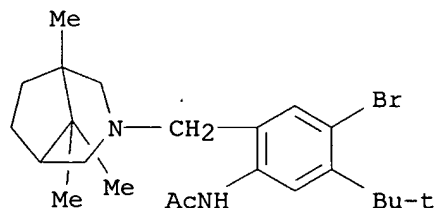


L55 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1975:97815 CAPLUS  
 DN 82:97815  
 TI Benzylamines  
 IN Keck, Johannes; Pieper, Helmut; Krueger, Gerd; Pueschmann, Sigfrid; Noll.  
 Klaus R.  
 PA Thomae, Dr. Karl, G.m.b.H.  
 SO Ger. Offen., 89 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 2

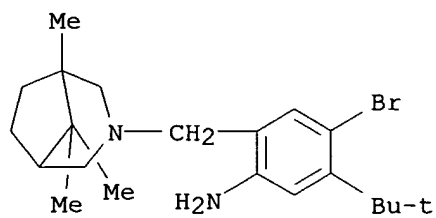
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	DE 2318636	A1	19741031	DE 1973-2318636	19730413
	DE 2318636	B2	19760701		
	DE 2318636	C3	19770210		
	AT 7402023	A	19760115	AT 1974-2023	19740312
	AT 332375	B	19760927		
	ES 424432	A1	19760601	ES 1974-424432	19740320
	SU 517250	D	19760605	SU 1974-2012364	19740404
	US 3950393	A	19760413	US 1974-458099	19740405
	CH 592606	A	19771031	CH 1974-528577	19740409
	CH 609033	A	19790215	CH 1977-5284	19740409
	CH 609041	A	19790215	CH 1977-5286	19740409
	CH 609034	A	19790215	CH 1977-5287	19740409
	CH 609327	A	19790228	CH 1974-4931	19740409
	CH 609328	A	19790228	CH 1977-5283	19740409
	RO 70260	P	19800315	RO 1974-82360	19740409
	RO 69152	P	19810130	RO 1974-78362	19740409
	RO 69291	P	19830429	RO 1974-82361	19740409
	JP 50012030	A2	19750207	JP 1974-40859	19740410
	JP 56034582	B4	19810811		
	ZA 7402298	A	19751231	ZA 1974-2298	19740410
	HU 167971	B	19760128	HU 1974-TO959	19740410
	NO 138250	C	19780802	NO 1974-1350	19740410
	NL 7404965	A	19741015	NL 1974-4965	19740411
	DD 113748	C	19750620	DD 1974-177856	19740411
	GB 1469187	A	19770330	GB 1974-16254	19740411
	CA 1011748	A1	19770607	CA 1974-197507	19740411
	CS 188920	P	19790330	CS 1974-2629	19740411
	SE 411749	B	19800204	SE 1974-5020	19740411
	SE 411749	C	19800522		
	BE 813678	A1	19741014	BE 1974-143168	19740412
	FR 2225165	A1	19741108	FR 1974-13024	19740412
	PL 89811	P	19761231	PL 1974-170327	19740412
	PL 96888	P	19780131	PL 1974-181439	19740412
	PL 102867	P	19790430	PL 1974-181429	19740412
	ES 433891	A1	19761116	ES 1975-433891	19750117
	ES 433893	A1	19761116	ES 1975-433893	19750117
	ES 433894	A1	19761116	ES 1975-433894	19750117
	ES 433890	A1	19761201	ES 1975-433890	19750117
	ES 433892	A1	19761216	ES 1975-433892	19750117
	SU 521836	D	19760715	SU 1975-2101046	19750130
	SU 521837	D	19760715	SU 1975-2101059	19750130
	SU 522790	D	19760725	SU 1975-2101048	19750130
	SU 543341	D	19770115	SU 1975-2101061	19750130
	SU 645553	D	19790130	SU 1975-2101050	19750130



AT 7505020	A	19751115	AT 1975-5020	19750701
AT 331211	B	19760810		
AT 7505023	A	19751115	AT 1975-5023	19750701
AT 331212	B	19760810		
AT 7505031	A	19760115	AT 1975-5031	19750701
AT 332379	B	19760927		
AT 7505021	A	19770315	AT 1975-5021	19750701
AT 339885	B	19771110		
AT 7505022	A	19770715	AT 1975-5022	19750701
AT 7506429	A	19751115	AT 1975-6429	19750820
AT 331218	B	19760810		
US 4006246	A	19770201	US 1976-649481	19760115
US 29628	E	19780509	US 1976-746954	19761202
PRAI DE 1973-2318636	A	19730413		
DE 1974-2402989	A	19740123		
DE 1974-2405322	A	19740205		
AT 1974-2023	A	19740312		
ES 1974-424432	A3	19740320		
US 1974-458099	A3	19740405		
AB	Benzylamines RR1(R2NH)C6H2CH2NR3R4 (I; R and R1 = e.g., Cl, Br, F, CF3, CMe3, CN, CO2H; R2 = H, Ac, Bz; R3 and R4 = e.g., Me, Et, Me3C, cyclohexyl) were prepared by the reaction of substituted benzyl chlorides with amines, followed by bromination or chlorination of the aromatic ring or reactions of the side chains. Thus, 22 g 2,3-(AcNH)MeC6H3CH2Br reacted with 20 g Et2NH in 1.6 l. CCl4 to give 2,3-(AcNH)MeC6H3CH2NEt2. About 270 I were prepared, useful as <b>antitussives</b> , as tested on guinea pigs. LD50 data and pharmaceutical formulations were given.			
IT	<b>55463-28-0P 55463-31-5P</b>			
	RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)			
RN	55463-28-0 CAPLUS			
CN	Acetamide, N-[4-bromo-5-(1,1-dimethylethyl)-2-[(1,8,8-trimethyl-3-azabicyclo[3.2.1]oct-3-yl)methyl]phenyl]- (9CI) (CA INDEX NAME)			



RN 55463-31-5 CAPLUS  
 CN Benzenamine, 4-bromo-5-(1,1-dimethylethyl)-2-[(1,8,8-trimethyl-3-azabicyclo[3.2.1]oct-3-yl)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L55 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1974:535978 CAPLUS  
 DN 81:135978  
 TI 3-Azabicyclo[3.3.1]nonanes  
 IN Ohki, Eiji; Oida, Sadao; Ohashi, Yoshihiko; Takagi, Hiromu  
 PA Sankyo Co., Ltd.  
 SO Jpn. Kokai Tokkyo Koho, 4 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 49061168	A2	19740613	JP 1972-102891	19721014
PRAI	JP 1972-102891	A	19721014		

AB 4-Alkoxy-3,5-propanopiperidines I (R and R2 = lower alkyl; R1 = lower alkyl, aralkyl) are prepared by treating 4 $\alpha$  (or 4 $\beta$ )-hydroxy analogs (I; R = H) with lower alkanols in the presence of acid. I are analgesic and **antitussive** agents (no data). Thus, 0.6 g 4 $\alpha$ -hydroxy-4 $\beta$ -m-methoxyphenyl-1-phenethyl-3 $\alpha$ ,5 $\alpha$ -propanopiperidine (II) was refluxed with 2 ml concentrated H2SO4 in 20 ml MeOH for 8 hr to give 0.4 g 4 $\beta$ -methoxy-4 $\alpha$ -m-methoxyphenyl analog. Also prepared was I (R = R1 = R2 = Me). II was prepared by heating phenethylamine, cyclohexanone, 37% H2CO, and concentrated HCl in AcOH and treating the 1-phenethyl-3,5-propano-4-piperidone with m-MeOC6H4MgBr.

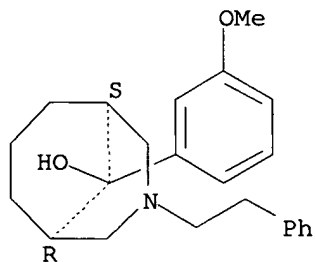
IT **42471-67-0**

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (methanolysis of)

RN 42471-67-0 CAPLUS

CN 3-Azabicyclo[3.3.1]nonan-9-ol, 9-(3-methoxyphenyl)-3-(2-phenylethyl)-, anti- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **42471-71-6P**

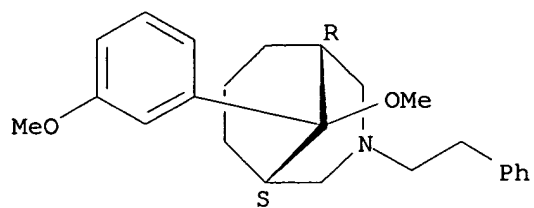
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 42471-71-6 CAPLUS

CN 3-Azabicyclo[3.3.1]nonane, 9-methoxy-9-(3-methoxyphenyl)-3-(2-phenylethyl)-, syn- (9CI) (CA INDEX NAME)

Relative stereochemistry.

10/761,977



L55 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1974:499241 CAPLUS

DN 81:99241

TI 3,5-Propanopiperidine derivatives as potential analgesics

AU Ohki, Eiji; Oida, Sadao; Ohashi, Yoshihiko; Yoshida, Akira; Kamoshita, Katsuo; Takagi, Hiromu

CS Cent. Res. Lab., Sankyo Co., Ltd., Tokyo, Japan

SO Chemical & Pharmaceutical Bulletin (1974), 22(5), 1014-21

CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

AB 4 $\beta$ -Methoxy-4 $\alpha$ -phenyl-3 $\alpha$ ,5 $\alpha$ -propanopiperidine derivs. were prepared and tested biologically. Introduction of m-hydroxy substituent into the phenyl group of these derivs. results in radical potentiation of analgesic and **antitussive** activities. Also, some N-carbamates of these derivs. exhibit appreciable anti-inflammatory effects with analgesic activity.

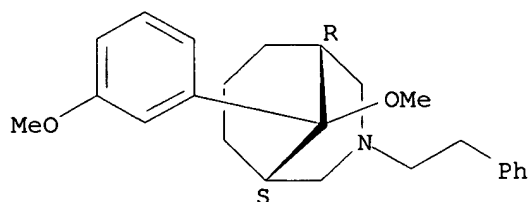
IT **42471-71-6P 52904-46-8P 92836-37-8P**

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(preparation and pharmacol. of)

RN 42471-71-6 CAPLUS

CN 3-Azabicyclo[3.3.1]nonane, 9-methoxy-9-(3-methoxyphenyl)-3-(2-phenylethyl)-, syn- (9CI) (CA INDEX NAME)

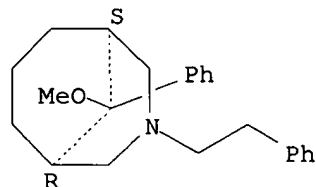
Relative stereochemistry.



RN 52904-46-8 CAPLUS

CN 3-Azabicyclo[3.3.1]nonane, 9-methoxy-9-phenyl-3-(2-phenylethyl)-, anti- (9CI) (CA INDEX NAME)

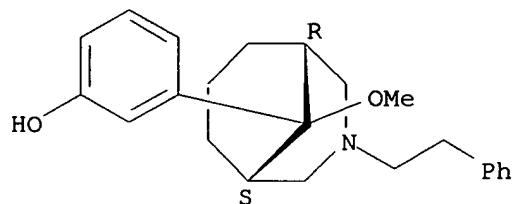
Relative stereochemistry.



RN 92836-37-8 CAPLUS

CN Phenol, 3-[(9-syn)-9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



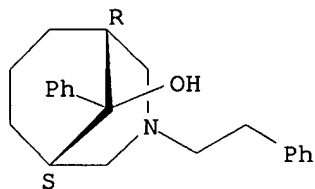
IT 33368-54-6P 42408-10-6P 42471-67-0P  
52904-57-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 33368-54-6 CAPLUS

CN 3-Azabicyclo[3.3.1]nonan-9-ol, 9-phenyl-3-(2-phenylethyl)-, syn- (9CI)  
(CA INDEX NAME)

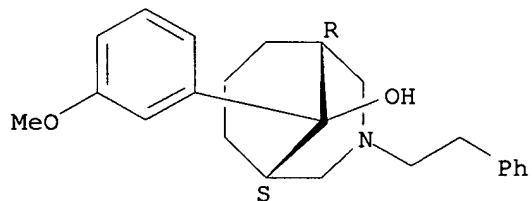
Relative stereochemistry.



RN 42408-10-6 CAPLUS

CN 3-Azabicyclo[3.3.1]nonan-9-ol, 9-(3-methoxyphenyl)-3-(2-phenylethyl)-,  
syn- (9CI) (CA INDEX NAME)

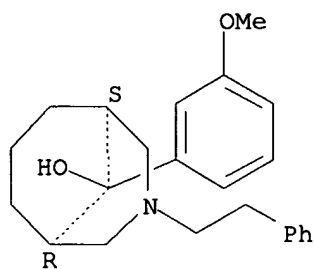
Relative stereochemistry.



RN 42471-67-0 CAPLUS

CN 3-Azabicyclo[3.3.1]nonan-9-ol, 9-(3-methoxyphenyl)-3-(2-phenylethyl)-,  
anti- (9CI) (CA INDEX NAME)

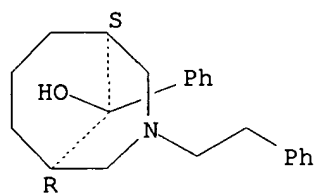
Relative stereochemistry.



RN 52904-57-1 CAPLUS

CN 3-Azabicyclo[3.3.1]nonan-9-ol, 9-phenyl-3-(2-phenylethyl)-, anti- (9CI)  
(CA INDEX NAME)

Relative stereochemistry.



L55 ANSWER 24 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1973:453184 CAPLUS  
 DN 79:53184  
 TI Analgesic and **antitussive** 3 $\alpha$ ,5 $\alpha$ -propano piperidines  
 IN Iwai, Issei; Ohki, Eiji; Oida, Sadao; Takagi, Hiromu; Ohashi, Yoshihiko  
 PA Sankyo Co., Ltd.  
 SO Ger. Offen., 23 pp.  
 CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2257131	A1	19730524	DE 1972-2257131	19721117
	JP 48056680	A2	19730809	JP 1971-92574	19711118
	US 3812134	A	19740521	US 1972-304810	19721108
	SE 393610	B	19770516	SE 1972-14732	19721114
	GB 1374328	A	19741120	GB 1972-52675	19721115
	NL 7215631	A	19730522	NL 1972-15631	19721117
	FR 2160593	A1	19730629	FR 1972-40887	19721117
	CH 581623	A	19761115	CH 1972-16828	19721117
	DK 134518	B	19761122	DK 1972-5743	19721117
PRAI	JP 1971-92574	A	19711118		

AB Five title compds. (I, R = Me, PhCH<sub>2</sub>CH<sub>2</sub>; R<sub>1</sub> = H, OH, OMe) were prepared and (or) used as analgesics and **antitussives**. Thus, Grignard reaction of 3-BrC<sub>6</sub>H<sub>4</sub>OMe with 1-methyl-3 $\alpha$ ,5 $\alpha$ -propano-4-piperidone in Et<sub>2</sub>O gave 4 $\alpha$ -hydroxy-4 $\beta$ -(3-methoxyphenyl)-1-methyl-3 $\alpha$ ,5 $\alpha$ -propanopiperidine (II) and its 4 $\beta$ -hydroxy-4 $\alpha$ -(3-methoxyphenyl) isomer (III). A mixture of II and III was refluxed in MeOH in the presence of H<sub>2</sub>SO<sub>4</sub> 8 hr to give I (R = Me, R<sub>1</sub> = OMe). The LD<sub>50</sub> was <200 mg I (R = PhCH<sub>2</sub>CH<sub>2</sub>, R<sub>1</sub> = OMe)/kg s.c. in mice.

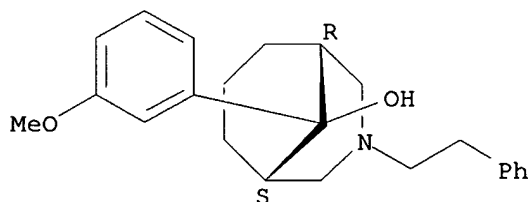
IT **42408-10-6P 42471-65-8P 42471-67-0P**  
**42471-71-6P 92836-37-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 42408-10-6 CAPLUS

CN 3-Azabicyclo[3.3.1]nonan-9-ol, 9-(3-methoxyphenyl)-3-(2-phenylethyl)-, syn- (9CI) (CA INDEX NAME)

Relative stereochemistry.

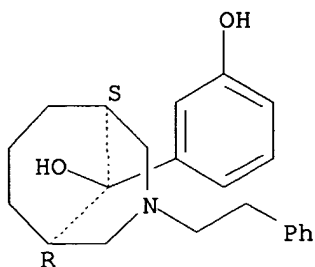


RN 42471-65-8 CAPLUS

CN 3-Azabicyclo[3.3.1]nonan-9-ol, 9-(3-hydroxyphenyl)-3-(2-phenylethyl)-, anti- (9CI) (CA INDEX NAME)

Relative stereochemistry.

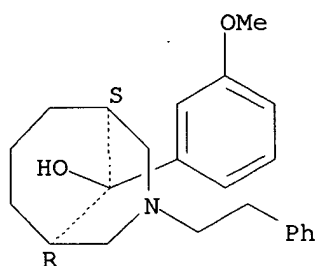




RN 42471-67-0 CAPLUS

CN 3-Azabicyclo[3.3.1]nonan-9-ol, 9-(3-methoxyphenyl)-3-(2-phenylethyl)-, anti- (9CI) (CA INDEX NAME)

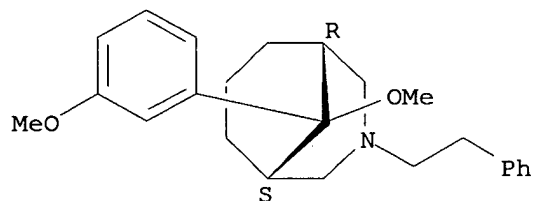
Relative stereochemistry.



RN 42471-71-6 CAPLUS

CN 3-Azabicyclo[3.3.1]nonane, 9-methoxy-9-(3-methoxyphenyl)-3-(2-phenylethyl)-, syn- (9CI) (CA INDEX NAME)

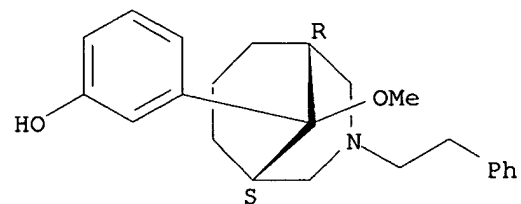
Relative stereochemistry.



RN 92836-37-8 CAPLUS

CN Phenol, 3-[(9-syn)-9-methoxy-3-(2-phenylethyl)-3-azabicyclo[3.3.1]non-9-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L55 ANSWER 25 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1973:72380 CAPLUS

DN 78:72380

TI Terpene compounds as drugs. XV. Basic ethoxyethylethers of guaiacol and eugenol as **antitussives**

AU Mantegani, Antonio; Donetti, Arturo; Bonardi, Graziano; Molino, Cecilia; Casadio, Silvano

CS Res. Lab., Ist. De Angeli, Milan, Italy

SO Chimica Therapeutica (1972), 7(6), 483-5

CODEN: CHTPBA; ISSN: 0009-4374

DT Journal

LA English

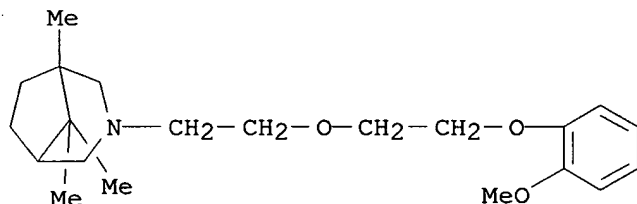
AB The cyclic amines I (R = H, CH<sub>2</sub>:CHCH<sub>2</sub>) and 14 amines II (R = H, CH<sub>2</sub>:CHCH<sub>2</sub>; R<sub>1</sub> = prenyl, geranyl, trans-2,2,6-trimethylcyclohexyl, bornyl, menthyl; R<sub>2</sub> = H, Me, prenyl, geranyl) were prepared, for example, by condensing 4,2-R(MeO)C<sub>6</sub>H<sub>3</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>Cl with the appropriate amine. The compds. showed **antitussive** activity in rats.

IT **39704-90-0P 39704-91-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

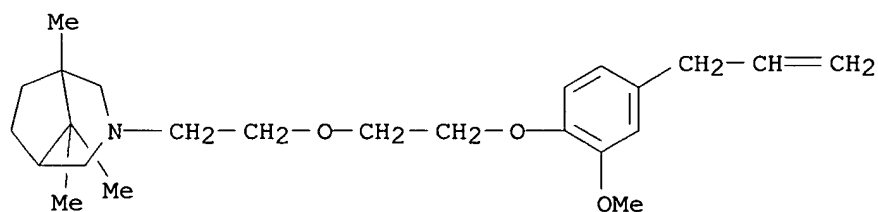
RN 39704-90-0 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-[2-(2-methoxyphenoxy)ethoxy]ethyl]-1,8,8-trimethyl- (9CI) (CA INDEX NAME)



RN 39704-91-1 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-[2-[2-[2-methoxy-4-(2-propenyl)phenoxy]ethoxy]ethyl]-1,8,8-trimethyl- (9CI) (CA INDEX NAME)



L55 ANSWER 26 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1970:477292 CAPLUS

DN 73:77292

TI Physiologically active 5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepine-6-ones

IN Schmidt, Guenther; Engelhorn, Robert; Leitold, Matyas

PA Thomae, Dr. Karl, G.m.b.H.

SO S. African, 41 pp.

CODEN: SFXAB

DT Patent

LA English

FAN.CNT 1

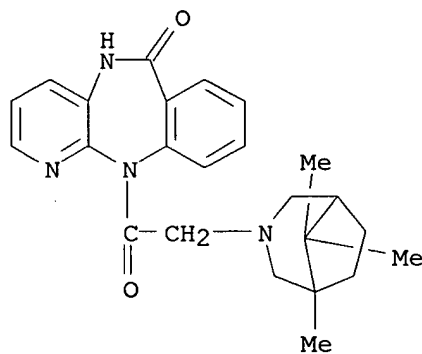
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ZA 6905933		19700226		
	DE 1795183			DE	
	FR 2016009			FR	
	GB 1277132			GB	
	US 3660380		19720000	US	
	US 3743734		19730000	US	
PRAI	DE		19680820		

AB The title compds. (I) inhibit secretions and the formation of ulcers and also show **antitussive** and antiemetic activity, with low toxicity. I are prepared by treating a 5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-one with a haloacetyl halide and treating the product with a secondary amine. The following I were prepared (R1, R2, and Z given); H, H, 4-methyl-1-piperazinyl (Q); Me, H, Q; H, H, NBu2; H, H, 1-piperazinyl; Me, H, Me; H, H, 2-methylpiperidino. About 25 other examples are given.

IT **28781-51-3P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 28781-51-3 CAPLUS

CN 6H-Pyrido[2,3-b][1,4]benzodiazepin-6-one, 5,11-dihydro-11-[(1,8,8-trimethyl-3-azabicyclo[3.2.1]oct-3-yl)acetyl]- (8CI) (CA INDEX NAME)



L55 ANSWER 27 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1969:77825 CAPLUS

DN 70:77825

TI Suppressing **coughs** and increasing secretions in warm-blooded animals with N-(amino-3,5-dihalobenzyl)camphidines

IN Keck, Johannes

PA Boehringer Ingelheim G.M.b.H.

SO U.S., 4 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3408446	A	19681029	US 1967-650204	19670630
	FI 40631	B	19681231	FI 1962-2033	19621113
	SE 300820	B	19680513	SE 1962-12370	19621119
	SE 300990	B	19680520	SE 1964-11622	19621119
	SE 300991	B	19680520	SE 1964-11623	19621119
	FI 40803	B	19690228	FI 1968-1338	19680513
	FI 40804	B	19690228	FI 1968-1405	19680520
PRAI	DE 1961-T21147	A	19611120		

AB The title compds. (I and II) are prepared from camphidine (III) and diacylamino-3,5-dihalobenzyl halides. Thus, 61.3 g. 3,5,4-Br<sub>2</sub>(Ac<sub>2</sub>N)C<sub>6</sub>H<sub>2</sub>CH<sub>2</sub>Br was dissolved in 1.5 l. CCl<sub>4</sub>, mixed with 14.6 g. Et<sub>3</sub>N and 77.5 g. of a 46.7% solution of III in tetralone, and refluxed 1 hr. After removal of Et<sub>3</sub>N.HCl, the filtrate was evaporated to dryness and the residue dissolved in 350 ml. EtOH and 190 ml. concentrated HCl. The solution

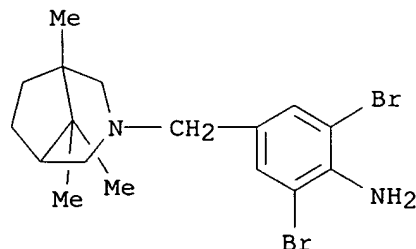
was refluxed 25 hrs. to give I (X = Br).HCl, m. 238-41° (decomposition) (EtOH-Et<sub>2</sub>O). Similarly prepared were the following I.HCl and II.HCl (compound, X and m.p. given): II, Cl, 217-19° (decomposition); I, Cl, 224-6°; II, Br, 109-11°. The effective dose of these compds. is 25-50 mg./kg.

IT **21782-04-7P 21782-05-8P 21782-06-9P 21782-07-0P**

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 21782-04-7 CAPLUS

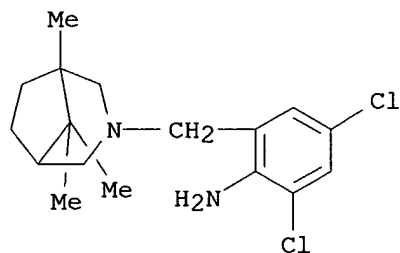
CN 3-Azabicyclo[3.2.1]octane, 3-(4-amino-2,5-dibromobenzyl)-1,8,8-trimethyl-, hydrochloride (8CI) (CA INDEX NAME)



● x HCl

RN 21782-05-8 CAPLUS

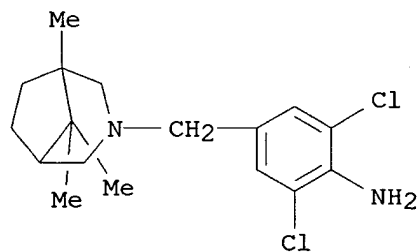
CN 3-Azabicyclo[3.2.1]octane, 3-(2-amino-3,5-dichlorobenzyl)-1,8,8-trimethyl-, hydrochloride (8CI) (CA INDEX NAME)



●x HCl

RN 21782-06-9 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(4-amino-3,5-dichlorobenzyl)-1,8,8-trimethyl-, hydrochloride (8CI) (CA INDEX NAME)

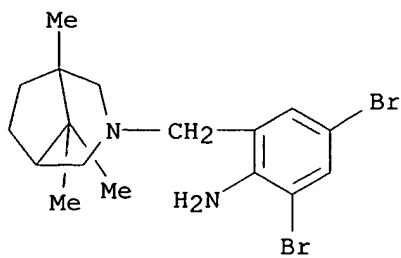


●x HCl

RN 21782-07-0 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(2-amino-3,5-dibromobenzyl)-1,8,8-trimethyl-, hydrochloride (8CI) (CA INDEX NAME)

10/761,977



●x HCl

L55 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1967:46427 CAPLUS  
 DN 66:46427  
 TI Oxadiazoles, thiadiazoles, and triazoles  
 PA Thomae, Dr. Karl, G.m.b.H.  
 SO Brit., 31 pp.  
 CODEN: BRXXAA  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 1053085		19661230		
	DE 1470363			DE	
	FR 1466258			FR	
	FR 4840			FR	
	US 3419575		19680000	US	
PRAI	DE		19640326		

AB The title compds. (I), in which X may be O, S, or NH, may have **antitussive**, analgesic, antipyretic, or hypoglycemic properties, depending upon the natures of the substituents and of X. To 160 g. BrCN in 400 ml. MeOH at 0° was added 220 g. Me<sub>2</sub>NCH<sub>2</sub>CONHNH<sub>2</sub>.HCl (II) in 2 l. MeOH. After the reactants had dissolved, the mixture was refluxed 2-4 hrs., the MeOH distilled, the residue dissolved in 150 ml. H<sub>2</sub>O, the solution made alkaline with KOH and extracted with CHCl<sub>3</sub>, and the exts. dried to give

71.3%

2-amino-5-(dimethylaminomethyl)-1,3,4-oxadiazole (Ia), m. 134-6° (EtOH). Similar treatment of 50 g. (MeEtCH)<sub>2</sub>NCH<sub>2</sub>CONHNH<sub>2</sub> with 28 g. BrCN gave 84% 2-amino-5-(di-sec-butylaminomethyl)-1,3,4-oxadiazole, m. 140-2° (Me<sub>2</sub>CO); and 18 g. MePhNCH<sub>2</sub>CONHNH<sub>2</sub>, treated with 10.6 g. BrCN, gave 74% 2-amino-5-(N-methylanilinomethyl)-1,3,4-oxadiazole, m. 216-18° (EtOH). Ia was also prepared in 48.5% yield from 5 g. Me<sub>2</sub>NCH<sub>2</sub>CONHNHCSNH<sub>2</sub>.HCl (III) (m. 216-17°; from II and KSCN) and 21 g. PbO in 200 cc. refluxing EtOH, and in 40% yield from 48 g. Me<sub>2</sub>NCH<sub>2</sub>CONHNHCONH<sub>2</sub> (monohydrochloride m. 197-8°) and 200 cc. POCl<sub>3</sub> at reflux one hr. A mixture of 14 g. 1-(N-cyclohexyl-N-methylglycyl)-4-(p-tolylsulfonyl)semicarbazide [prepared from N-cyclohexyl-N-methylglycyl hydrazide and TsNCS (Ts = p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>)] and 70 cc. POCl<sub>3</sub> was heated one hr. on a water bath, cooled, and diluted with 500 cc. petr. ether, the

precipitate

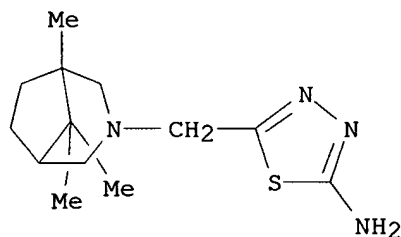
washed with petr. ether and dissolved in dilute NaOH, and the filtered solution adjusted to pH 7 by 10% HCl to give 21% 2-(p-toluenesulfonamido)-5-(N-cyclohexyl-N-methylaminomethyl)-1,3,4-oxadiazole, m. 232-5° (dilute HOAc). The β-(N-methyl-N-cyclohexylamino)propionaldehyde hydrochloride from 19 g. β-(N-methyl-N-cyclohexylamino)propionaldehyde diethyl acetal (b<sub>11</sub> 144-6°) and 105 cc. 6N HCl, 33 cc. H<sub>2</sub>O, 13 g. H<sub>2</sub>NCSNHNH<sub>2</sub>.HCl, and 14.4 g. NaOAc was heated on a water bath, then oxidized by 67.7 g. K<sub>3</sub>Fe(CN)<sub>6</sub> in 225 cc. H<sub>2</sub>O 2-3 hrs., and the mixture made alkaline and extracted with CHCl<sub>3</sub> to give 68% 2-amino-5-(N-methyl-N-cyclohexylaminomethyl)-1,3,4-thiadiazole, m. 162-3° (Me<sub>2</sub>CO). Treatment of 12.2 g. α-(N-methyl-N-cyclohexylamino)acetaldehyde 4-phenylthiosemicarbazone (IV) in 250 cc. CHCl<sub>3</sub> with 6.4 g. Br in 50 cc. CHCl<sub>3</sub>, evaporation, addition of absolute EtOH, addition of solid Na<sub>2</sub>CO<sub>3</sub>,

filtration, and

distillation gave a residue of 12% 2-anilino-5-(N-methyl-N-cyclohexylaminomethyl)-1,3,4-thiadiazole (Ib), m. 206-8° (MeOH-H<sub>2</sub>O). Oxidation of 12.2 g. gave IV in 200 cc. EtOH by 10.8 g. FeCl<sub>3</sub>.6H<sub>2</sub>O under reflux 5 hrs. 22% Ib. Concentrated H<sub>2</sub>SO<sub>4</sub> (25 g.) and 5 g. III

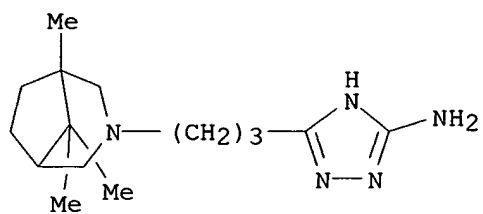
kept at 40-50° 30 min., poured onto 500 g. ice, and neutralized by Na<sub>2</sub>CO<sub>3</sub> gave 25% 2-amino-5-(dimethylaminomethyl)-1,3,4-thiadiazole, m. 218-21° (Et<sub>2</sub>O); Ac derivative hydrochloride m. 238-40° (MeOH); Bz derivative hydrochloride decomposed 160-1° (EtOH). A mixture of 46.2 g. Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>C(:NH)OEt.HCl (from Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CN, MeOH, and HCl in dioxane) and 18.2 g. H<sub>2</sub>NNHCSNH<sub>2</sub> in 120 cc. absolute EtOH refluxed 2-3 hrs. gave 19.5% 2-amino-5-(β-diethylaminoethyl)-1,3,4-thiadiazole, m. 158-60° (EtOAc). A mixture of 3.7 g. 2-amino-5-diethylaminomethyl-1,3,4-thiadiazole and 3.36 g. NaHCO<sub>3</sub> in 30 cc. H<sub>2</sub>O with 8.24 g. o-MeOC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>Cl in 20 cc. Me<sub>2</sub>CO, after 5 hrs. at 20-50°, was acidified with HCl, evaporated to dryness, extracted with hot EtOH, filtered, and cooled to give 65% 2-(o-methoxybenzenesulfonamido)-5-(diethylaminomethyl)-1,3,4-thiadiazole hydrochloride, decomposed 236-8°. In a min. amount H<sub>2</sub>O were dissolved 10 g. Me<sub>2</sub>NCH<sub>2</sub>CONHNHC(:NH)NH<sub>2</sub>.2HCl (m. 226-8°) and a stoichiometric amount Na<sub>2</sub>CO<sub>3</sub>, the solution evaporated to dryness, 100 cc. PhMe added, then distilled, the residue dissolved in absolute EtOH, and the solution filtered. Ethanolic HCl was added to the filtrate to give 65% 3-dimethylaminomethyl-5-amino-1,2,4-triazole dihydrochloride, m. 233-6°. Similar treatment of 10 g. (CH<sub>2</sub>:CHCH<sub>2</sub>)<sub>2</sub>NCH<sub>2</sub>CONHNHC(:NH)NH<sub>2</sub>.2HCl (m. 178-80°) and 3.75 g. NaOH gave 67% 3-diallylaminomethyl-5-amino-1,2,4-triazole dihydrochloride, m. 153-7°; and 7.5 g. Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CONHNHC(:NH)NH<sub>2</sub>.2HCl (m. 172-6°) and 3.3 g. NaOH gave 58% 3-dimethylaminoethyl-5-amino-1,2,4-triazole, m. 180-3° (4:1 EtOAc-EtOH). Addnl. I were prepared which are given in tabular form. The following novel intermediates were prepared by known methods as starting materials: Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CONHNHC(:NH)NH<sub>2</sub>.2HCl, m. 191-3°; QCH<sub>2</sub>CONHNHC(:NH)NH<sub>2</sub>.2HCl (Q = morpholino), m. 240-2°; QCH<sub>2</sub>CH<sub>2</sub>CONHNHC(:NH)NH<sub>2</sub>.2HCl, m. 238-9°; C<sub>6</sub>H<sub>11</sub>NMeCH<sub>2</sub>CONHNHCSNH<sub>2</sub> (C<sub>6</sub>H<sub>11</sub> = cyclohexyl), m. 206-8°; and C<sub>6</sub>H<sub>11</sub>NMeCH<sub>2</sub>CONHNHCSNHCH<sub>2</sub>CH:CH<sub>2</sub>, m. 118-20°. [TABLE OMITTED]

IT **14068-93-0P 14069-47-7P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 14068-93-0 CAPLUS  
 CN 3-Azabicyclo[3.2.1]octane, 3-[(5-amino-1,3,4-thiadiazol-2-yl)methyl]-1,8,8-trimethyl- (8CI) (CA INDEX NAME)



RN 14069-47-7 CAPLUS  
 CN 3-Azabicyclo[3.2.1]octane, 3-[3-(5-amino-s-triazol-3-yl)propyl]-1,8,8-trimethyl- (8CI) (CA INDEX NAME)





L55 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1965:85701 CAPLUS

DN 62:85701

OREF 62:15314a-b

TI Pharmacological studies on guanethidine derivatives. III. Pharmacological actions of several guanethidine derivatives

AU Ozawa, Hikaru; Gomi, Yasuo; Otsuki, Isao

CS Tohoku Univ., Sendai, Japan

SO Yakugaku Zasshi (1965), 85(2), 112-19

CODEN: YKKZAJ; ISSN: 0031-6903

DT Journal

LA Japanese

AB cf. CA 61, 6237a. [2-(4-Methyl-4-aza-hexahydro-1H-azepin-1-yl)ethyl]guanidine sulfate (I) and [2-(4-(p-chlorophenyl)-hexahydro-1H-azepin-1-yl)ethyl]guanidine sulfate (II) showed a hypotensive action on rabbit, rat, and cat, but their activities were weaker than that of guanethidine (III). Unlike III, the pressor action of noradrenaline was not potentiated and that of tyramine was not inhibited by I and II. II and [2-(1,8,8-trimethyl-3-aza-bicyclo[3,2,1]oct-3-yl)ethyl] guanidine sulfate possessed a marked muscle relaxation on a preparation of *Rana nigromaculata*. Their activities were found to be almost the same as that of succinylcholine chloride. Analgesic, **antitussive** and antispasmodic activities were not as strong. An introduction of substituents in a 7-membered ring resulted in a decrease in hypotensive activity and an increase in toxicity.

IT **2847-69-0**, Guanidine, [2-(1,8,8-trimethyl-3-azabicyclo[3.2.1]-oct-3-yl)ethyl]-, sulfate

(effect on blood pressure, muscle-nerve transmission, etc.)

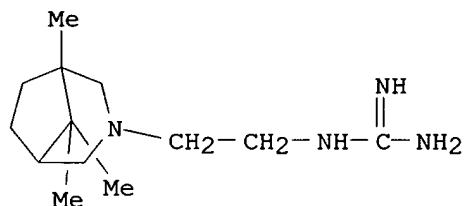
RN 2847-69-0 CAPLUS

CN Guanidine, [2-(1,8,8-trimethyl-3-azabicyclo[3.2.1]oct-3-yl)ethyl]-, sulfate (7CI, 8CI) (CA INDEX NAME)

CM 1

CRN 13901-32-1

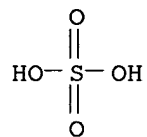
CMF C13 H26 N4



CM 2

CRN 7664-93-9

CMF H2 O4 S



L55 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1964:432184 CAPLUS  
 DN 61:32184  
 OREF 61:5564a-h  
 TI Preparation of new dihaloaminobenzylamines  
 PA Dr. Karl Thomae G.m.b.h.  
 SO 34 pp.  
 DT Patent  
 LA German

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 625022		19630520	BE	
	DE 1169939			DE	
	FR M2770			FR	
	GB 968254			GB	

PRAI DE 19611120

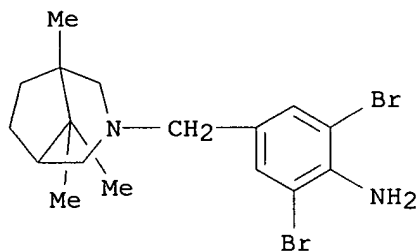
AB I, useful for pharmaceutical purposes, where X is Cl or Br, were prepared by (a) chlorination or bromination of aminobenzylamines, (b) amination of acylaminodihalobenzyl halide followed by hydrolysis, or (c) reduction of dihalonitrobenzylamines. Br (11.6 g.) in 50 cc. CHCl<sub>3</sub> was added dropwise to 2-aminobenzyl-diethylamine in 50 cc. CHCl<sub>3</sub>, the CHCl<sub>3</sub> extracted with 100 cc. 2N NaOH and concentrated, and the residue dissolved in 50 cc. EtOH, and treated with HCl to give N-(2-amino-3,5-dibromobenzyl)diethylamine-HCl (II), m. 214-14.5°. Br (39.5 g.) in 150 cc. AcOH was added dropwise to 12.6 g. N-(4-aminobenzyl)diethylamine in 150 cc. AcOH to give N-(4-amino-3,5-dibromobenzyl)diethylamine-HBr (III), m. 218° (decomposition) (EtOH). N-(2-Amino-3,5-dibromobenzyl)diisobutylamine-HBr, m. 165-7°, was prepared similarly. 2-Diacetyl-amino-3,5-dibromobenzyl bromide (24.7 g.) was boiled 24 hrs. with 11.8 g. diallylamine in 300 cc. EtOH, the mixture distilled, the residue dissolved in 1 l. 3N HCl, refluxed 12 hrs., made alkaline, and extracted with CHCl<sub>3</sub> to give N-(2-amino-3,5-dibromobenzyl)diallylamine-HCl, m. 109-13°. Prepared in similar manner were N-(4-amino-3,5-dibromobenzyl)diallylamine-HCl, m. 191-5°, N-(2-Amino-3,5-dibromobenzyl) - N-methylcyclohexylamine-HCl (IV.HCl), m. 232-5°, and N-(4-amino-3,5-dibromobenzyl) - N-methylbenzylamine-HBr, m. 202-6°. Other IV salts were prepared (salt and m.p. given): p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>H, 218-19°; HClO<sub>4</sub>, 132.5-4°; H<sub>3</sub>PO<sub>4</sub>, 137-8.5°; HBr, 227.5-8°; (CO<sub>2</sub>H)<sub>2</sub>, 182-3°; HCl, 240-2°; HNO<sub>3</sub>, 135-6°; H<sub>2</sub>SO<sub>4</sub>, 108-9°. Br (34 g.) in 500 cc. CHCl<sub>3</sub> was added portionwise to 17 g. N-(2-aminobenzyl)pyrrolidine in 500 cc. CHCl<sub>3</sub> at the b.p. to give N-(2-amino-3,5-dibromobenzyl)pyrrolidine-HCl, m. 219-20°. N-(2-Amino-3,5-dibromobenzyl)piperidine-HCl, m. 244-5°, was prepared in similar manner to II. I (2-amino) prepared by method a were (X, R, R<sub>1</sub>, salt, m.p. given): Br, Me, Me, HCl, 235-7°; Br, Pr, Pr, HCl, 153-6°; Br, iso-Pr, iso-Pr, HCl, 159-60°; Br, C<sub>5</sub>H<sub>11</sub>, C<sub>5</sub>H<sub>11</sub>, HCl, 111-13°; Br, isohexyl, isohexyl, HCl, 209-15°; Br, Et, PhCH<sub>2</sub>, HBr, 179-82°; Br, PhCH<sub>2</sub>, PhCH<sub>2</sub>, HBr, 192-6°; Br, Me, Me, HCl, 252-6°; Br, Pr, Pr, HBr, 227°; Br, iso-Pr, iso-Pr, HCl, 141-4°; Br, Me, C<sub>6</sub>H<sub>11</sub>, HCl, 232-5°; Br, (RR<sub>1</sub> = ) pentamethylene, HBr, 224-6°; Br, Et, PhCH<sub>2</sub>, HBr, 198-203°; Br, PhCH<sub>2</sub>, PhCH<sub>2</sub>, HCl, 233-5°. I (2-amino) prepared by method b were (X, R, R', salt, m.p. given): Br, C<sub>6</sub>H<sub>11</sub>, C<sub>6</sub>H<sub>11</sub>, HBr, 308-12°; Br, Et, Et, HCl, 123-30°; Br, (RR' = ) tetramethylene, HCl, 200-5°; Br, Et, Ph, HCl, 211-15°. 3,5-Dichloro-2-acetamidotoluene (19 g.) was refluxed in 250 cc. Ac<sub>2</sub>O for 2 hrs. to give 3,5-dichloro-2-diacetylaminotoluene (V), m. 84-6° (EtOH). V (15.1 g.) was refluxed with 11.0 g. N-bromosuccinimide and 0.5 g. Bz<sub>2</sub>O in 250

cc. CCl<sub>4</sub> to give 3,5,2-Cl<sub>2</sub>-(Ac<sub>2</sub>N)C<sub>6</sub>H<sub>2</sub>CH<sub>2</sub>Br (VI), m. 122-5°. VI (9.5 g.) was refluxed 18 hrs. with 5 g. piperidine and 250 cc. EtOH to produce N-(2-amino-3,5-dichlorobenzyl)piperidine-HCl, m. 234-5°. Prepared in similar manner were I (position of H<sub>2</sub>N, X, R, R', salt, and m.p. given): 4, Cl, Me, C<sub>6</sub>H<sub>11</sub>, -, - (free base m. 62-4°); 2, Cl, Me, C<sub>6</sub>H<sub>11</sub>, HCl, 224-5°; 2, Cl, iso-Bu, iso-Bu, HCl, 142-8°; 4, Cl, Et, Et, H<sub>2</sub>SO<sub>4</sub>, 132-4°; 4, Cl, PhCH<sub>2</sub>, PhCH<sub>2</sub>, HCl, 237.5-238°; 2, Br, (RR'N = ) camphidino, -, - (free base m. 109-11°); 4, Br, (RR'N = ) camphidino, HCl, 238-41°. o-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CHO (1.51 g.) was refluxed 5 hrs. with 0.73 g. iso-BuNH<sub>2</sub>, distilled, the residue dissolved in 40 cc. AcOH and 1.64 g. AcoNa, 3.2 g. Br in 10 cc. AcOH added dropwise, and the mixture worked up with CCl<sub>4</sub> to give 2.56 g. N-(2-amino-3,5-dibromobenzyl)isobutylamine (VII); VII.HCl X. 211-31°. Prepared in similar manner were I (position of H<sub>2</sub>N, X, R, R', salt, m.p. given): 4, Br, H, C<sub>6</sub>H<sub>11</sub>, HCl, 259-62°; 2, Br, H, C<sub>6</sub>H<sub>11</sub>, HCl, 247-8°; 4, Br, H, iso-Bu, HCl, 180-3°; 4, Br, cyclopentyl, cyclopentyl, HCl, 189-97°. N-(2-Nitro-3,5-dibromobenzyl)-N-methylcyclohexylammonium chloride was hydrogenated to produce N-(2-amino-3,5-dibromobenzyl)-N-methylcyclohexylamine, m. 235-5.5° (EtOH). Prepared in same manner was I (2-amino): Br, H, Me, HBr, m. 244-7°. N-(2-Amino-3,5-dibromobenzyl) methylamine (4.4 g.) was heated 8 hrs. with 50 cc. EtOH and 1.9 g. PhCH<sub>2</sub>Cl, treated with 100 cc. 2N NaOH, extracted with CHCl<sub>3</sub>, dried over Na<sub>2</sub>SO<sub>4</sub>, concentrated. dissolved in EtOH, treated with 2 cc. concentrated HBr and recrystd. from EtOH to give N-(2-amino-3,5-dibromobenzyl)-N-methylbenzylamine-HBr, m. 218.5-219°. I have low toxicities, abate secretions, calm coughs, inhibit monoamine oxidase, and are antipyretics. Pharmacol. tests are described.

IT 21782-04-7, 3-Azabicyclo[3.2.1]octane, 3-(4-amino-3,5-dibromobenzyl)-1,8,8-trimethyl-, hydrochloride 94804-17-8, 3-Azabicyclo[3.2.1]octane, 3-(2-amino-3,5-dibromobenzyl)-1,8,8-trimethyl- (preparation of)

RN 21782-04-7 CAPLUS

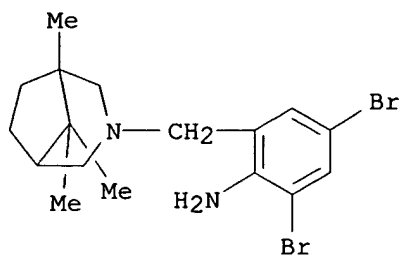
CN 3-Azabicyclo[3.2.1]octane, 3-(4-amino-2,5-dibromobenzyl)-1,8,8-trimethyl-, hydrochloride (8CI) (CA INDEX NAME)



●x HCl

RN 94804-17-8 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(2-amino-3,5-dibromobenzyl)-1,8,8-trimethyl- (7CI) (CA INDEX NAME)



L55 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1963:403332 CAPLUS

DN 59:3332

OREF 59:547f-h,548a-d

TI Syntheses in the benzylamine series

AU Keck, Johannes

CS Dr. Karl Thomae G.m.b.H., Biberach, Germany

SO Ann. (1963), 662, 171-7

DT Journal

LA Unavailable

AB The preparation of a series of 2-amino- and 4-amino-3,5-dihalobenzylamines is described. Some of the new benzylamine derivs. surpass Vasicin in their physiol. action on the respiratory tract. o-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>Br (149 g.) and 80 g. 95% N-methylcyclohexylamine in 0.5 l. absolute EtOH refluxed 5 hrs., diluted with about 100 cc. H<sub>2</sub>O, concentrated, adjusted with 2N NaOH to pH 10, and extracted

with CHCl<sub>3</sub> yielded 149 g. N-(o-nitrobenzyl)-N-methylcyclohexylamine (I), b<sub>0.06</sub> 116-19°. I (300 g.) in 2 l. MeOH containing Raney Ni treated dropwise with stirring with 170 g. 80% N<sub>2</sub>H<sub>4</sub>.H<sub>2</sub>O in 0.5 l. MeOH and then with addnl. catalyst, and again 30 g. N<sub>2</sub>H<sub>4</sub>.H<sub>2</sub>O, refluxed 2 hrs., filtered, and distilled yielded 254 g. o-NH<sub>2</sub> analog (II) of I, b<sub>0.08</sub> 109-11°. II (250 g.) in 2.5 l. AcOH treated dropwise with stirring with 420 g. Br in 0.5 l. AcOH, the supernatant decanted, the resinous residue shaken with 2 l. 5N NaOH and 2 l. CHCl<sub>3</sub>, and the residue from the CHCl<sub>3</sub> phase dissolved in warm absolute EtOH and treated with dry HCl yielded 182 g. N-(3,5-dibromo-2-aminobenzyl)-N-methylcyclohexylammonium chloride (III), m. 237.5-38° (decomposition). 3,5,2-Cl<sub>2</sub>(AcNH)C<sub>6</sub>H<sub>2</sub>Me (19 g.) and 250 cc. Ac<sub>2</sub>O refluxed 2 hrs. and evaporated yielded 15.1 g. 3,5,2-Cl<sub>2</sub>(Ac<sub>2</sub>N)C<sub>6</sub>H<sub>2</sub>Me (IV), m. 84-6° (EtOH). IV (15.1 g.), 11.0 g. N-bromosuccinimide, and 0.5 g. Bz<sub>2</sub>O<sub>2</sub> in 250 cc. CCl<sub>4</sub> refluxed about 10 hrs., cooled, filtered, and evaporated gave 12.5 g. 3,5,2-Cl<sub>2</sub>(Ac<sub>2</sub>N)C<sub>6</sub>H<sub>2</sub>Br (V), m. 122-5° (EtOH). V (9.5 g.) and 5 g. piperidine in 250 cc. EtOH refluxed 18 hrs. and evaporated, the residue refluxed 18 hrs. with 1 l. 3N HCl, basified with 10N NaOH to pH 10, and extracted with CHCl<sub>3</sub>, and the oily residue from the extract dissolved in 50 cc. absolute EtOH and treated with dry HCl gave 2.3 g. N-(2-amino-3,5-dichlorobenzyl)piperidinium chloride, m. 234-5° (decomposition). Similarly was prepared the 3,5-Cl<sub>2</sub> analog of III, m. 224-5°. 3,5,2-Br<sub>2</sub>(Ac<sub>2</sub>N)C<sub>6</sub>H<sub>2</sub>Me (2.0 g.) in 30 cc. CCl<sub>4</sub> refluxed with 1.2 g. N-bromosuccinimide and 25 mg. Bz<sub>2</sub>O<sub>2</sub> yielded 0.4 g. 3,5,2-Br<sub>2</sub>(Ac<sub>2</sub>N)C<sub>6</sub>H<sub>2</sub>CH<sub>2</sub>Br (VI), m. 116-17° (petr. ether). VI (3.3 g.) and 1.5 g. dry pyrrolidine in 70 cc. absolute EtOH refluxed 9 hrs., treated with 70 cc. 2N HCl, concentrated, washed with Et<sub>2</sub>O, basified with 10N NaOH, and extracted with Et<sub>2</sub>O gave 1.55 g. N-(3,5-dibromo-2-acetaminobenzyl)pyrrolidine (VII), m. 148-9° (petr. ether-EtOH). VII (1.55 g.) and 100 cc. 2N HCl refluxed 2 hrs., cooled, basified with 10N NaOH, and extracted with CHCl<sub>3</sub>, and the oily residue from the extract

treated

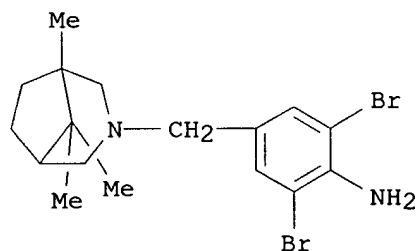
in a little absolute EtOH with concentrated HCl gave 0.5 g. N-(3,5-dibromo-2-aminobenzyl)pyrrolidinium chloride, m. 221.5-23°. By these methods were prepared the following 2,4,6-Br<sub>2</sub>(RCH<sub>2</sub>)C<sub>6</sub>H<sub>2</sub>NH<sub>2</sub>.HCl (R and m.p. given): Me<sub>2</sub>N, 230-2° (decomposition); Et<sub>2</sub>N, 217.5-19.5° (decomposition); Pr<sub>2</sub>N, 158-62°; (iso-Pr)<sub>2</sub>N, 179.5-82°; (iso-PrCH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>N, 151-6°; (CH<sub>2</sub>:CHCH<sub>2</sub>)<sub>2</sub>N, 123.5-5.5°; N-cyclohexyl-N-ethylamino, 206.5-209°; piperidino, 242.5-45°, 2-methylpiperidino, 212.5-16° (decomposition); 3-methylpiperidino, 224-7°; 4-methylpiperidino, 246.5-48° (decomposition); 2-ethylpiperidino, 223.5-5.5°; morpholino, 239-40.5° (decomposition); N-methyl-N-2-pyridylmethylamino, 198.5-201°; (PhCH<sub>2</sub>)<sub>2</sub>N,

221.5-23° (decomposition); 1,8,8-trimethyl-3-azabicyclo[3.2.1]-3-octyl, - (free base, m. 111-13°). Similarly were prepared the following 2,4,6-Br<sub>2</sub>(RCH<sub>2</sub>)C<sub>6</sub>H<sub>2</sub>NH<sub>2</sub>.HBr (R and m.p. given): Am<sub>2</sub>N, 123-4°; dicyclohexylamino, 307-9° (decomposition); Me(PhCH<sub>2</sub>)N, 218.5-19° (decomposition); Et(PhCH<sub>2</sub>)N, 187-9.5°. By the same methods were prepared the following 2,6,4-Br<sub>2</sub>(RCH<sub>2</sub>)C<sub>6</sub>H<sub>2</sub>NH<sub>2</sub>.HCl (R and m.p. given): Me<sub>2</sub>N, 250-3°; Et<sub>2</sub>N, 233-6°; Bu<sub>2</sub>N, 133.5-35°; iso-Bu<sub>2</sub>N, 152-5°; Am<sub>2</sub>N, 159-60.5°; (iso-Pr<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>N, 164.5-6.5°; (C<sub>6</sub>H<sub>13</sub>)<sub>2</sub>N, 80-4°; (CH<sub>2</sub>:CHCH<sub>2</sub>)<sub>2</sub>N, 190-7°; N-cyclohexyl-N-ethylamino, 210.5-12°; 2-methylpiperidino, 94-7°; 2-ethylpiperidino, 213-15°; (PhCH<sub>2</sub>)<sub>2</sub>N, 224.5-26°; N-methyl-N-2-pyridylmethylamino, 208-11° (decomposition); 1,8,8-trimethyl-8-azabicyclo[3.2.1]-3-octyl, 237-41°. Similarly were prepared the following 2,6,4-Br<sub>2</sub>(RCH<sub>2</sub>)C<sub>6</sub>H<sub>2</sub>NH<sub>2</sub>.HBr (R and m.p. given): piperidino, 233.5-4.5° (decomposition); Me(PhCH<sub>2</sub>)N, 195-9°; Et(PhCH<sub>2</sub>)N, 200-6°. In the same manner was obtained 2,6-dibromo-4-dibenzylaminoaniline-HCl, m. 237.5-38° (decomposition).

IT **21782-04-7**, 3-Azabicyclo[3.2.1]octane, 3-(4-amino-3,5-dibromobenzyl)-1,8,8-trimethyl-, hydrochloride **94804-17-8**, 3-Azabicyclo[3.2.1]octane, 3-(2-amino-3,5-dibromobenzyl)-1,8,8-trimethyl- (preparation of)

RN 21782-04-7 CAPLUS

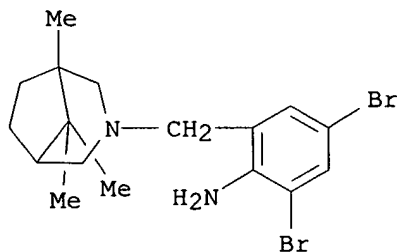
CN 3-Azabicyclo[3.2.1]octane, 3-(4-amino-2,5-dibromobenzyl)-1,8,8-trimethyl-, hydrochloride (8CI) (CA INDEX NAME)



●x HCl

RN 94804-17-8 CAPLUS

CN 3-Azabicyclo[3.2.1]octane, 3-(2-amino-3,5-dibromobenzyl)-1,8,8-trimethyl- (7CI) (CA INDEX NAME)





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=> s guaifenesin?
L56      411 GUAIFENESIN?

=> s 147 and 156
      187 L47
L57      0 L47 AND L56

=> s 154 and 156
L58      115 L54 AND L56

=> s treat? (l) cough?
      3190436 TREAT?
      5176 COUGH?
L59      1381 TREAT? (L) COUGH?

=> s 156 and 159
L60      14 L56 AND L59

=> d 160 1-14 bib,ab
```

L60 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:49102 CAPLUS

DN 140:87653

TI Effect of **guaifenesin** on cough reflex sensitivity

AU Dicpinigaitis, Peter V.; Gayle, Yvonne E.

CS Department of Medicine, Albert Einstein College of Medicine/Montefiore Medical Center, Bronx, NY, USA

SO Chest (2003), 124(6), 2178-2181

CODEN: CHETBF; ISSN: 0012-3692

PB American College of Chest Physicians

DT Journal

LA English

AB **Guaifenesin**, a commonly used agent for the **treatment** of **cough**, is termed an expectorant since it is believed to alleviate **cough** discomfort by increasing sputum volume and decreasing its viscosity, thereby promoting effective **cough**. Despite its common usage, relatively few studies, yielding contrasting results, have been performed to investigate the action and efficacy of **guaifenesin**. The purpose of this study was to evaluate the effect of **guaifenesin** on **cough** reflex sensitivity. A randomized, double-blind, placebo-controlled trial was conducted at an academic medical center. Fourteen subjects with acute viral upper respiratory tract infection (URI), and 14 healthy volunteers participated. On 2 sep. days, subjects underwent capsaicin **cough** challenge 1 to 2 h after receiving a single, 400-mg dose (capsules) of **guaifenesin** or matched placebo. The concentration of capsaicin inducing five or more **coughs** (C5) was determined. Among subjects with URI, mean ( $\pm$  SEM) log C5 after **guaifenesin** and placebo were  $0.92 \pm 0.17$  and  $0.66 \pm 0.14$ , resp. ( $p = 0.028$ ). No effect on **cough** sensitivity was observed in healthy volunteers. Our results demonstrate that **guaifenesin** inhibits **cough** reflex sensitivity in subjects with URI, whose **cough** receptors are transiently hypersensitive, but not in healthy volunteers. Possible mechanisms include a central antitussive effect, or a peripheral effect by increased sputum volume serving as a barrier shielding **cough** receptors within the respiratory epithelium from the tussive stimulus.

RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2003:912596 CAPLUS  
 DN 139:386391  
 TI Sustained release of **guaifenesin** combination drugs  
 IN Davis, Robert D.; Blume, Ralph W.; Keyser, Donald Jeffrey  
 PA USA  
 SO U.S. Pat. Appl. Publ., 95 pp., Cont.-in-part of U.S. Ser. No. 121,706.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003215508	A1	20031120	US 2003-413530	20030415
	US 6372252	B1	20020416	US 2000-559542	20000428
	US 2003049318	A1	20030313	US 2002-121706	20020415
PRAI	US 2000-559542	A2	20000428		
	US 2002-121706	A2	20020415		

AB The invention relates to a novel pharmaceutical modified release formulation of **guaifenesin** and dextromethorphan. The formulation may comprise a hydrophilic polymer, preferably a hydroxypropyl Me cellulose, and a water-insol. polymer, preferably an acrylic resin, in a ratio range of about one-to-one (1:1) to about nine-to-one (9:1), more preferably a range of about three-to-two (3:2) to about six-to-one (6:1), and most preferably in a range of about two-to-one (2:1) to about four-to-one (4:1) by weight. This formulation capable of providing therapeutically effective bioavailability of **guaifenesin** for at least twelve hours after dosing in a human subject. The invention also relates to a modified release product which has two portions: a first portion having an immediate release formulation of **guaifenesin** and a second portion having a sustained release formulation of **guaifenesin**, wherein one or both portions further comprises dextromethorphan. The modified release product has a maximum **guaifenesin** serum concentration equivalent to that of an immediate release **guaifenesin** tablet, and is capable of providing therapeutically effective bioavailability of **guaifenesin** for at least twelve hours after dosing in a human subject. For example, bilayer tablets were prepared comprising (i) a sustained-release layer containing **guaifenesin** 101.00 mg, dextromethorphan HBr 4.50 mg, Carbopol 974P 1.50 mg, Methocel E10M 5.00 mg, D&C Yellow Number 10 0.04 mg, and magnesium stearate 1.00%, and (ii) an immediate release layer containing **guaifenesin** 45.60 mg, dextromethorphan HBr 3.60 mg, Explotab 3.60%, Avicel PH102 40.32 mg, Methocel E10M 2.40 mg, and magnesium stearate 0.48%.

L60 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:633408 CAPLUS

DN 139:159977

TI **Treatment** of colds and **cough** with a combination of a cyclooxygenase-2 selective inhibitor and a colds and **cough** active ingredient, and compositions thereof

IN MacMillan, Stephen P.

PA Pharmacia Corporation, USA

SO PCT Int. Appl., 147 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003065988	A2	20030814	WO 2003-US3221	20030204
	WO 2003065988	A3	20040219		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2474016	AA	20030814	CA 2003-2474016	20030204
	US 2004029864	A1	20040212	US 2003-357747	20030204
	EP 1471872	A2	20041103	EP 2003-707692	20030204
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	BR 2003007755	A	20041207	BR 2003-7755	20030204
PRAI	US 2002-354135P	P	20020204		
	WO 2003-US3221	W	20030204		

AB A method for the **treatment**, prevention and amelioration of colds and/or **cough** in a subject in need of such **treatment**, prevention and amelioration, comprises administering to the subject a cyclooxygenase-2 selective inhibitor (e.g. celecoxib; preparation given), or prodrug thereof, and one or more colds and **cough** active ingredient. Compns., pharmaceutical compns. and kits for practicing the method are also disclosed.

L60 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2003:241987 CAPLUS  
 DN 138:243343  
 TI Tannate compositions for treatment of upper respiratory disorders  
 IN Venkataraman, Balaji  
 PA USA  
 SO U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S. 6,509,492.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003060422	A1	20030327	US 2002-56805	20020125
	US 6509492	B1	20030121	US 2001-952711	20010914
PRAI	US 2001-316548P	P	20010831		
	US 2001-952711	A2	20010914		

AB The present invention is directed to methods and compns. for **treating** upper respiratory indications, such as the **treatment**, management or mitigation of **cough**, cold, cold-like symptoms, symptoms related to upper respiratory infections, influenza symptoms and allergic rhinitis, perennial rhinitis, nasal and Eustachian tube congestion in an animal by administration of tannate compns. comprising single agent (amine drug tannate) formulations or combinations of at least 1 or more agents into a single administrative dose. As an example, pseudoephedrine tannate at 75-300 mg/5 mL can be used.

L60 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:807277 CAPLUS

DN 137:299959

TI Antitussives for the **treatment** of common cold **cough**

IN Okudaira, Ichiro; Ichihara, Takashi; Nakagami, Joji; Aikawa, Katsuyoshi;  
Nakagawa, Yasuo

PA Taisho Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002308761	A2	20021023	JP 2001-110461	20010409
PRAI	JP 2001-110461		20010409		

AB This invention relates to antitussives comprising (1)  $\geq 1$  compds. selected from the group consisting of codeine (or its related compds.), xanthine (or its related compds.), alloclamide, cloperastine, tipepidine, pentoxyverine, and/or salts thereof and (2) pseudoephedrine. For example, a capsule (200 mg each) contained codeine phosphate 48, noscapine 36, pseudoephedrine hydrochloride 80, chlorpheniramine maleate 12, **guaifenesin** 150, lactose 350, microcryst. cellulose 255, and Mg stearate 15 parts.

L60 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:385008 CAPLUS

DN 136:390999

TI Oral compositions containing coolants and sweeteners having improved consumer aesthetics

IN Lee, Kuo-Chung Mark

PA The Procter &amp; Gamble Company, USA

SO U.S., 8 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6391886	B1	20020521	US 2000-729406	20001204
	WO 2002045714	A1	20020613	WO 2001-US45035	20011130
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, FR, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2002039397	A5	20020618	AU 2002-39397	20011130
	EP 1339408	A1	20030903	EP 2001-987156	20011130
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2004515478	T2	20040527	JP 2002-547498	20011130
PRAI	US 2000-729406	A	20001204		
	WO 2001-US45035	W	20011130		
AB	Oral compns. containing therapeutic agents wherein the undesirable consumer aesthetics associated with these agents are mitigated using coolants and sweeteners. Thus, a <b>cough treatment</b> composition contained dextromethorphan 2.20, propylene glycol 42.45, Pluronic-F127 29.71, water 12.08, EtOH 10.91, sodium metabisulfite 0.10, disodium EDTA 0.10, Eucalyptus flavor 0.45, menthol 0.20 WS-3 0.15, 1-menthone-/D-isomenthone glycerin ketal (MGA) 0.30, 3-1-menthoxypropane-1,2-diol 0.10, sodium saccharin 0.60, potassium acesulfame 0.50, and monoammonium glycyrrhizinate 0.15%.				
RE.CNT	17	THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD			
		ALL CITATIONS AVAILABLE IN THE RE FORMAT			

L60 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2001:406245 CAPLUS  
DN 135:10046  
TI Pharmaceutical compositions containing dimemorfan for pharynx  
IN Kitahara, Akemi; Takenaga, Takaaki  
PA Taisho Pharmaceutical Co., Ltd., Japan  
SO Jpn. Kokai Tokkyo Koho, 5 pp.  
CODEN: JKXXAF  
DT Patent  
LA Japanese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001151677	A2	20010605	JP 1999-336433	19991126
PRAI	JP 1999-336433		19991126		

AB The invention relates to a pharmaceutical composition, e.g. tablet, capsule, and liquid, for use for **treatment** of sore throat, pharyngeal inflammation caused by **coughing**, etc., wherein the pharynx composition contains (a) dimemorhan and (b) ambroxol, bromhexine, **guaifenesin**, chlorpheniramine, carbinoxamine, and/or mequitazine. Capsules were prepared from dimemorfan phosphate 30, noscapine 60, chlorpheniramine maleate 12, DL-methylephedrine hydrochloride 75, **guaifenesin** 125, caffeine anhydride 150, lactose 112, crystalline cellulose 82, and magnesium stearate 14 g.



L60 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1999:675272 CAPLUS  
 DN 132:160660  
 TI Effects of drugs on mucus clearance  
 AU Houtmeyers, E.; Gosselink, R.; Gayan-Ramirez, G.; Decramer, M.  
 CS Respiratory Muscle Research Unit, Laboratory of Pneumology and Respiratory  
 Division, Faculty of Physical Education and Physiotherapy, Katholieke  
 Universiteit Leuven, Louvain, Belg.  
 SO European Respiratory Journal (1999), 14(2), 452-467  
 CODEN: ERJOEI; ISSN: 0903-1936  
 PB Munksgaard International Publishers Ltd.  
 DT Journal; General Review  
 LA English  
 AB A review with 152 refs. Mucociliary clearance (MCC), the process in which  
 airway mucus with substances trapped within are moved out of the lungs, is  
 an important mechanism of the human body. Drugs may alter this process,  
 such that it is to know the effect of the drugs on MCC. Indeed, agents  
 stimulating MCC be used therapeutically in respiratory medicine, especially in  
 patients suspected an impairment of their mucociliary transport system.  
 In contrast, caution be taken with drugs depressing MCC as an undesired  
 side-effect, of their therapeutic indication. Since **cough**  
 clearance (CC) serves as a back-up when MCC fails, the influence of drugs  
 must be examined not only on MCC also on CC. Ultimately, the clin.  
 repercussions of alterations in mucus transport by drug administration  
 must be studied. Ammonium compds. (anticholinergics), aspirin, anesthetic  
 agents and have been shown to be capable of depressing the mucociliary  
 system. Cholinergics, methylxanthines, sodium cromoglycate, hypertonic  
 saline, as well as water aerosol have been shown to increase MCC.  
 Adrenergic, **guaifenesin**, S-carboxymethylcysteine, sodium  
 2-mercapto-ethane and frusemide have been reported not to alter the  
 mucociliary transport. Amiloride, UTP (UTP), quaternary ammonium  
 (anticholinergics), adrenergic agonists, corticosteroids, recombinant  
 human (rhDNase), N-acetylcysteine, bromhexine and ambroxol have been  
 either not to change or to augment MCC. Indirect data suggest that as  
 well as antibiotics may improve the mucociliary transport system. For the  
 influence of drugs on CC, amiloride and rhDNase have been to increase the  
 effectiveness of **cough**. A trend towards an improved CC was  
 after **treatment** with adrenergic agonists. The anticholinergic  
 agent ipratropium, which is a quaternary ammonium compound, has been  
 suggested to CC significantly. Bromhexine, ambroxol and neutral saline  
 seemed not to CC, either pos. or neg. Finally, **treatment** with  
 either amiloride, recombinant human DNase,, ambroxol, N-acetylcysteine,  
 S-carboxymethylcysteine or hypertonic has been suggested as a possible  
 cause of clin. improvement in patients, such experience of dyspnea, the  
 case of expectoration or the frequency of infective. Other agents did  
 not show a clin. benefit.  
 RE.CNT 152 THERE ARE 152 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:502403 CAPLUS

DN 132:58986

TI An in vitro comparison of the mucoactive properties of **guaifenesin**, iodinated glycerol, surfactant, and albuterol

AU Rubin, Bruce K.

CS Department of Pediatrics, Wake Forest University School of Medicine, Winston-Salem, NC, USA

SO Chest (1999), 116(1), 195-200

CODEN: CHETBF; ISSN: 0012-3692

PB American College of Chest Physicians

DT Journal

LA English

AB Study objective: The mechanism of action of potential mucoactive agents could relate to effects on the mucociliary apparatus or to direct effects on the secretions. The purpose of this study was to determine the in vitro effects of several agents on the properties of mucus simulants and sputum collected from 30 adults with stable chronic bronchitis. Design: Sputum or simulants were analyzed untreated and after the addition of the test agent at 1:5 volume to volume ratio for a contact period of 60 s. The concns. of the agents were as follows: **guaifenesin**, 20 mg/mL; iodinated glycerol, 3 mg/mL; surfactant (Exosurf; Glaxo Well-come; Research Triangle Park, NC) containing 13.5 mg of phospholipid per mL; albuterol, 5 mg/mL; and amphibian Ringer's solution (ARS) as a control. Dynamic viscoelasticity and surface mech. impedance were measured in a magnetic microrheometer. Cohesiveness was measured using a filancemeter. The wettability of a hydrophilic surface was measured using an image processing system. The mucociliary transportability of sputum was timed on the frog palate, and **cough** transportability (CTR) was measured in a **cough** machine. Results: When compared to sputum that had no test agent or ARS added, all agents reduced sputum elasticity G', with surfactant, albuterol, and **guaifenesin** significant at  $p < 0.001$ . As well, **guaifenesin** ( $p = 0.006$ ), albuterol ( $p = 0.003$ ), and surfactant ( $p = 0.02$ ) decreased surface mech. impedance (frictional adhesiveness) compared to untreated sputum. However, there were no significant changes in wettability, hydration, cohesiveness, or CTR with any agent, and there were no significant changes in the properties of sputum or simulants **treated** with test agents when compared to those **treated** with ARS. **Guaifenesin** irreversibly disrupted mucociliary transport when applied directly to the frog palate. Conclusions: These agents appear to have a minimal direct action on sputum in vitro, suggesting that at the concns. studied, these agents do not have a significant beneficial effect on either the mucociliary transportability or CTR of chronic bronchitis sputum. However, there could be an effect of some of these agents after oral administration, especially if there is a secondary effect of the agent on an effector cell.

RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:425758 CAPLUS

DN 131:63456

TI Composition for treating respiratory and skin diseases, comprising at least one leukotriene antagonist and at least one antihistamine

IN Jensen, Peder K.; Lorber, Richard R.; Danzig, Melvyn R.; Medeiros, Paul T.

PA Schering Corporation, USA

SO PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932125	A1	19990701	WO 1998-US26223	19981221
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	ZA 9811731	A	19990621	ZA 1998-11731	19981221
	CA 2315721	AA	19990701	CA 1998-2315721	19981221
	AU 9919071	A1	19990712	AU 1999-19071	19981221
	AU 758771	B2	20030327		
	BR 9814417	A	20001010	BR 1998-14417	19981221
	EP 1041990	A1	20001011	EP 1998-963828	19981221
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
	JP 2001526232	T2	20011218	JP 2000-525116	19981221
	NZ 520907	A	20040528	NZ 1998-520907	19981221
	NO 2000003288	A	20000822	NO 2000-3288	20000622
PRAI	US 1997-68638P	P	19971223		
	US 1998-78638P	P	19980319		
	NZ 1998-504832	A1	19981221		
	WO 1998-US26223	W	19981221		
AB	The invention relates to a pharmaceutical composition useful in the <b>treatment</b> of sneezing, itching runny nose, nasal congestion, redness of the eye, tearing, itching of the ears or palate, shortness of breath, inflammation of the bronchial mucosa, reduced Forced Expiratory Volume In One Second (FEV1), <b>coughs</b> , rash, itchy skin, headaches, and aches and pains associated with seasonal allergic rhinitis, perennial allergic rhinitis, common colds, otitis, sinusitis, allergy, asthma, allergic asthma and/or inflammation, in a mammalian organism in need of such <b>treatment</b> . The composition comprises: (i) an effective amount of at least one leukotriene antagonist selected from (a) montelukast, (b) 1-(((R)- (3-(2-(6,7- difluoro-2- quinolinyl)ethenyl)phenyl)-3-(2-(2-hydroxy-2-propyl)phenyl)propyl) thio)methylcyclopropaneacetic acid; (c) 1-(((1(R)-3 (3-(2-(2,3- dichlorothieno[3, 2-b]pyridin-5-yl) -(E)-ethenyl)phenyl) -3-(2-(1-hydroxy-1- methylethyl) phenyl)propyl) thio)methyl) cyclopropaneacetic acid; (d) pranlukast; or (f) [2-[[2-(4-tert -butyl-2-thiazolyl) -5-benzofuranyl] oxymethyl]phenyl] acetic acid; or a pharmaceutically acceptable salt thereof; in admixt. with (ii) an effective amount of at least one antihistamine which is descarboethoxyloratidine, cetirizine, fexofenadine, ebastine, astemizole, norastemizole, epinastine, efletirizine or a pharmaceutically acceptable				

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salt thereof.

RE.CNT 8      THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1998:776660 CAPLUS  
 DN 130:29242  
 TI Pharmaceutical compositions of flurbiprofen and burn-masking agent for  
 treating sore throat  
 IN Barrett, David Michael; Jones, Huw Lyn; Jones, Idwal; Smith, Carl Simon  
 PA The Boots Company PLC, UK  
 SO PCT Int. Appl., 21 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9852545	A1	19981126	WO 1998-EP3180	19980522
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9879167	A1	19981211	AU 1998-79167	19980522
PRAI	GB 1997-10525	A	19970522		
	GB 1997-10632	A	19970522		
	WO 1998-EP3180	W	19980522		

AB The present invention relates to pharmaceuticals comprising a combination of flurbiprofen with (a) a therapeutically effective amount of 1 or more active ingredients selected from an antihistamine, a **cough** suppressant, a decongestant, an expectorant, a muscle relaxant, a centrally acting analgesic, a local anesthetic, an antibacterial, an antiviral agent, an antibiotic, an antifungal agents, minerals and vitamins and/or (b) a burn-masking amount of an agent which has a warming effect on the mucosa of the throat for use in the **treatment** of cold and flu symptoms including particularly sore throat. The **treatment** comprises the administration of a pharmaceutical masticable or suckable solid dosage form or a liquid or spray which releases the flurbiprofen and active ingredient(s) and/or burn-masking agent in the oral cavity so as to deliver the active components to the surface of the sore throat. Thus, each lozenge contained racemic flurbiprofen 8.75, CaCO<sub>3</sub> 7.5, active ingredient (e.g., antihistamine) q.v. (quantum vis), solids from a 1:1 mixture of sugar and liquid glucose to 2350 mg.

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1998:776655 CAPLUS  
 DN 130:29238  
 TI Pharmaceutical compositions containing NSAIDS  
 IN Barrett, David Michael; Jones, Huw Lyn; Jones, Idwal; Smith, Carl Simon  
 PA The Boots Company PLC, UK  
 SO PCT Int. Appl., 25 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9852540	A1	19981126	WO 1998-EP3179	19980522
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9881079	A1	19981211	AU 1998-81079	19980522
PRAI	GB 1997-10505	A	19970522		
	GB 1997-10527	A	19970522		
	GB 1997-10544	A	19970522		
	WO 1998-EP3179	W	19980522		

AB The present invention relates to the use of an NSAID selected from ibuprofen, naproxen, ketoprofen, diclofenac, piroxicam and indomethacin in the **treatment** of the symptoms of cold and flu particularly sore throat. The method consists of administration to a patient of a pharmaceutical composition in the form of a masticable or suckable solid dosage form or a liquid or a spray containing a therapeutically effective amount of

the

NSAID which releases the NSAID in the oral cavity so as to deliver the NSAID to the surface of the sore throat. The composition may also contain (a) therapeutically effective amount of 1 or more active ingredients selected from an antihistamine, a **cough** suppressant, a decongestant, an expectorant, a muscle relaxant, a centrally acting analgesic, a local anesthetic, an antibacterial compound, an antiviral compound, an antibiotic compound, an antifungal compound, minerals and vitamins and/or (b) a burn-masking amount of an agent which has a warming effect on the mucosa of the throat. Thus, a lozenge contained CaCO<sub>3</sub> 7.5, PVP 1.43, aerosil 0.036, Mg stearate 0.18, isomalt 1885, lycasin 440 mg, ketoprofen q.v. (quantum vis) and flavoring q.v.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1989:400747 CAPLUS

DN 111:747

TI Antitussive expectorant containing butamirate citrate and  
**guaifenesin**

IN Kubec, Frantisek; Srajer, Rajmund; Juchelka, Jiri

PA Czech.

SO Czech., 3 pp.

CODEN: CZXXA9

DT Patent

LA Czech

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	CS 254358	B1	19880115	CS 1985-2862	19850418
PRAI	CS 1985-2862		19850418		

AB An antitussive expectorant solution contains butamirate citrate (I) 0.05-0.5, licorice extract 0.1-0.2, **guaifenesin** (II) 1-10 weight %, aroma, propanediol, EtOH, solubilizers, and H<sub>2</sub>O. An antitussive expectorant contained I 0.40, II 10.00, licorice extract 0.30, aroma (alpine flowers) 0.20, polysorbate 80 0.10, 95% EtOH 30.00, distilled H<sub>2</sub>O 0.70, and propylene glycol to 100.00 weight%. In clin. tests against various resp. disorders (e.g. acute bronchitis, chronic bronchitis, pharyngitis, laryngitis, etc.), it had good secretomotor and mucokinetic effects (easy and copious expectoration) and improved lung ventilation.

L60 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1987:561726 CAPLUS  
 DN 107:161726  
 TI Sucrose-free pharmaceutical preparations containing fructose as sweetener  
 for use by diabetics  
 PA Warner-Lambert Co., USA  
 SO Neth. Appl., 8 pp.  
 CODEN: NAXXAN  
 DT Patent  
 LA Dutch  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	NL 8503539	A	19870716	NL 1985-3539	19851220
PRAI	NL 1985-3539		19851220		

AB Fructose is useful as a sweetener for drug preps. for **treatment**  
 of e.g. **coughs** and cold symptoms in diabetics. A **cough**  
 and cold syrup was prepared containing **guaifenesin** 1.000,  
 diphenhydramine-HCl 0.225, Na citrate 1.000, citric acid 0.200, fructose  
 50 g and glycerol 6.25 mL/100 mL.



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

213.10

400.01

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-32.85

-32.85

STN INTERNATIONAL LOGOFF AT 17:56:46 ON 24 MAY 2005

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